Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAJRK1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | | |
|---|---|---|---|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | SEP 09 | ACD predicted properties enhanced in REGISTRY/ZREGISTRY |
| NEWS | 4 | OCT 03 | MATHDI removed from STN |
| NEWS | 5 | OCT 04 | CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices |
| NEWS | 6 | OCT 13 | New CAS Information Use Policies Effective October 17, 2005 |
| NEWS | 7 | OCT 17 | STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAplus documents for use in third-party analysis and visualization tools |
| NEWS | 8 | OCT 27 | Free KWIC format extended in full-text databases |
| NEWS | 9 | OCT 27 | DIOGENES content streamlined |
| NEWS | 10 | OCT 27 | EPFULL enhanced with additional content |
| NEWS | 11 | NOV 14 | CA/CAplus - Expanded coverage of German academic research |
| NEWS | 12 | NOV 30 | REGISTRY/ZREGISTRY on STN(R) enhanced with experimental spectral property data |
| NEWS | 13 | DEC 05 | CASREACT(R) - Over 10 million reactions available |
| NEWS | 14 | DEC 14 | 2006 MeSH terms loaded in MEDLINE/LMEDLINE |
| NEWS | 15 | DEC 14 | 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER |
| NEWS | 16 | DEC 14 | CA/CAplus to be enhanced with updated IPC codes |
| NEWS | 17 | DEC 16 | MARPATprev will be removed from STN on December 31, 2005 |
| NEWS | 18 | DEC 21 | IPC search and display fields enhanced in CA/CAplus with the IPC reform |
| NEWS | 19 | DEC 23 | New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/USPAT2 |

NEWS EXPRESS DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.



* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:38:28 ON 27 DEC 2005

=> file reg
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 08:38:36 ON 27 DEC 2005


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6
DICTIONARY FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

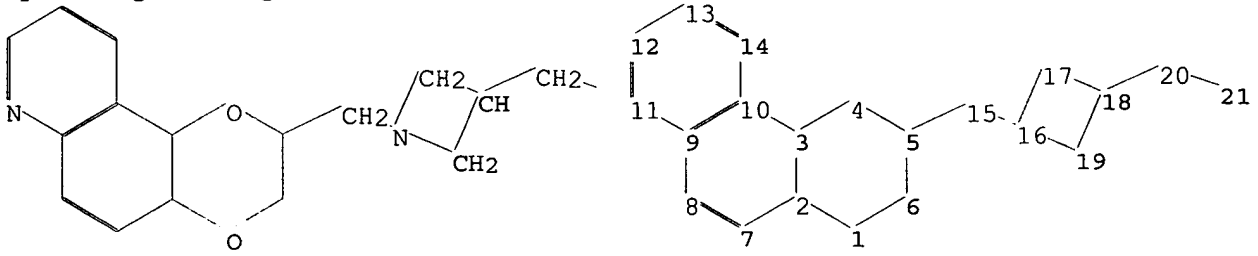
**********
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
**********

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10659167\Struc 1.str




chain nodes :
15 20

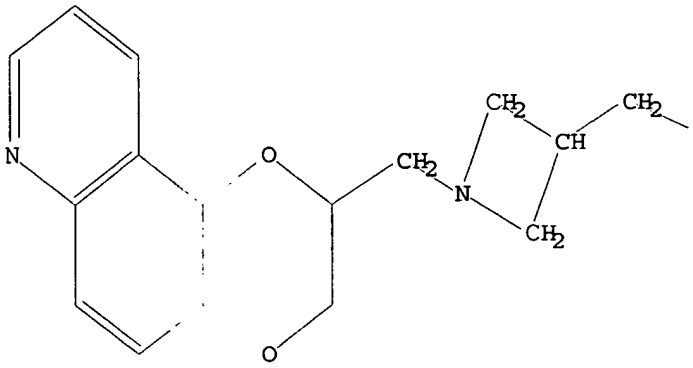
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 16 17 18 19 21
chain bonds :
5-15 15-16 18-20 20-21
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 9-11 10-14 11-12 12-13
13-14 16-17 16-19 17-18 18-19
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 16-17 16-19 17-18 18-19
exact bonds :
5-15 15-16 18-20 20-21
normalized bonds :
9-10 9-11 10-14 11-12 12-13 13-14

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR




G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 08:39:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 11 TO 389
PROJECTED ANSWERS: 1 TO 80

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L2            1 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 08:39:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      180 TO ITERATE

100.0% PROCESSED      180 ITERATIONS                              13 ANSWERS
SEARCH TIME: 00.00.01

L3            13 SEA SSS FUL L1

=> file caplus medline
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 08:39:17 ON 27 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 08:39:17 ON 27 DEC 2005

=> l3
L4            2 L3

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5            2 DUP REM L4 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr

L5   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:252514  CAPLUS
DOCUMENT NUMBER:          140:287395
TITLE:                    Preparation of antidepressant azaheterocyclylmethyl
                          derivs. of heterocycle-fused benzodioxans
INVENTOR(S):              Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S):       Wyeth, John, and Brother Ltd., USA
SOURCE:                   PCT Int. Appl., 75 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     --------------       ----   --------    --------------------    --------
     WO 2004024730         A1    20040325    WO 2003-US28413         20030911
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2004132714         A1    20040708    US 2003-659167          20030910
     CA 2498134            AA    20040325    CA 2003-2498134         20030911
     EP 1537119            A1    20050608    EP 2003-752213          20030911
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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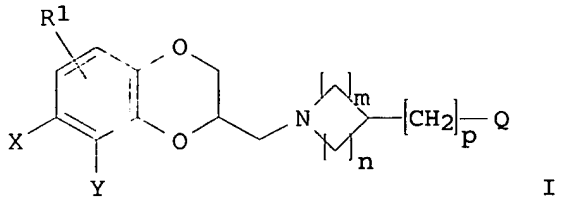
|---|---|---|---|---|---|---|
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | | |
| BR 2003014429 | A | 20050823 | BR 2003-14429 | | | 20030911 |
| NO 2005001769 | A | 20050525 | NO 2005-1769 | | | 20050411 |
| PRIORITY APPLN. INFO.: | | | US 2002-410168P | | P | 20020912 |
| | | | US 2003-659167 | | A | 20030910 |
| | | | WO 2003-US28413 | | W | 20030911 |

OTHER SOURCE(S): MARPAT 140:287395

GI



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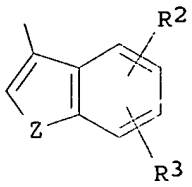

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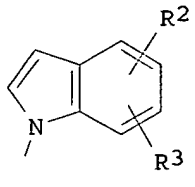


III

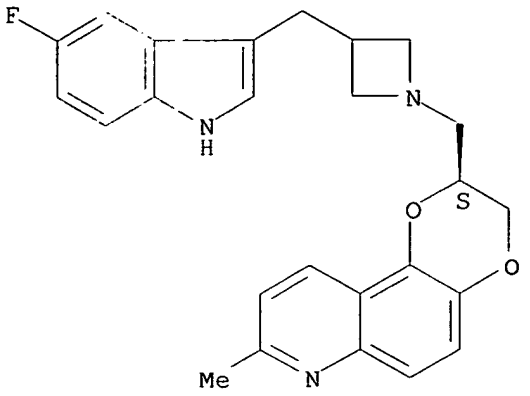
AB The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 1-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676125-36-3P 676125-38-5P 676125-42-1P**
**676125-43-2P 676125-44-3P 676125-56-7P**
**676125-57-8P 676125-86-3P 676125-91-0P**
**676125-92-1P 676126-00-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans)

RN 676125-36-3 CAPLUS

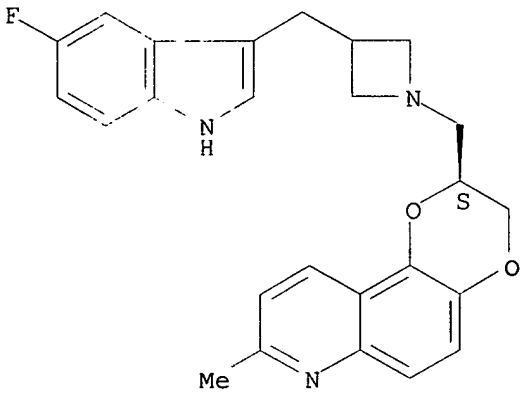
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

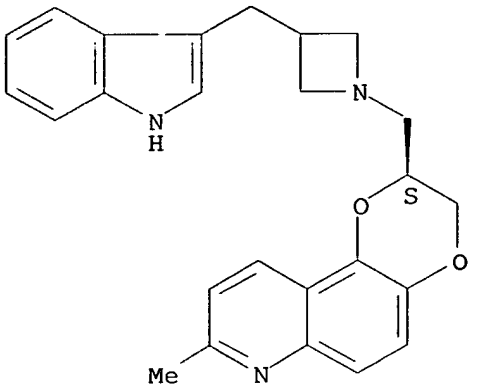
Absolute stereochemistry.




●2 HCl

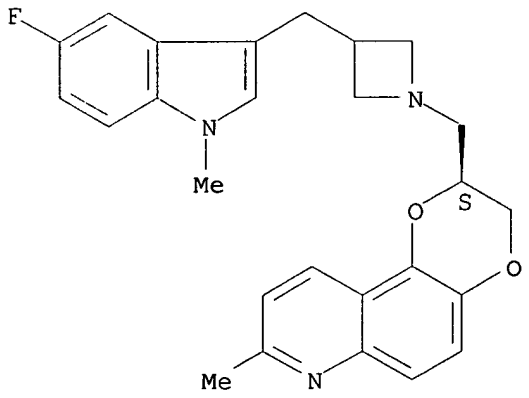
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

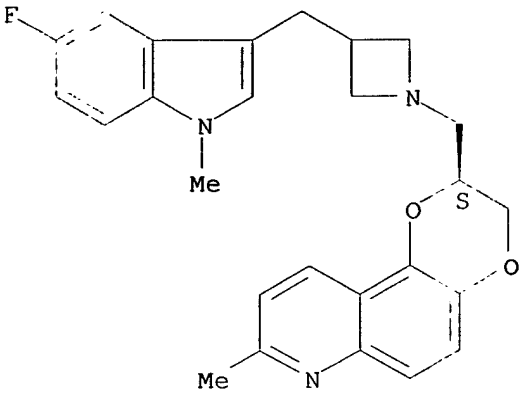


RN 676125-44-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

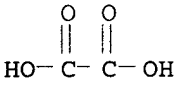
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



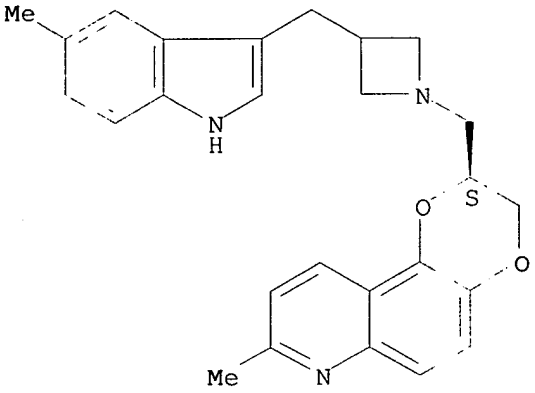
CM 2

CRN 144-62-7
CMF C2 H2 O4



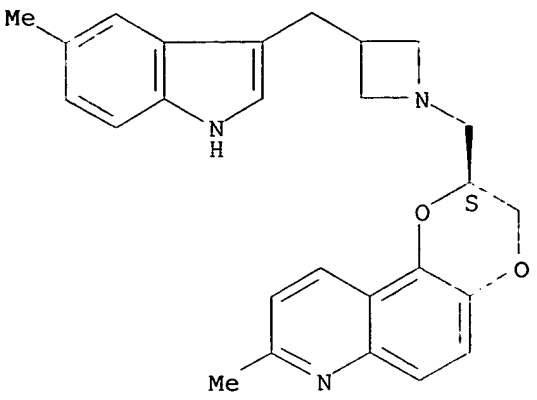
RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



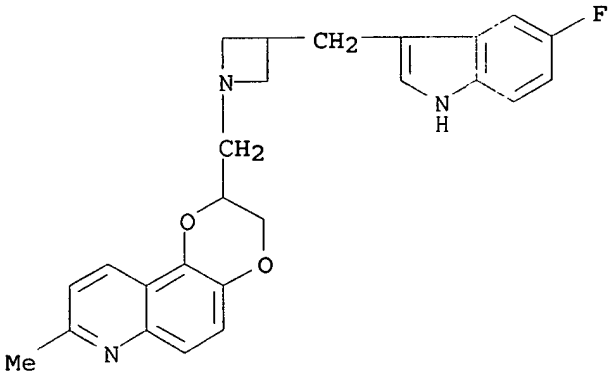
RN 676125-57-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

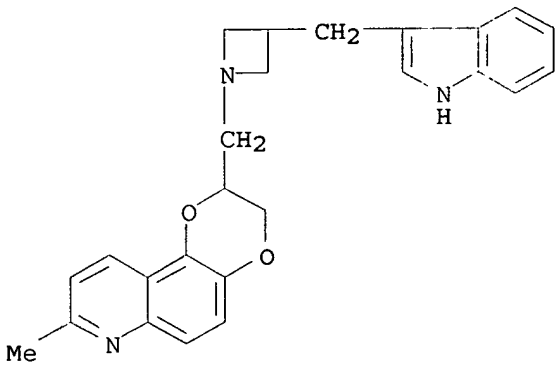


●2 HCl

RN 676125-86-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

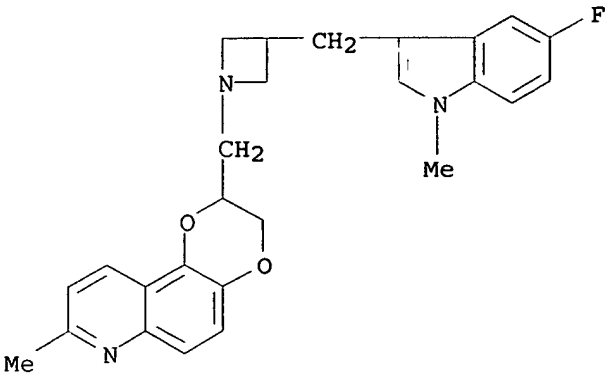


RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)

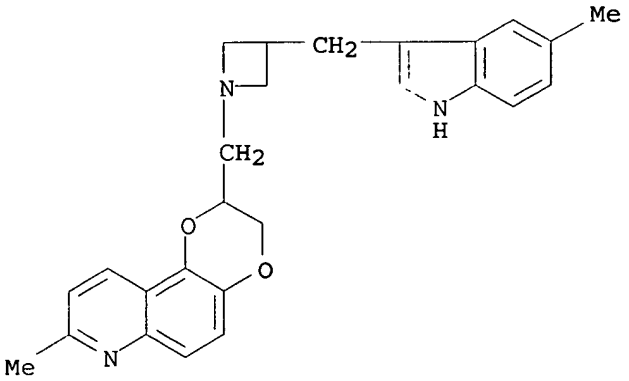


RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-

yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:550738 CAPLUS
DOCUMENT NUMBER: 141:89093
TITLE: Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,168.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | |
|---|---|---|---|---|---|
| US 2004132714 | A1 | 20040708 | US 2003-659167 | | 20030910 |
| CA 2498134 | AA | 20040325 | CA 2003-2498134 | | 20030911 |
| WO 2004024730 | A1 | 20040325 | WO 2003-US28413 | | 20030911 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| EP 1537119 | A1 | 20050608 | EP 2003-752213 | | 20030911 |

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| | | | | | |
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| BR 2003014429 | A | 20050823 | BR 2003-14429 | | 20030911 |
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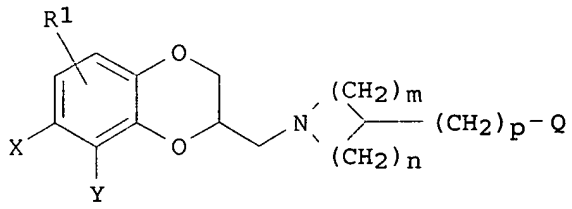
| | | | US 2003-659167 | A | 20030910 |
| | | | WO 2003-US28413 | W | 20030911 |

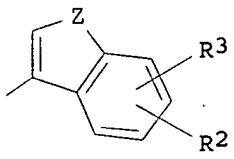
OTHER SOURCE(S): MARPAT 141:89093


GI




I

Q1=

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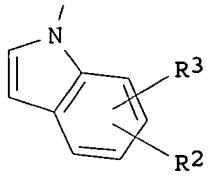




AB (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. [Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-; R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = O, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = an integer from 1 to 4, provided that m+n≤4 and that when m = n = 2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized

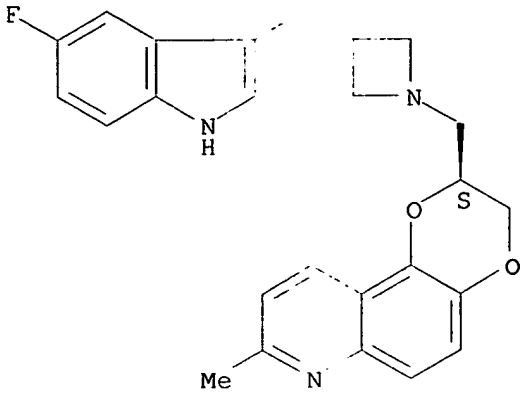
anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4-bromobenzenesulfonic acid (8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinolin-2-yl)methyl ester (0.35 g, 0.80 mmol), 3-[(azetidin-3-yl)methyl]-5-fluoro-1H-indole (0.19 g, 0.96 mmol), and Et3N (0.16 mL, 1.2 mmol) in DMSO (20 mL) was heated at 90° under nitrogen overnight to give, after workup and silica gel chromatog., (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline (II) as a brown oil which was converted into the dihydrochloride. II.2HCl and (S)-1-[2-[1-[(8-Methyl-2,3-dihydro-[1,4]-dioxino[2,3-f]quinolin-2-yl)methyl]azetidin-3-yl]ethyl]-1H-indole-6-carbonitrile showed an affinity to 5-HT1A serotonin receptor in displacing [3H]8-OHDPAT (dipropylaminotetralin) from 5-HT1A serotonin receptor in CHO cells with Ki of 2.50 and 1.52 nM, resp.

IT **676125-36-3P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-38-5P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-42-1P**, (S)-2-[[3-(1H-Indol-3-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-43-2P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-56-7P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-86-3P**, 2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-91-0P**, 2-[3-[3-[(1H-Indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-92-1P**, 2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676126-00-4P**, 8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **716323-03-4P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline oxalate **716323-11-4P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (azaheterocyclylmethyl)heterocycle-fused benzodioxans having affinity to 5-HT1A serotonin receptor as antidepressants)

RN 676125-36-3 CAPLUS

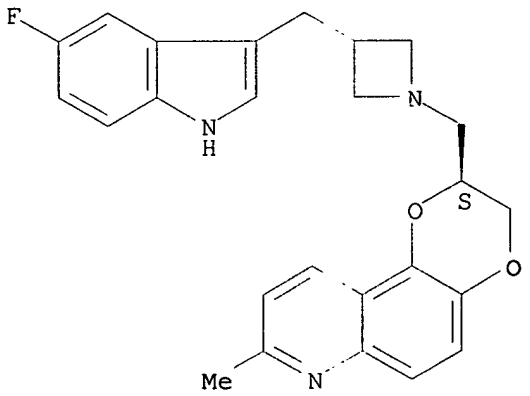
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

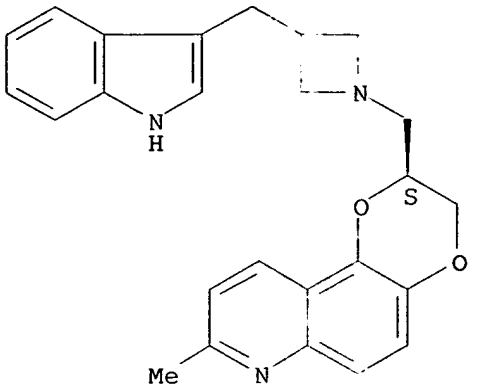
Absolute stereochemistry.



●2 HCl

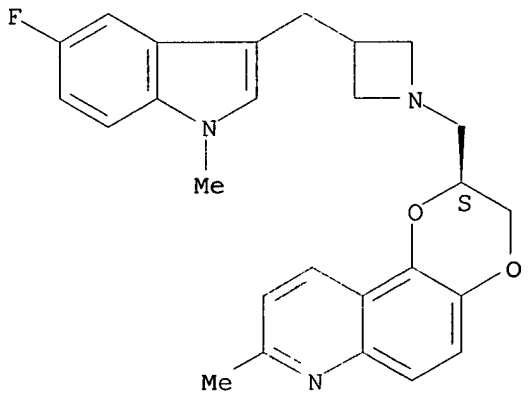
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



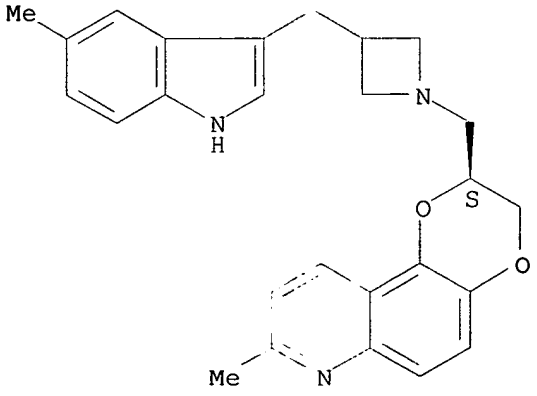
RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



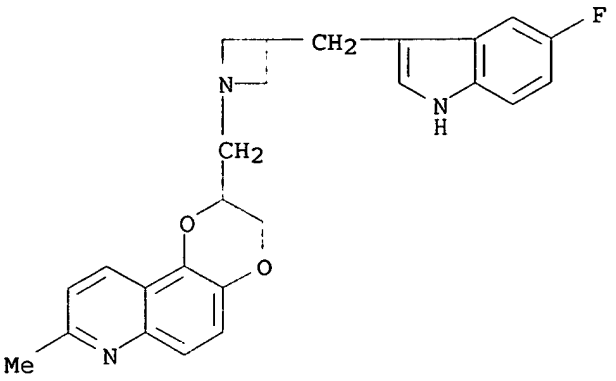
RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

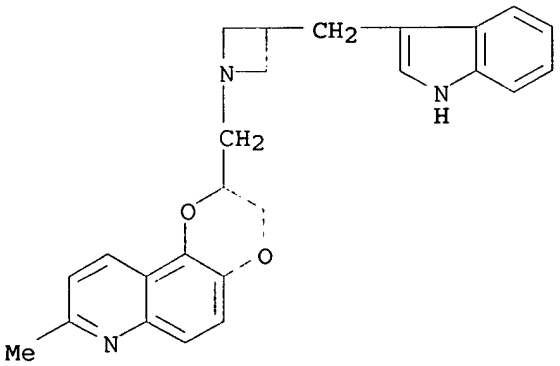


RN 676125-86-3 CAPLUS

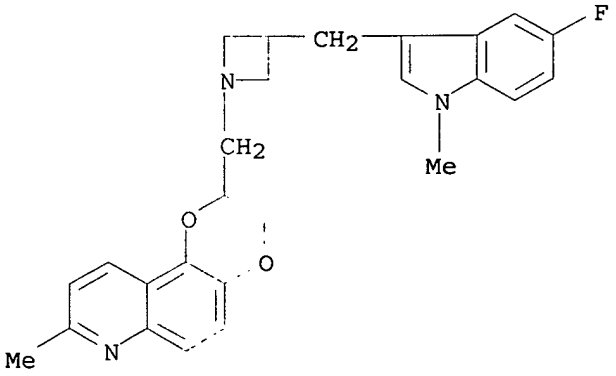
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



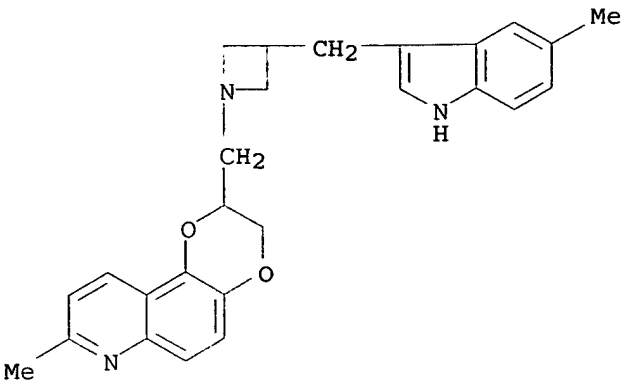
RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)



RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)

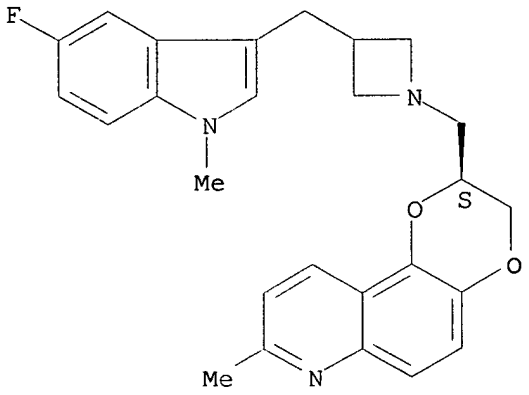


RN 716323-03-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

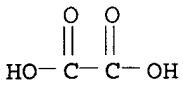
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



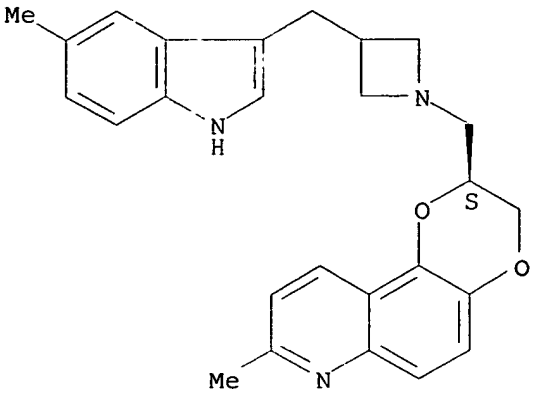
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 716323-11-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




● HCl

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      12.32     173.86

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DICTIONARY FILE UPDATES:  26 DEC 2005  HIGHEST RN 870675-00-6

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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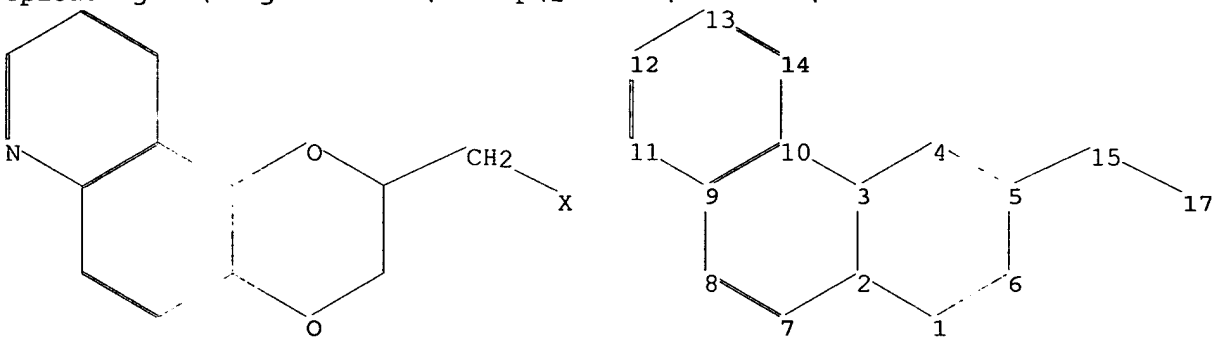
Uploading C:\Program Files\Stnexp\Queries\10659167\Struc 2.str




chain nodes :
15 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
5-15 15-17
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 9-11 10-14 11-12 12-13
13-14
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9
exact bonds :
5-15 15-17
normalized bonds :
9-10 9-11 10-14 11-12 12-13 13-14

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 17:CLASS

L6 STRUCTURE UPLOADED

=> l6
SAMPLE SEARCH INITIATED 08:42:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

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L7             0 SEA SSS SAM L6

=> l6 full
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FULL SCREEN SEARCH COMPLETED -      139 TO ITERATE

100.0% PROCESSED      139 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L8             0 SEA SSS FUL L6

=> file caplus medline scisearch
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     161.76     335.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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=> zhou
L9          1606 ZHOU

=> stack
L10        48413 STACK

=> zhou and stack
L11            1 ZHOU AND STACK

=> d l11 ibib abs

L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         1998:59666  CAPLUS
DOCUMENT NUMBER:          128:109258
TITLE:                    Superconductivity-induced phonon renormalization in
                          (Cu,C)Ba2Ca2Cu4Oz superconductor
AUTHOR(S):                Hadjiev, V. G.; Cardona, M.; Du, Z. L.; Xue, Y. Y.;
                          Chu, C. W.
CORPORATE SOURCE:         Max-Planck-Institut Festkoerperforschung, Stuttgart,
                          D-70569, Germany
SOURCE:                   Physica Status Solidi B: Basic Research (1998),
                          205(1), R1-R2
                          CODEN: PSSBBD; ISSN: 0370-1972
PUBLISHER:                Akademie Verlag GmbH
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB   The supercond.-induced phonon renormalization effect recently discovered (
     Zhou et al. (1997)) for HgBa2Ca3Cu4O10+x (Hg-1234) was now
     investigated in another 4 CuO2-layer-containing superconductor
     (Cu,C)Ba2Ca3Cu4Oz ((Cu,C)-1234) using Raman spectroscopy.  In the
     (Cu,C)-1234 samples, a supercond.-induced phonon self-energy effect
     similar to that observed in Hg-1234 was found.  The only structural features
     the 2 classes of superconducting compds. have in common is the
```

**stack** of CuO2 layers separated by Ca which in the authors' opinion is an indication for the measurement of an intrinsic property related only to the supercond. in the doped CuO2 planes.

```
=> logoff y
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY     SESSION
FULL ESTIMATED COST                                       13.26      348.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                       -0.73       -2.19

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 NEWS  3  SEP 09  ACD predicted properties enhanced in REGISTRY/ZREGISTRY
 NEWS  4  OCT 03  MATHDI removed from STN
 NEWS  5  OCT 04  CA/CAplus-Canadian Intellectual Property Office (CIPO) added
                  to core patent offices
 NEWS  6  OCT 13  New CAS Information Use Policies Effective October 17, 2005
 NEWS  7  OCT 17  STN(R) AnaVist(TM), Version 1.01, allows the export/download
                  of CAplus documents for use in third-party analysis and
                  visualization tools
 NEWS  8  OCT 27  Free KWIC format extended in full-text databases
 NEWS  9  OCT 27  DIOGENES content streamlined
 NEWS 10  OCT 27  EPFULL enhanced with additional content
 NEWS 11  NOV 14  CA/CAplus - Expanded coverage of German academic research
 NEWS 12  NOV 30  REGISTRY/ZREGISTRY on STN(R) enhanced with experimental
                  spectral property data
 NEWS 13  DEC 05  CASREACT(R) - Over 10 million reactions available
 NEWS 14  DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE
 NEWS 15  DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
 NEWS 16  DEC 14 CA/CAplus to be enhanced with updated IPC codes
 NEWS 17  DEC 16 MARPATprev will be removed from STN on December 31, 2005
 NEWS 18  DEC 21 IPC search and display fields enhanced in CA/CAplus with the
                 IPC reform
 NEWS 19  DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/USPAT2

 NEWS EXPRESS  DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
               V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
               http://download.cas.org/express/v8.0-Discover/
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FILE 'HOME' ENTERED AT 10:42:49 ON 03 JAN 2006

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     0.21       0.21

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STRUCTURE FILE UPDATES:     2 JAN 2006  HIGHEST RN 870976-29-7
DICTIONARY FILE UPDATES:    2 JAN 2006  HIGHEST RN 870976-29-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

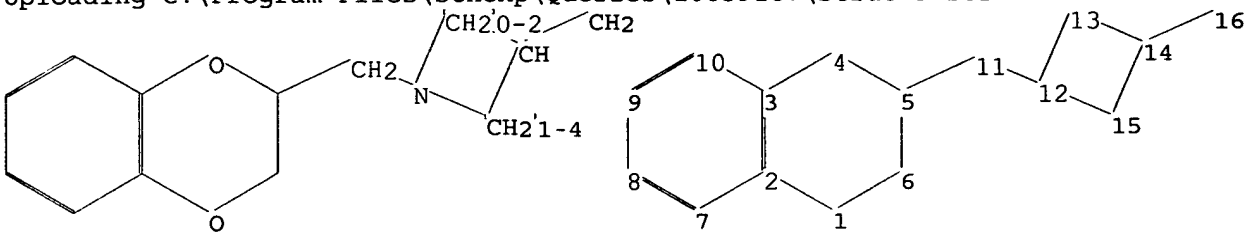
*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.
```

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10659167\Struc 3.str




chain nodes :
11 16
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15
chain bonds :
5-11 11-12 14-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-15 13-14 14-15

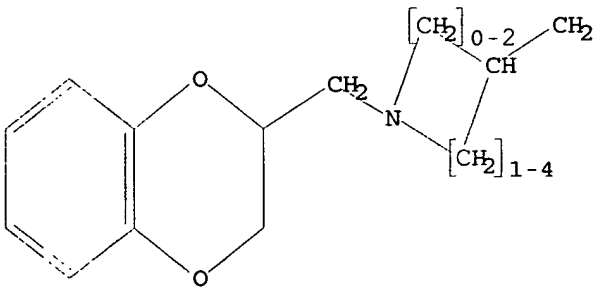
exact/norm bonds :
1-2 1-6 3-4 4-5 5-6 12-13 12-15 13-14 14-15
exact bonds :
5-11 11-12 14-16
normalized bonds :
2-3 2-7 3-10 7-8 8-9 9-10

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR




G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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=> l1
SAMPLE SEARCH INITIATED 10:43:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       90 TO ITERATE

100.0% PROCESSED       90 ITERATIONS                              20 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1231 TO     2369
PROJECTED ANSWERS:             132 TO      668

L2            20 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 10:43:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2212 TO ITERATE

100.0% PROCESSED     2212 ITERATIONS                             501 ANSWERS
SEARCH TIME: 00.00.01

L3           501 SEA SSS FUL L1

=> file caplus medline
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                              166.94     167.15

FILE 'CAPLUS' ENTERED AT 10:43:43 ON 03 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 10:43:43 ON 03 JAN 2006

=> l3
L4            34 L3

=> dup rem l3
DUPLICATE IS NOT AVAILABLE IN 'REGISTRY'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                              10.87      178.02

FILE 'REGISTRY' ENTERED AT 10:43:50 ON 03 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    2 JAN 2006  HIGHEST RN 870976-29-7
DICTIONARY FILE UPDATES:   2 JAN 2006  HIGHEST RN 870976-29-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.
```

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html
PROCESSING COMPLETED FOR L3
L5 501 DUP REM L3 (0 DUPLICATES REMOVED)

=> file caplus medline

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.44 | 178.46 |

FILE 'CAPLUS' ENTERED AT 10:43:57 ON 03 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'MEDLINE' ENTERED AT 10:43:57 ON 03 JAN 2006

=> dup rem l4
PROCESSING COMPLETED FOR L4
L6 33 DUP REM L4 (1 DUPLICATE REMOVED)

=> d scan

L6 33 ANSWERS CAPLUS COPYRIGHT 2006 ACS on STN
IC C07D401-12; C07D405-14; C07D413-12; A61K031-445; C07D413-14
CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
TI Substituted 2,5-diamino-1,4-diazole derivatives with antihypertensive activity
ST heterocyclylaminoalkylpiperidine antihypertensive prepn; aralkylpiperidinoalkylaminodiazole; piperidinoalkylaminodiazole
IT Antihypertensives
(heterocyclylaminoalkylpiperidines)
IT 89483-73-8 **89483-76-1 90618-24-9** 90618-25-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with hydrazines and hydroxylamines)
IT 60-34-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with methylisothioureidyl alkylpiperidine derivs.)
IT **90618-34-1 90618-35-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with methylisothioureidylalkylpiperidine derivs.)
IT **89483-82-9P 90618-29-4P 90618-30-7P**

**90618-31-8P** 90618-37-4P 90618-38-5P 90618-39-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazine)
IT 89483-87-4P 90618-27-2P 90618-28-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazines)
IT 89483-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydroxyl amine)
IT 90618-32-9P 90618-33-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydroxylamine)
IT 90618-42-1P 90618-43-2P 90618-44-3P 90618-45-4P 90618-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 90618-40-9P 90618-41-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
IT 10191-60-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminoalkylpiperidines)
IT **89483-81-8** 89483-91-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyaniminodithiocarbonate)
IT 89483-86-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanoiminodithiocarbanate)
IT 90618-36-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanoiminodithiocarbonate)
IT 89483-31-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrazine)
IT 302-01-2, reactions 5470-11-1 90618-26-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylisothioureidylakylpiperidines)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

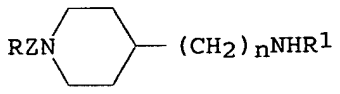
=> d ibib abs hitstr 25-33

L6 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:424269 CAPLUS
DOCUMENT NUMBER: 105:24269
TITLE: Antihypertensive substituted diaminodiazoles and -triazoles
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles
PATENT ASSIGNEE(S): Fr.
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | |
|---|---|---|---|---|
| US 4569933 | A | 19860211 | US 1984-599784 | 19840413 |
| PRIORITY APPLN. INFO.: | | | US 1984-599784 | 19840413 |

GI



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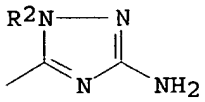
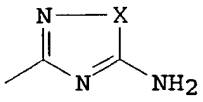
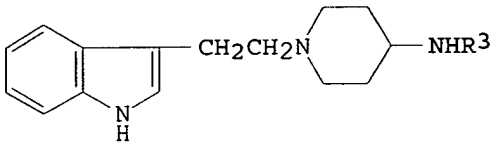
Q=  Q1= 



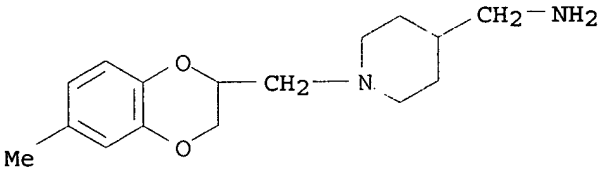
II

AB The title compds. [I; R = pyridinyl, oxazinyl, pyrazinyl, (un)substituted Ph, tetrahydronaphthyl, benzodioxanyl, benzodioxenyl, quinolinyl, thiachromanyl, indolyl, bicyclic heteroaryl; R1 = Q, Q1; X = N R2, O; R2 = H, alkyl; Z = (un)substituted alkylene, n = 0-2] were prepared as antihypertensives. Thus, 4-(acetylamino)piperidine was alkylated with 3-(2-bromoethyl)indole to give (indolylethyl)piperidine II (R3 = Ac). This was deacetylated and condensed with MeSC(:NCN)OMe to give II [R3 = C(:NCN)SMe], which was cyclocondensed with N2H4 to give II (R3 = 2-amino-1,3,5-triazol-5-yl). In rats 12-5 mg I/kg orally significantly reduced blood pressure.

IT **89483-81-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with (cyanoimino)dithiocarbonate)

RN 89483-81-8 CAPLUS

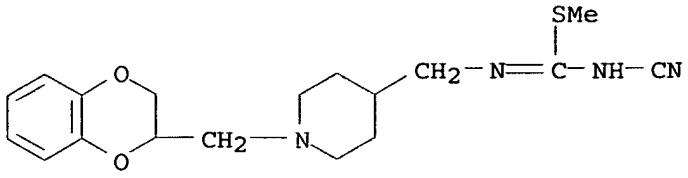
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



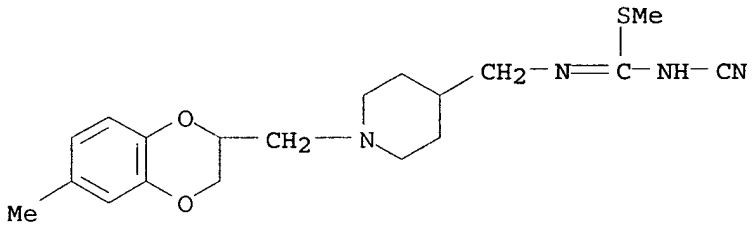
IT **89483-76-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with hydrazine or hydroxylamine)

RN 89483-76-1 CAPLUS

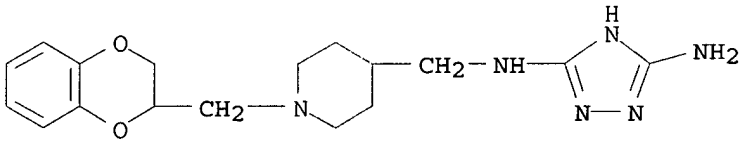
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



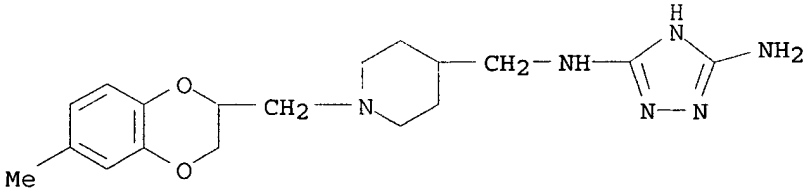
IT **89483-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with hydrazine or hydroxylamine)
RN 89483-82-9 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **90618-24-9P 90618-29-4P 90618-30-7P**
**90618-31-8P 90618-34-1P 90618-35-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
RN 90618-24-9 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

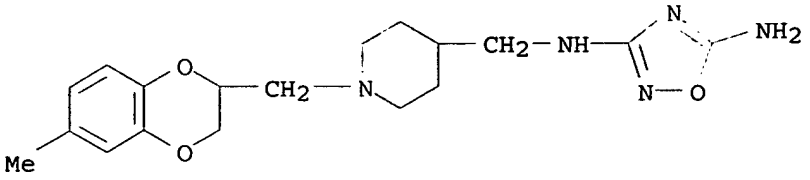


RN 90618-29-4 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

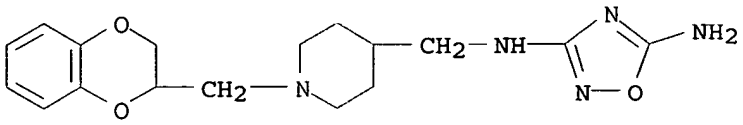


RN 90618-30-7 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-6-methyl-1,4-

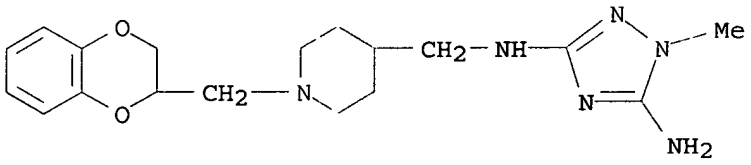
benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



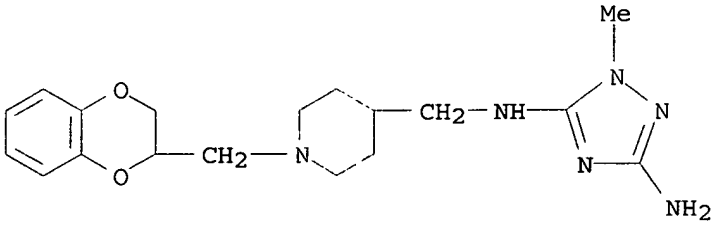
RN 90618-31-8 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 90618-34-1 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 90618-35-2 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N5-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:114567 CAPLUS
DOCUMENT NUMBER: 110:114567
TITLE: Preparation of (4-Piperidinylmethyl and -hetero)purines as antiallergic agents
INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas Marcella
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 102 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 206415 | A2 | 19861230 | EP 1986-201048 | 19860617 |
| EP 206415 | A3 | 19880316 | | |
| EP 206415 | B1 | 19930127 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| CA 1267889 | A1 | 19900417 | CA 1986-511113 | 19860609 |
| SU 1581221 | A3 | 19900723 | SU 1986-4027617 | 19860610 |
| AT 85055 | E | 19930215 | AT 1986-201048 | 19860617 |
| JP 62000487 | A2 | 19870106 | JP 1986-143155 | 19860620 |
| ES 556381 | A1 | 19871116 | ES 1986-556381 | 19860620 |
| DK 8602952 | A | 19861225 | DK 1986-2952 | 19860623 |
| DK 169073 | B1 | 19940808 | | |
| FI 8602655 | A | 19861225 | FI 1986-2655 | 19860623 |
| FI 85704 | B | 19920214 | | |
| FI 85704 | C | 19920525 | | |
| NO 8602504 | A | 19861229 | NO 1986-2504 | 19860623 |
| NO 163956 | B | 19900507 | | |
| NO 163956 | C | 19900815 | | |
| AU 8659191 | A1 | 19870108 | AU 1986-59191 | 19860623 |
| AU 588890 | B2 | 19890928 | | |
| HU 42095 | A2 | 19870629 | HU 1986-2631 | 19860623 |
| HU 199143 | B | 19900129 | | |
| ZA 8604677 | A | 19880224 | ZA 1986-4677 | 19860623 |
| IL 79193 | A1 | 19901105 | IL 1986-79193 | 19860623 |
| US 5041448 | A | 19910820 | US 1989-323250 | 19890309 |
| US 5258380 | A | 19931102 | US 1991-719273 | 19910621 |

PRIORITY APPLN. INFO.:

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| GB 1985-15934 | A | 19850624 |
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| EP 1986-201048 | A | 19860617 |
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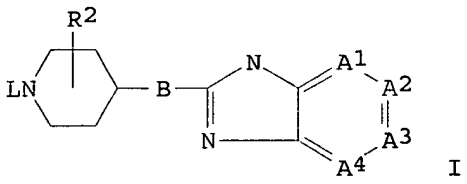
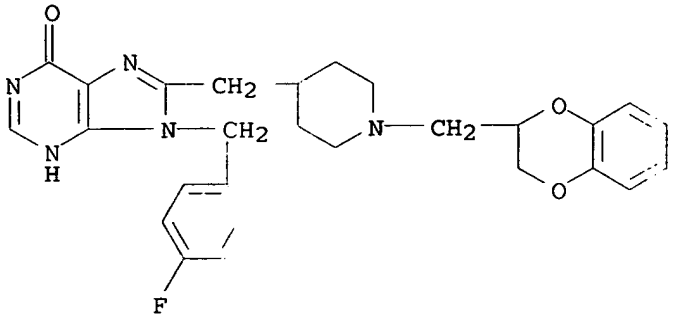



I

AB The title compds. I [A1:A2:A3:A4 = N:CHN:CH, CH:NCH:N, wherein 1 or 2 H may each be replaced by halo, C1-6 alkyl, C1-6 alkoxy, F3C, HO; R1 = H, C1-10 alkyl, C3-6 cycloalkyl, etc.; R2 = H, C1-6 alkyl; B = H2C, O, S, SO, SO2, NR, R = H, C1-6 alkyl, C3-6 cycloalkyl, etc.; L = (un)substituted methoxyalkyl, -methylthioalkyl, -alkoxycarbonyl, alkylthio, (un)substituted alkyl, optionally with heteroatom interrupters, (un)substituted N-heterocyclyl, (un)substituted pyrimidinyloxyalkyl, -thioalkyl, etc., with restrictions] and their salts, useful as antiallergic agents, were prepared 2-Ethenylpyridine, 9-[(4-fluorophenyl)methyl]-N-(4-piperidinyl)-9H-purin-8-amine and BuOH were refluxed overnight to give 9-[(4-fluorophenyl)methyl]-N-[1-[2-(2-pyridinyl)ethyl]-4-piperidinyl]-9H-purin-8-amine (II). In tests in rats against compound 48/80, a potent histamine releasing agent, at 0.5 mg/kg, the ED50 of II was 0.01 mg/kg.

IT **116062-73-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergic agent)
RN 116062-73-8 CAPLUS
CN 6H-Purin-6-one, 8-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-9-[(4-fluorophenyl)methyl]-1,9-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:67298 CAPLUS
DOCUMENT NUMBER: 106:67298
TITLE: Preparation of substituted N-[(4-piperidinyl)alkyl] bicyclic condensed oxazol- and thiazolamines and pharmaceuticals containing them.
INVENTOR(S): Janssens, Frans Eduard; Van Offenwert, Theophilus Theresia; Stokbroekx, Raymond Antoine; Boar, Bernard Robin
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V.,. Belg.
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 199400 | A2 | 19861029 | EP 1986-200552 | 19860402 |
| EP 199400 | A3 | 19870819 | | |
| EP 199400 | B1 | 19900926 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| US 4689330 | A | 19870825 | US 1986-833710 | 19860227 |
| AT 56972 | E | 19901015 | AT 1986-200552 | 19860402 |
| CA 1271474 | A1 | 19900710 | CA 1986-505892 | 19860404 |
| JP 62129282 | A2 | 19870611 | JP 1986-78429 | 19860407 |
| JP 08000824 | B4 | 19960110 | | |
| CN 86102349 | A | 19861119 | CN 1986-102349 | 19860408 |
| CN 1019393 | B | 19921209 | | |
| ES 553936 | A1 | 19870101 | ES 1986-553936 | 19860411 |
| DK 8601694 | A | 19861016 | DK 1986-1694 | 19860414 |
| DK 165183 | B | 19921019 | | |
| DK 165183 | C | 19930315 | | |
| FI 8601562 | A | 19861016 | FI 1986-1562 | 19860414 |
| FI 82047 | B | 19900928 | | |
| FI 82047 | C | 19910110 | | |
| NO 8601442 | A | 19861016 | NO 1986-1442 | 19860414 |
| NO 163818 | B | 19900417 | | |
| NO 163818 | C | 19900725 | | |

| | | | | |
|---|---|---|---|---|
| HU 40633 | A2 | 19870128 | HU 1986-1560 | 19860414 |
| HU 196393 | B | 19881128 | | |
| ZA 8602776 | A | 19871125 | ZA 1986-2776 | 19860414 |
| SU 1524809 | A3 | 19891123 | SU 1986-4027244 | 19860414 |
| IL 78487 | A1 | 19891215 | IL 1986-78487 | 19860414 |
| AU 8656135 | A1 | 19861023 | AU 1986-56135 | 19860415 |
| AU 582642 | B2 | 19890406 | | |
| US 4749702 | A | 19880607 | US 1987-45936 | 19870623 |
| US 4826848 | A | 19890502 | US 1988-156379 | 19880216 |

PRIORITY APPLN. INFO.:
US 1985-723400 A 19850415
US 1986-833710 A3 19860227
EP 1986-200552 A 19860402
US 1987-45936 A3 19870623

OTHER SOURCE(S): CASREACT 106:67298

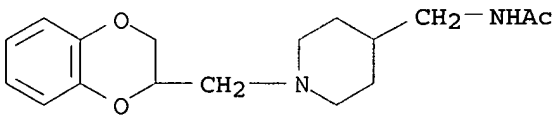
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, C1-6 alkyl, C1-6 alkoxy, OH; R1 = H, C1-6 alkyl, C1-6 alkanoyl, aroyl, C1-6 alkoxycarbonyl, (un)substituted aralkyl, heteroarylalkyl; R2 = Q, R3R4C6H3OZ; R3, R4 = H, OH, C1-6 alkyl, C1-6 alkoxy, phenylalkoxy, halo, CF3; R5 = H, C1-6 alkyl; X = O, S; X1 = CH2, O; Z = C1-4 alkylene; A = (un)substituted, fused benzene, pyridine, or pyrimidine ring] were prepared as anti-Parkinson or enterokinetic agents (no data) or antidepressants. 1-Acetyl-4-piperidinemethanamine was condensed with CS2 in presence of dicyclohexylcarbodiimide to give 1-acetyl-4-(isothiocyanatomethyl)piperidine, which was condensed with PhNH2 to give a thiourea derivative The latter was cyclized and deacetylated to give N-(4-piperidinylmethyl)-2-benzothiazolamine-2HBr. This was N-alkylated with (R)-(-)-2,3-dihydro-1,4-benzodioxin-2-ylmethyl tosylate to give benzodioxinmethanamine (S)-(-)-II (III). As an antidepressant III reversed xylazine-induced loss of righting reflex in rats with an ED50 of 0.11 mg/kg. Capsules were prepared containing active ingredient 20, Na lauryl sulfate 6, starch 56, lactose 56, colloidal SiO2 0.8, and Mg stearate 1.2 g per 1000.

IT **106245-11-8P 106245-12-9P 106245-13-0P 106249-94-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)

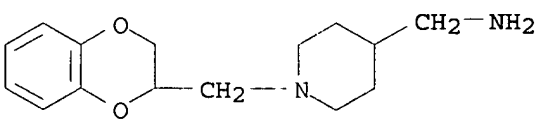
RN 106245-11-8 CAPLUS

CN Acetamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



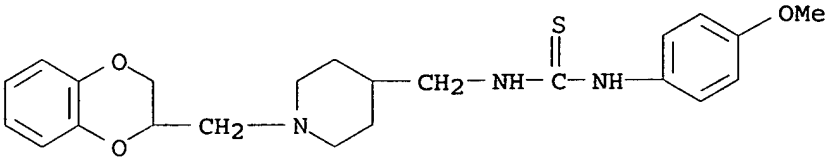

RN 106245-12-9 CAPLUS

CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, dihydrobromide (9CI) (CA INDEX NAME)

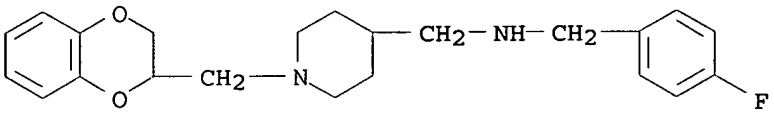



●2 HBr

RN 106245-13-0 CAPLUS
CN Thiourea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

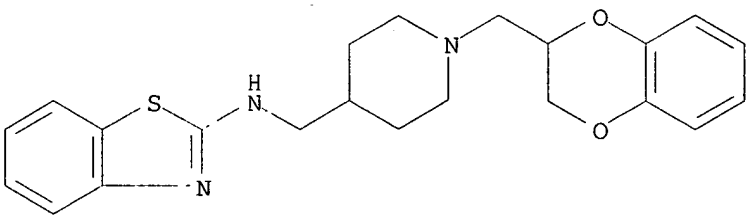


RN 106249-94-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



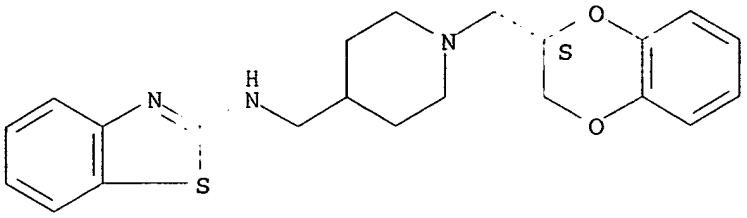
IT **104383-18-8P 104383-19-9P 104383-20-2P**
**106244-01-3P 106244-03-5P 106244-04-6P**
**106244-05-7P 106244-06-8P 106244-08-0P**
**106244-09-1P 106244-14-8P 106244-15-9P**
**106244-16-0P 106244-17-1P 106244-18-2P**
**106244-19-3P 106244-20-6P 106244-24-0P**
**106244-25-1P 106244-26-2P 106244-27-3P**
**106244-30-8P 106244-31-9P 106244-43-3P**
**106244-44-4P 106244-49-9P 106244-50-2P**
**106244-51-3P 106244-58-0P 106244-60-4P**
**106244-61-5P 106244-62-6P 106244-66-0P**
**106244-67-1P 106244-68-2P 106244-69-3P**
**106244-70-6P 106244-71-7P 106249-92-7P**
**106249-93-8P 106257-40-3P 106257-41-4P**
**106257-42-5P 106257-44-7P 106294-99-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 104383-18-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



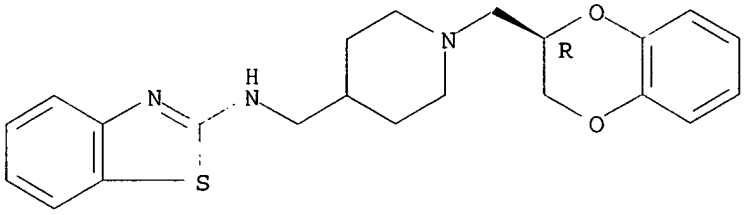
RN 104383-19-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

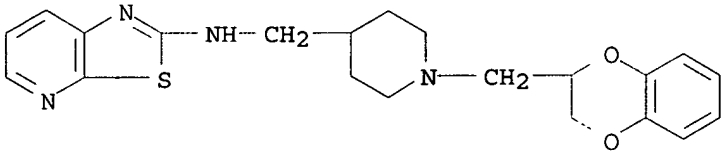


RN 104383-20-2 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

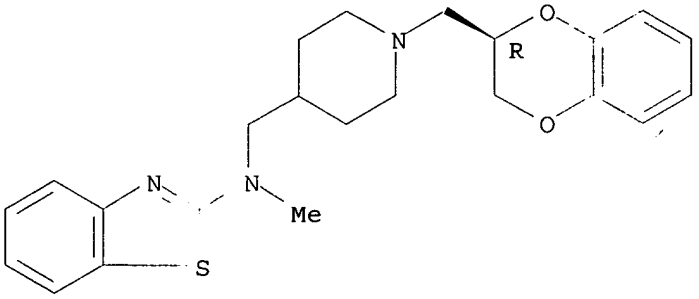


RN 106244-01-3 CAPLUS
CN Thiazolo[5,4-b]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



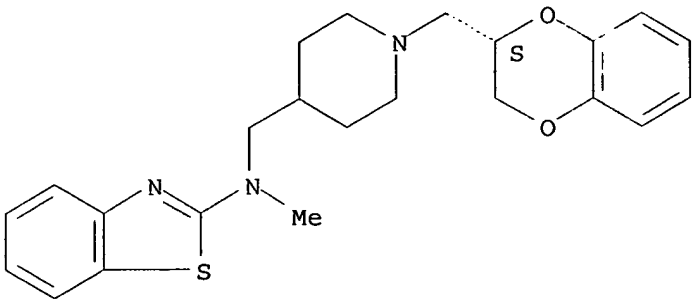
RN 106244-03-5 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



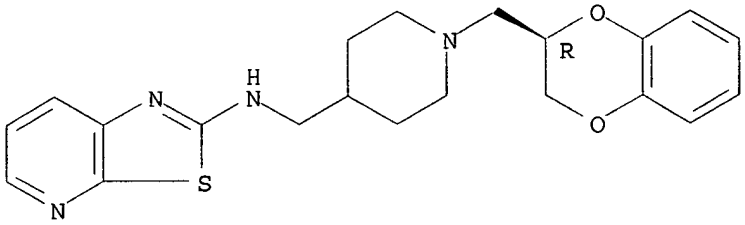
RN 106244-04-6 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



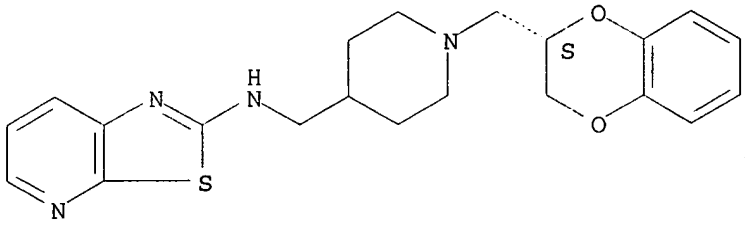
RN 106244-05-7 CAPLUS
CN Thiazolo[5,4-b]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

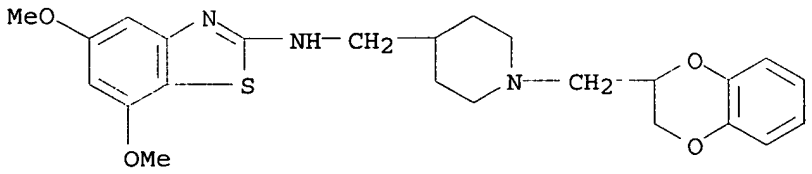


RN 106244-06-8 CAPLUS
CN Thiazolo[5,4-b]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

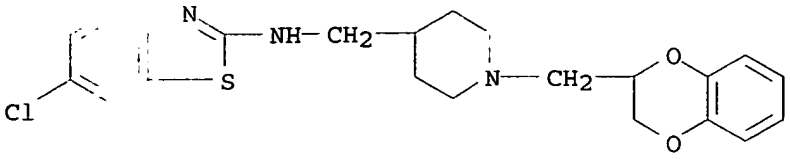
Absolute stereochemistry.



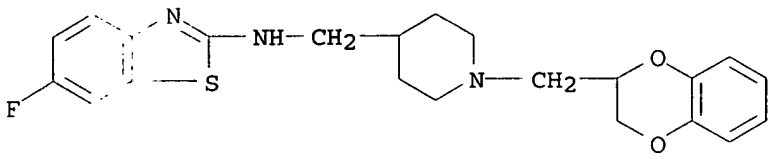
RN 106244-08-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,7-dimethoxy- (9CI) (CA INDEX NAME)



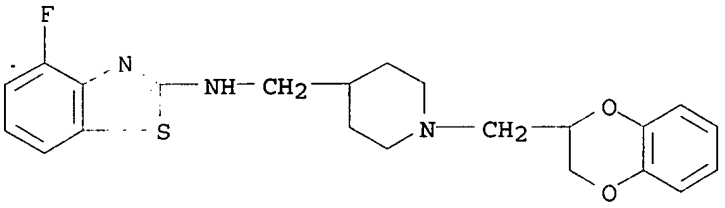
RN 106244-09-1 CAPLUS
CN 2-Benzothiazolamine, 6-chloro-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



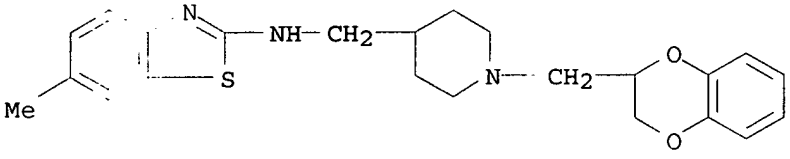
RN 106244-14-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-fluoro- (9CI) (CA INDEX NAME)



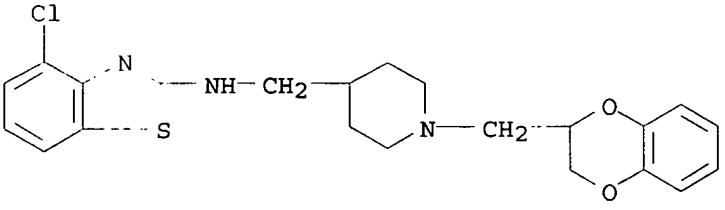
RN 106244-15-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



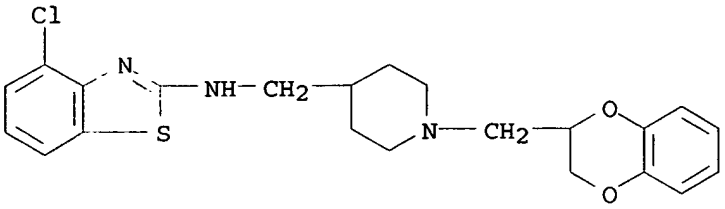
RN 106244-16-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 106244-17-1 CAPLUS
CN 2-Benzothiazolamine, 4-chloro-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

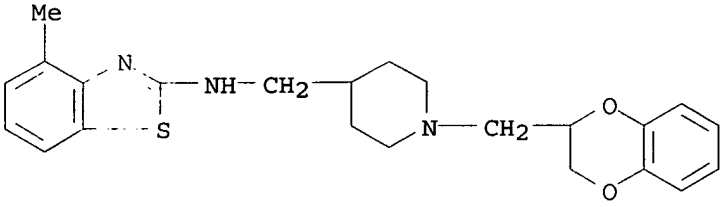


RN 106244-18-2 CAPLUS
CN 2-Benzothiazolamine, 4-chloro-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrobromide (9CI) (CA INDEX NAME)

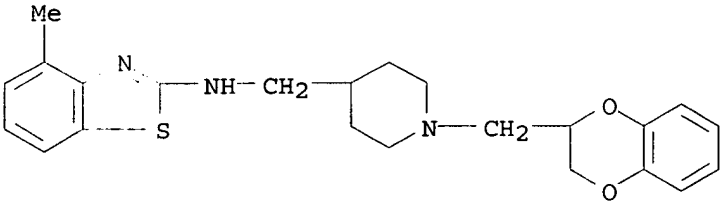


●2 HBr

RN 106244-19-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

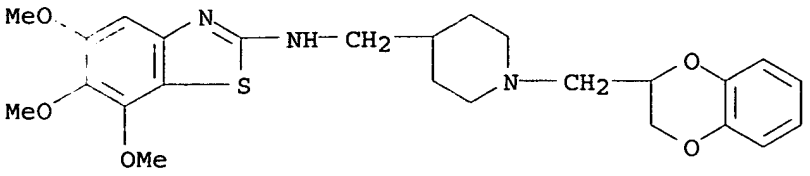


RN 106244-20-6 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl-, dihydrobromide (9CI) (CA INDEX NAME)

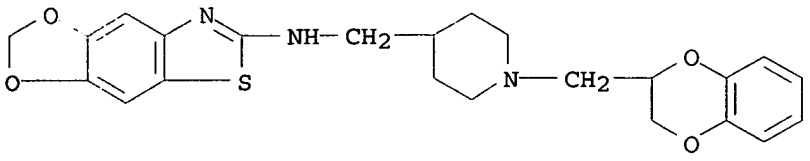


●2 HBr

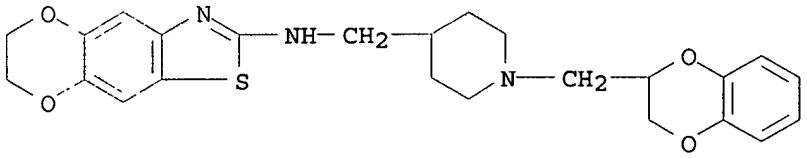
RN 106244-24-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy- (9CI) (CA INDEX NAME)



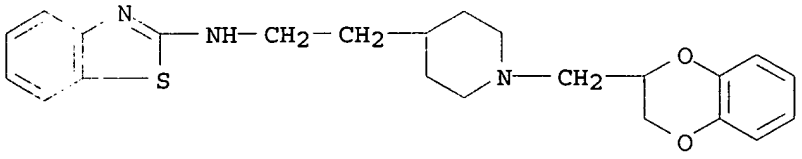
RN 106244-25-1 CAPLUS
CN 1,3-Dioxolo[4,5-f]benzothiazol-6-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



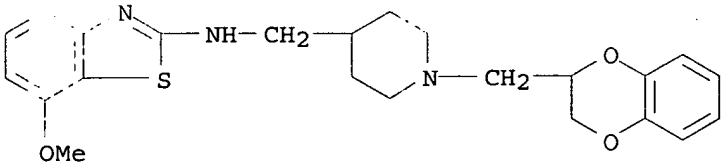
RN 106244-26-2 CAPLUS
CN [1,4]Dioxino[2,3-f]benzothiazol-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6,7-dihydro- (9CI) (CA INDEX NAME)



RN 106244-27-3 CAPLUS
CN 2-Benzothiazolamine, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



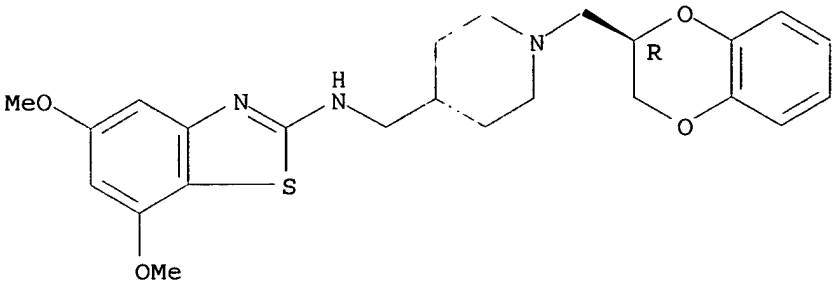
RN 106244-30-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-7-methoxy- (9CI) (CA INDEX NAME)



RN 106244-31-9 CAPLUS

CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,7-dimethoxy-, (R)- (9CI) (CA INDEX NAME)

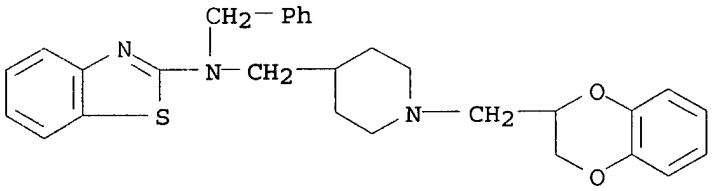
Absolute stereochemistry.




RN 106244-43-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-(phenylmethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

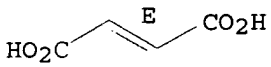
CRN 106244-42-2
CMF C29 H31 N3 O2 S



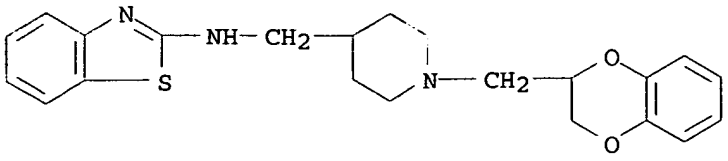

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



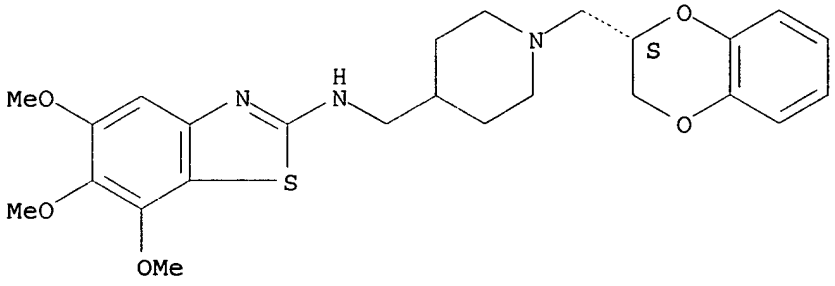

RN 106244-44-4 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

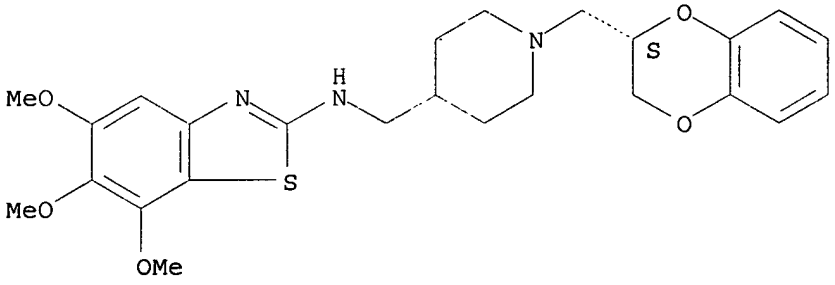
RN 106244-49-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 106244-50-2 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

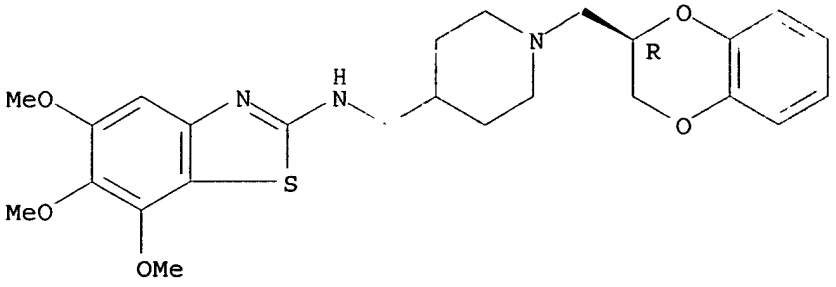
Absolute stereochemistry.



●2 HCl

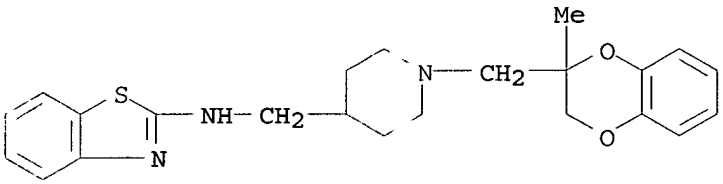
RN 106244-51-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

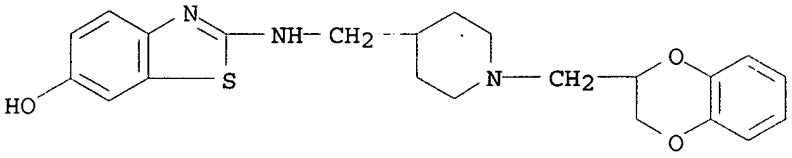


● 2 HCl

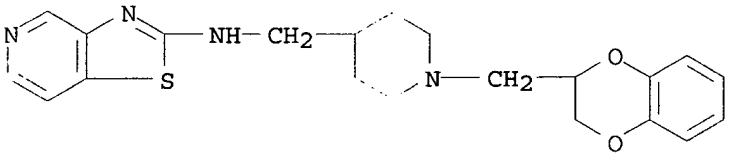
RN 106244-58-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-2-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



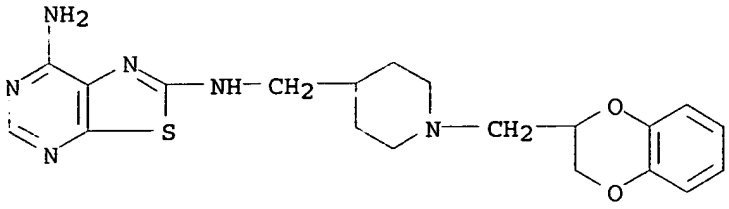
RN 106244-60-4 CAPLUS
CN 6-Benzothiazolol, 2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 106244-61-5 CAPLUS
CN Thiazolo[4,5-c]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



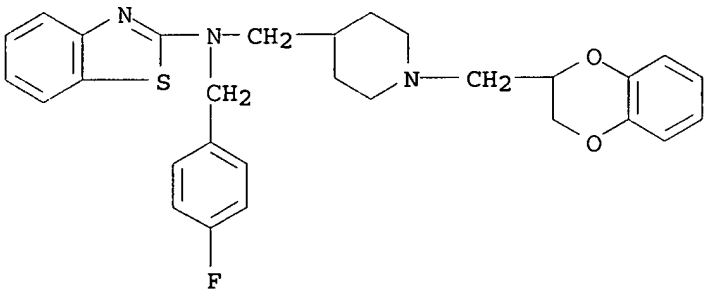
RN 106244-62-6 CAPLUS
CN Thiazolo[5,4-d]pyrimidine-2,7-diamine, N2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 106244-66-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-[(4-fluorophenyl)methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

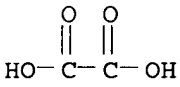
CM 1

CRN 106244-65-9
CMF C29 H30 F N3 O2 S

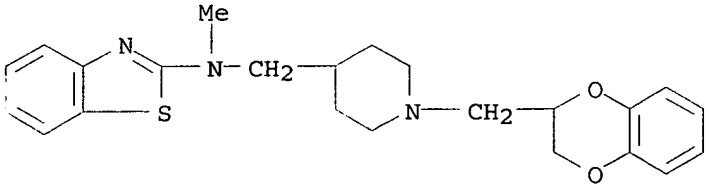


CM 2

CRN 144-62-7
CMF C2 H2 O4

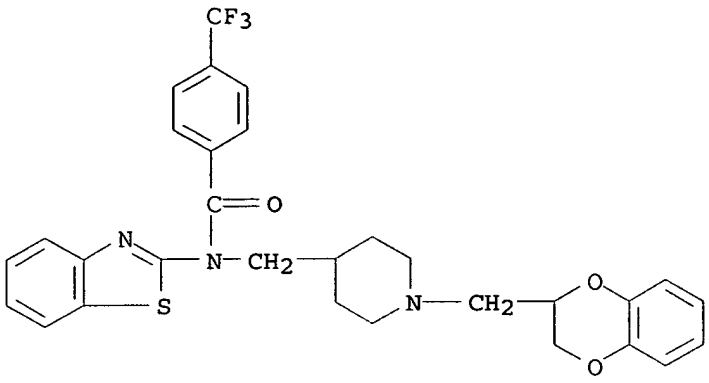


RN 106244-67-1 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

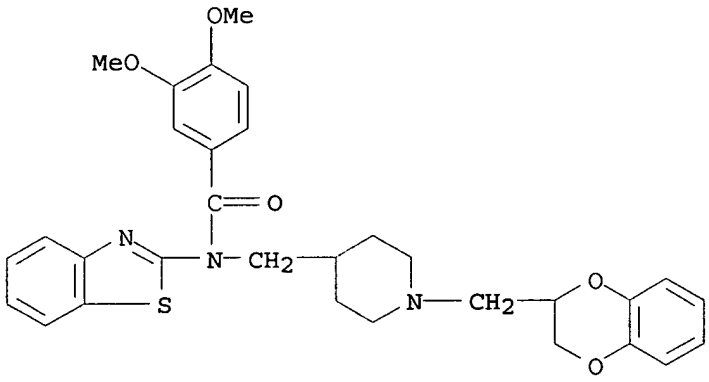


RN 106244-68-2 CAPLUS
CN Benzamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX

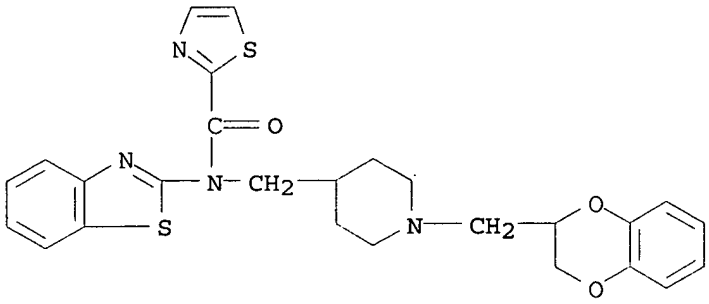
NAME)



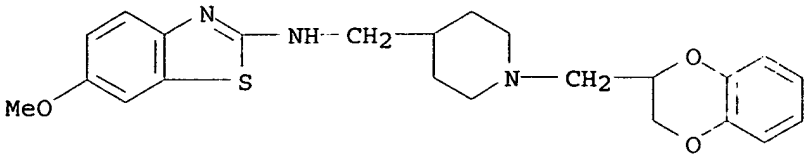
RN 106244-69-3 CAPLUS
CN Benzamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)



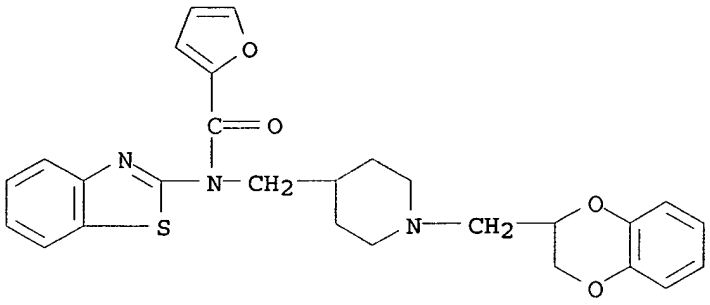
RN 106244-70-6 CAPLUS
CN 2-Thiazolecarboxamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 106244-71-7 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-methoxy- (9CI) (CA INDEX NAME)

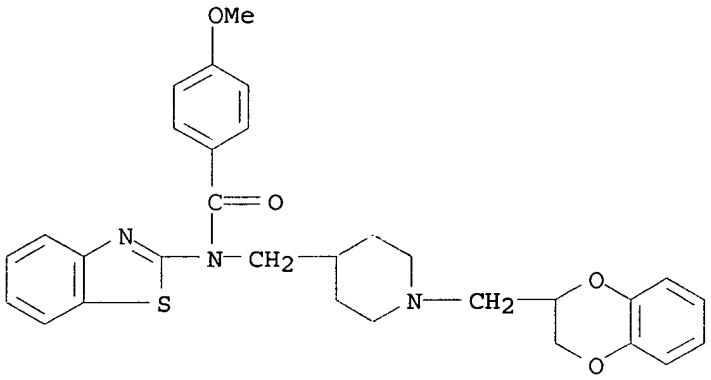


RN 106249-92-7 CAPLUS
CN 2-Furancarboxamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

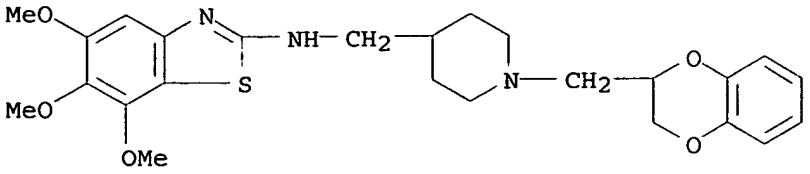
RN 106249-93-8 CAPLUS
CN Benzamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 106257-40-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

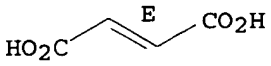
CRN 106244-24-0
CMF C25 H31 N3 O5 S



CM 2

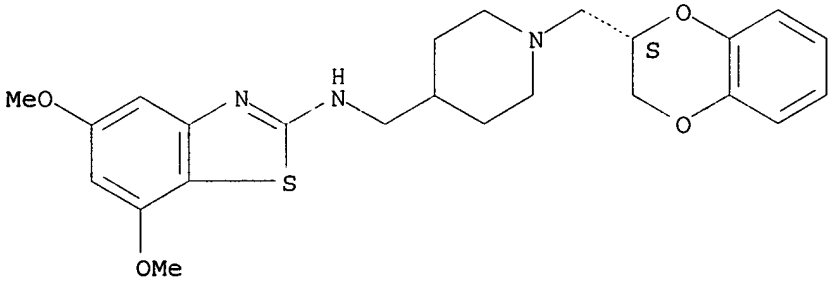
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



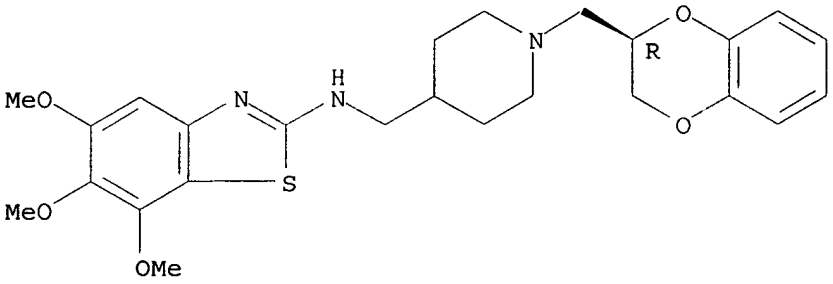
RN 106257-41-4 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,7-dimethoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

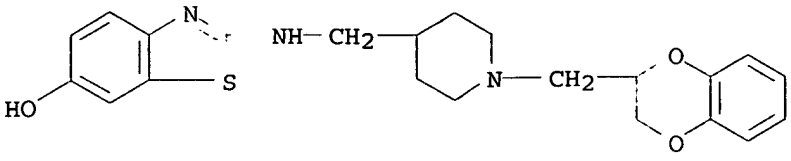


RN 106257-42-5 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

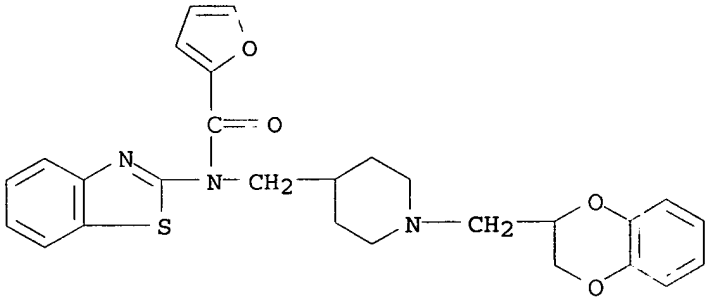


RN 106257-44-7 CAPLUS
CN 6-Benzothiazolol, 2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

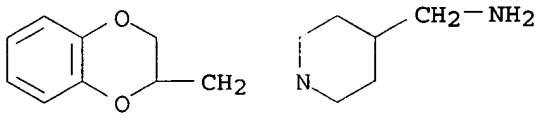


●2 HCl

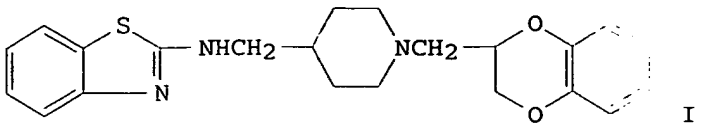
RN 106294-99-9 CAPLUS
CN 2-Furancarboxamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **89483-75-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive alkylation of, with fluorobenzaldehyde)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:546012 CAPLUS
DOCUMENT NUMBER: 105:146012
TITLE: In vivo pharmacological activity of R 47 243 in rat: a comparison with putative α2-adrenoceptor antagonists
AUTHOR(S): Colpaert, Francis C.; Raeymaekers, Leen
CORPORATE SOURCE: Dep. Psychopharmacol., Janssen Pharm. Res. Lab., Beerse, B-2340, Belg.
SOURCE: Drug Development Research (1986), 8(1-4), 361-71
CODEN: DDREDK; ISSN: 0272-4391
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

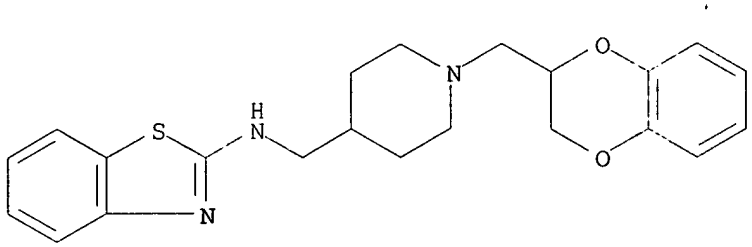


I

AB The effects of the racemate R 47 243 (I) [**104383-18-8**] and its (-)i [**104383-19-9**] and (+)- [**104383-20-2**] isomers as well as those of the putative α2-antagonists yohimbine [146-48-5], piperoxan [59-39-2], CGS 7525 A [71576-41-5], and idazoxan [79944-58-4] were studied after oral and(or) s.c. administration to rats. The expts. determined the antagonism produced by these compds. of the loss of the righting reflex (LRR) and of the exophthalmia (EXO) induced by i.p. injection of xylazine. Antagonism of LRR constitutes an in vivo measure of drug antagonist effects at central nervous system receptors that mediate behavioral depression produced by putative α2-agonists; antagonism of EXO offers an in vivo measure of α1-antagonist activity. More so than idazoxan or any of the other putative α2-antagonists tested, R 47 243 appeared to be a potent, long acting, and specific antagonist; it also acted as a full antagonist rather than as a partial agonist and showed excellent oral absorption. The (-)-isomer had activity similar to that of the racemate, whereas the (+)-isomer was less potent.

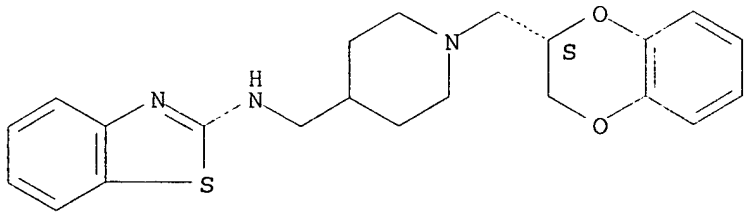
IT **104383-18-8 104383-19-9 104383-20-2**
RL: BIOL (Biological study)
(α2-sympatholytic activity of)

RN 104383-18-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



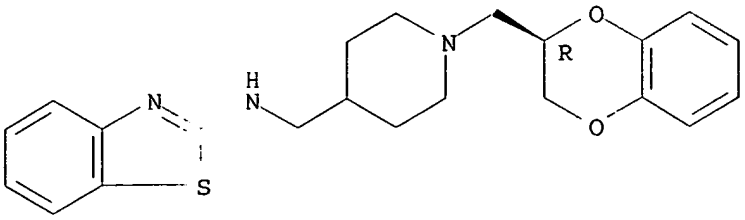
RN 104383-19-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 104383-20-2 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

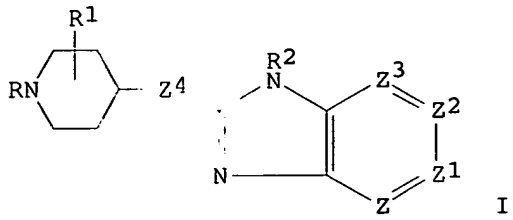
Absolute stereochemistry.



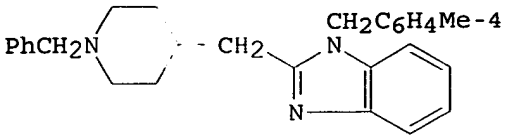
L6 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:68861 CAPLUS
DOCUMENT NUMBER: 104:68861
TITLE: (Piperidinylmethyl)- and (piperidinyloxy)benzimidazoles and -imidazopyridines
INVENTOR(S): Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine; Hens, Jozef Francis; Torremans, Joseph Leo G.; Diels, Gaston Stanislas M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 140 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| EP 151826 | A1 | 19850821 | EP 1984-201851 | | 19841213 |
| EP 151826 | B1 | 19930331 | | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | | |
| AT 87626 | E | 19930415 | AT 1984-201851 | | 19841213 |
| ES 539281 | A1 | 19870616 | ES 1984-539281 | | 19841231 |
| AU 8537364 | A1 | 19850912 | AU 1985-37364 | | 19850107 |
| AU 573673 | B2 | 19880616 | | | |
| CA 1259609 | A1 | 19890919 | CA 1985-471589 | | 19850107 |
| DK 8500089 | A | 19850710 | DK 1985-89 | | 19850108 |
| FI 8500079 | A | 19850710 | FI 1985-79 | | 19850108 |
| FI 83867 | B | 19910531 | | | |
| FI 83867 | C | 19910910 | | | |
| NO 8500085 | A | 19850710 | NO 1985-85 | | 19850108 |
| NO 160849 | B | 19890227 | | | |
| NO 160849 | C | 19890607 | | | |
| JP 60185777 | A2 | 19850921 | JP 1985-479 | | 19850108 |
| JP 07068240 | B4 | 19950726 | | | |
| HU 36471 | A2 | 19850930 | HU 1985-61 | | 19850108 |
| HU 200338 | B | 19900528 | | | |
| ZA 8500187 | A | 19860827 | ZA 1985-187 | | 19850108 |
| RO 90622 | B3 | 19861210 | RO 1985-117252 | | 19850108 |
| SU 1396964 | A3 | 19880515 | SU 1985-3836858 | | 19850108 |
| IL 74018 | A1 | 19880831 | IL 1985-74018 | | 19850108 |
| PL 145710 | B1 | 19881031 | PL 1985-251488 | | 19850109 |
| PRIORITY APPLN. INFO.: | | | US 1984-569369 | A | 19840109 |
| | | | US 1984-671135 | A | 19841113 |
| | | | EP 1984-201851 | A | 19841213 |

GI



I



II

AB The title compds. I (Z-Z3 = CH, or one of Z-Z3 is N and the remainder are CH; Z4 = CH2, O, S, SO, SO2; R = alkyl, aryl-, heteroaryl-, acyl-hydroxy-, aryloxy, heteroaryloxy-, alkoxy-, arylthio-, carbonyl-, carboalkoxy-, cyano-, amino-, ureido-, thioureido-, or guanidinoalkyl, cycloalkyl, alkenyl, arylalkenyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aryl, heteroaryl, aryl- or heteroarylalkyl), which were prepared, exhibited antihistaminic activity. Thus, a mixture of 2-(4-MeC6H4CH2NH)C6H4NH2 and Et 1-benzyl-4-piperidineacetimidate hydrochloride in MeOH was refluxed and NH3 was added to give benzimidazole II.

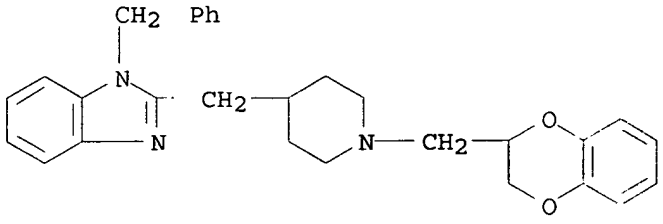
IT **99953-86-3P 99953-90-9P 99953-94-3P**
**99953-96-5P 99953-98-7P 99963-45-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 99953-86-3 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-(phenylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

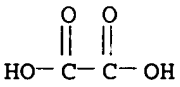
CM 1

CRN 99953-85-2
CMF C29 H31 N3 O2



CM 2

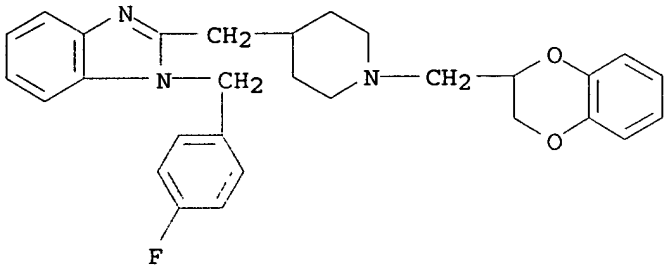
CRN 144-62-7
CMF C2 H2 O4



RN 99953-90-9 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

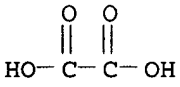
CM 1

CRN 99953-89-6
CMF C29 H30 F N3 O2



CM 2

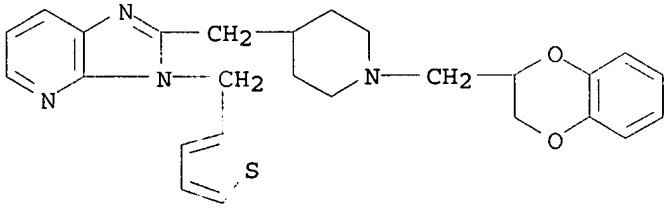
CRN 144-62-7
CMF C2 H2 O4



RN 99953-94-3 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-thienylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

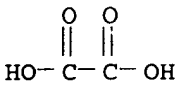
CM 1

CRN 99953-93-2
CMF C26 H28 N4 O2 S



CM 2

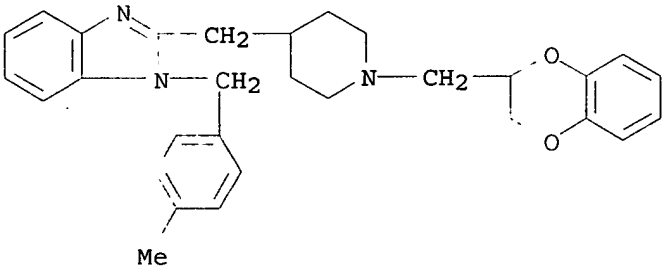
CRN 144-62-7
CMF C2 H2 O4



RN 99953-96-5 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-methylphenyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

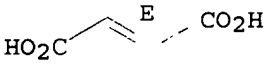
CRN 99953-95-4
CMF C30 H33 N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

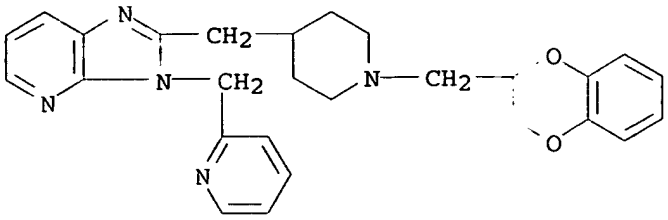
Double bond geometry as shown.



RN 99953-98-7 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-pyridinylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

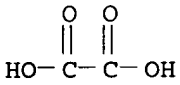
CM 1

CRN 99953-97-6
CMF C27 H29 N5 O2



CM 2

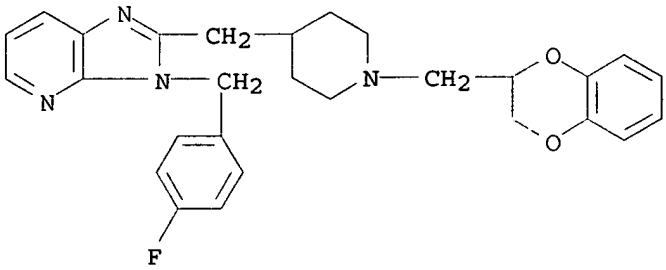
CRN 144-62-7
CMF C2 H2 O4



RN 99963-45-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

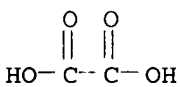
CM 1

CRN 99963-44-7
CMF C28 H29 F N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



L6 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:423483 CAPLUS
DOCUMENT NUMBER: 101:23483
TITLE: Substituted 2,5-diamino-1,4-diazole derivatives with

antihypertensive activity

INVENTOR(S): Cornu, Francois; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles

PATENT ASSIGNEE(S): Bouchara, Emile, Fr.

SOURCE: Fr. Demande, 32 pp.
CODEN: FRXXBL

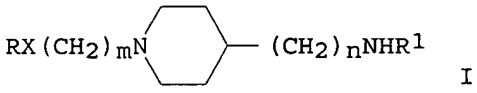
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2530632 | A1 | 19840127 | FR 1982-13010 | 19820726 |
| FR 2530632 | B3 | 19850426 | | |
| WO 8400546 | A1 | 19840216 | WO 1983-FR158 | 19830726 |
| W: DK, JP, US | | | | |
| RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, MR, NL, SE, SN, TD, TG | | | | |
| ES 524439 | A1 | 19840416 | ES 1983-524439 | 19830726 |
| EP 114850 | A1 | 19840808 | EP 1983-902270 | 19830726 |
| EP 114850 | B1 | 19880629 | | |
| R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE | | | | |
| JP 59501458 | T2 | 19840816 | JP 1983-502474 | 19830726 |
| AT 35412 | E | 19880715 | AT 1983-902270 | 19830726 |

PRIORITY APPLN. INFO.:
FR 1982-13010 A 19820726
EP 1983-902270 A 19830726
WO 1983-FR158 W 19830726

OTHER SOURCE(S): CASREACT 101:23483

GI



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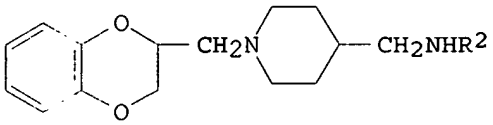


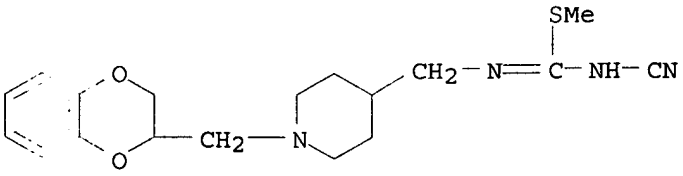
II

AB Heterocyclylalkylpiperidines I [X = CH2, CO, CHOH; R = aryl, heteroaryl; R1 = 3-amino-1,2,4-triazol-5-yl, 5-amino-1,2,4-triazol-3yl, 5-amino-1,2,4-oxadiazol-3-yl; m, n =0-2] were prepared II [R2 = C(SMe):NCN] cyclized with N2H4 to give II (R2 = 3-amino-1,2,4-triazol-5-yl).

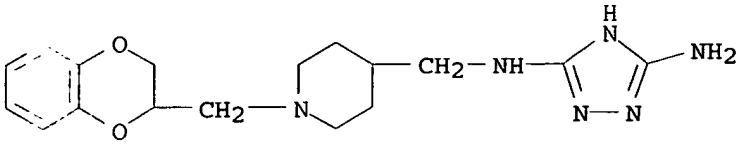
IT **89483-76-1 90618-24-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with hydrazines and hydroxylamines)

RN 89483-76-1 CAPLUS

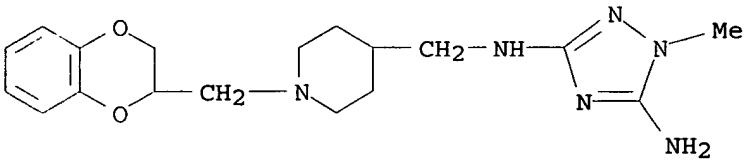
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



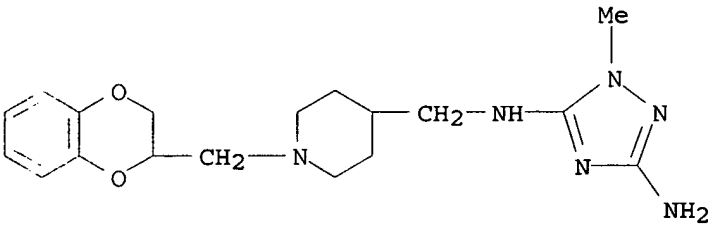
RN 90618-24-9 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



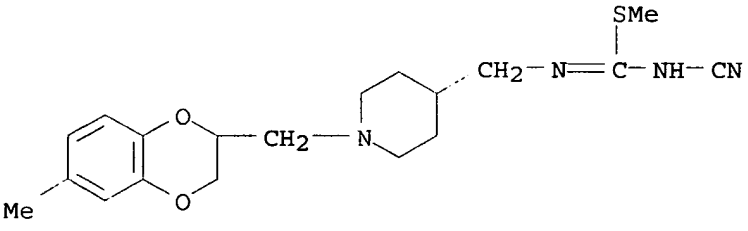
IT **90618-34-1 90618-35-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with methylisothioureidylalkylpiperidine derivs.)
RN 90618-34-1 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



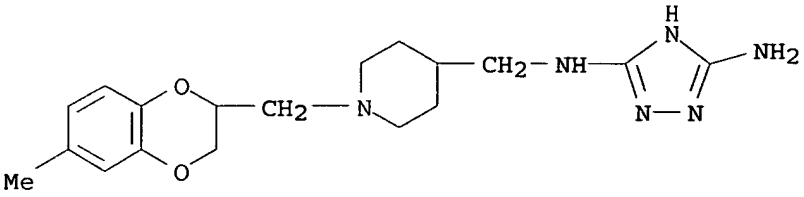
RN 90618-35-2 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N5-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



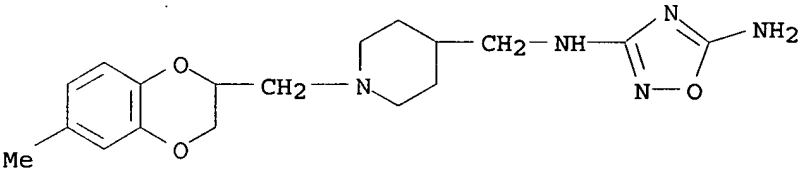
IT **89483-82-9P 90618-29-4P 90618-30-7P 90618-31-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazine)
RN 89483-82-9 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



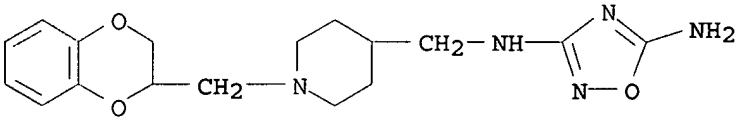
RN 90618-29-4 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



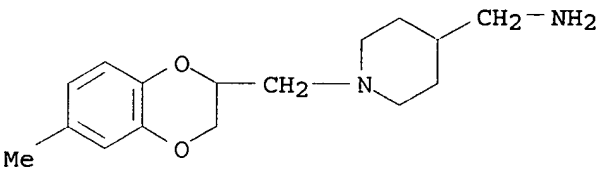
RN 90618-30-7 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 90618-31-8 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **89483-81-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyaniminodithiocarbonate)
RN 89483-81-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



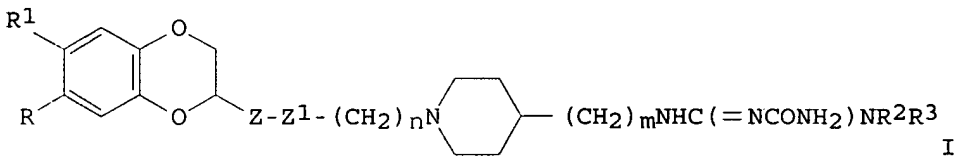
L6 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:156506 CAPLUS
DOCUMENT NUMBER: 100:156506
TITLE: 4-(Carbamoylguanidino)- and -[(carbamoylguanidino)methyl]piperidines
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles

PATENT ASSIGNEE(S): Fr.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

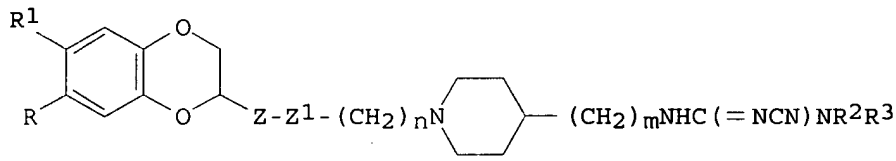
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 8303829 | A1 | 19831110 | WO 1983-FR74 | 19830421 |
| W: FI, HU, JP, NO, US | | | | |
| FR 2525600 | A1 | 19831028 | FR 1982-6832 | 19820421 |
| FR 2525600 | B3 | 19850125 | | |
| ES 521720 | A1 | 19840201 | ES 1983-521720 | 19830421 |
| JP 59500672 | T2 | 19840419 | JP 1983-501332 | 19830421 |
| EP 106860 | A1 | 19840502 | EP 1983-901206 | 19830421 |
| EP 106860 | B1 | 19880120 | | |
| R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE | | | | |
| HU 33480 | O | 19841128 | HU 1983-2237 | 19830421 |
| HU 194875 | B | 19880328 | | |
| CA 1207768 | A1 | 19860715 | CA 1983-426434 | 19830421 |
| AT 32073 | E | 19880215 | AT 1983-901206 | 19830421 |
| NO 8304705 | A | 19831220 | NO 1983-4705 | 19831220 |
| NO 161316 | B | 19890424 | | |
| NO 161316 | C | 19890802 | | |
| FI 8304704 | A | 19831221 | FI 1983-4704 | 19831221 |

PRIORITY APPLN. INFO.: FR 1982-6832 A 19820421
EP 1983-901206 A 19830421
WO 1983-FR74 W 19830421

OTHER SOURCE(S): CASREACT 100:156506
GI




I




II

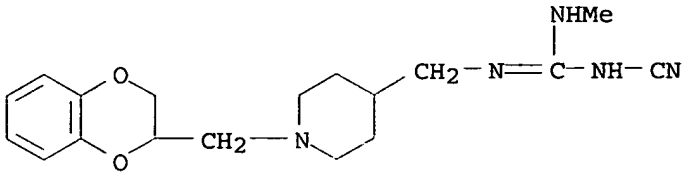
AB Title compds. I [R = R1 are H, halo, alkyl, alkoxy, CF3, NO2, NH2, or RR1 = alkylenedioxy; one of Z and Z1 is a direct bond or CH2 and the other is CH(OH) or CO; n and m are 0, 1; R2 = H, alkyl, cycloalkyl, aralkyl, alkenyl, acyl; R3 = alkyl, cycloalkyl, aralkyl, alkenyl] were prepared by hydration of the resp. nitriles II; I are useful as antihypertensives and sedatives (no data). II (R = R1 = R2 = H, Z = CH2, Z1 = direct bond, n = m = 0, R3 = Me) was treated with H2SO4 in EtOH to give the corresponding I.

IT **89483-53-4 89483-54-5 89483-56-7**
**89483-58-9 89483-60-3 89483-61-4**
**89483-62-5**

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydration of)

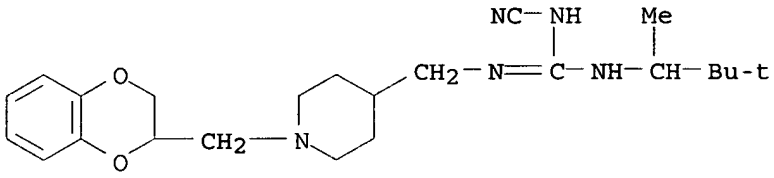
RN 89483-53-4 CAPLUS

CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-methyl- (9CI) (CA INDEX NAME)



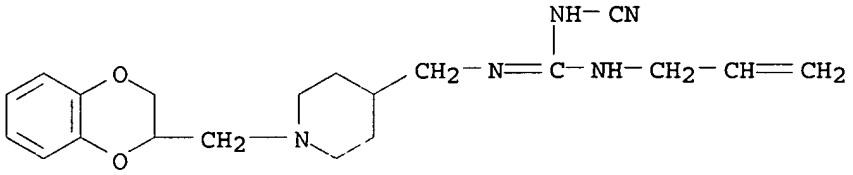
RN 89483-54-5 CAPLUS

CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)



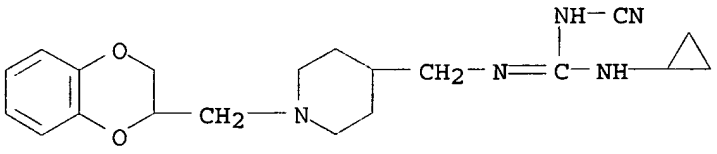
RN 89483-56-7 CAPLUS

CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-2-propenyl- (9CI) (CA INDEX NAME)



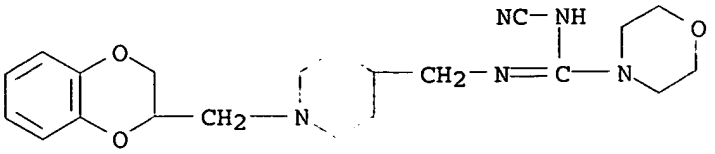
RN 89483-58-9 CAPLUS

CN Guanidine, N-cyano-N'-cyclopropyl-N''-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

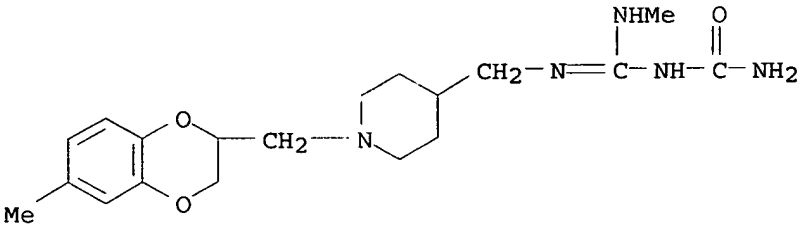


RN 89483-60-3 CAPLUS

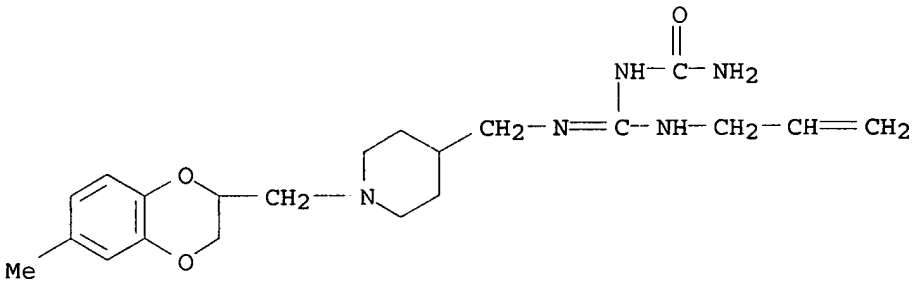
CN 4-Morpholinecarboximidamide, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



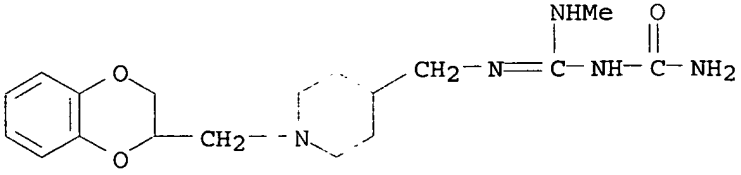
RN 89483-61-4 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]- (9CI) (CA INDEX NAME)



RN 89483-62-5 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](2-propenylamino)methylene]- (9CI) (CA INDEX NAME)



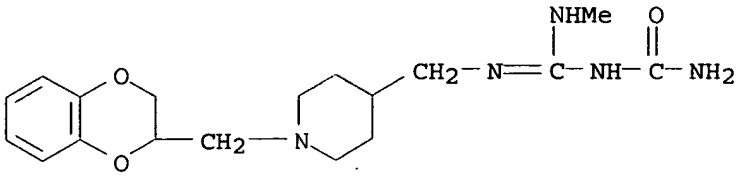
IT **89483-51-2P 89483-52-3P 89483-55-6P**
**89483-57-8P 89483-59-0P 89483-64-7P**
**89483-65-8P 89499-25-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89483-51-2 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]- (9CI) (CA INDEX NAME)



RN 89483-52-3 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

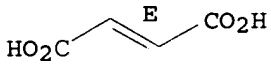
CRN 89483-51-2
CMF C18 H27 N5 O3



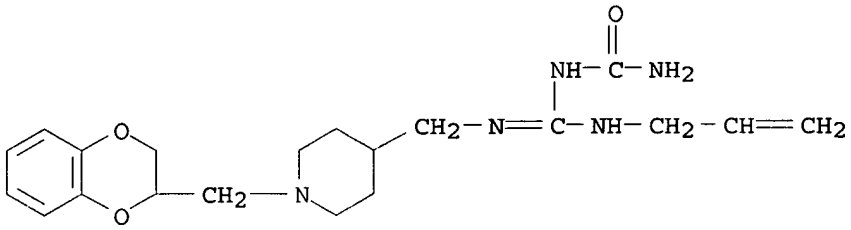
CM 2

CRN 110-17-8
CMF C4 H4 O4

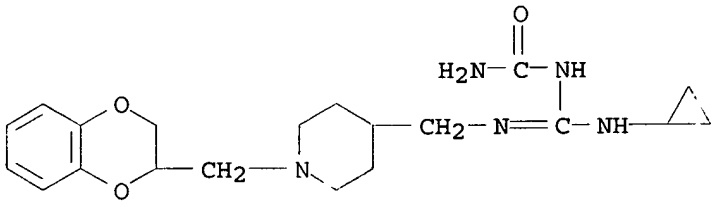
Double bond geometry as shown.



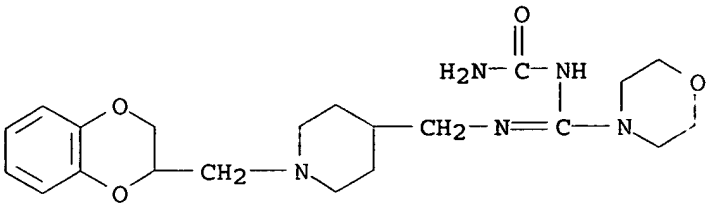
RN 89483-55-6 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](2-propenylamino)methylene]- (9CI) (CA INDEX NAME)



RN 89483-57-8 CAPLUS
CN Urea, [(cyclopropylamino)[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]methylene]- (9CI) (CA INDEX NAME)



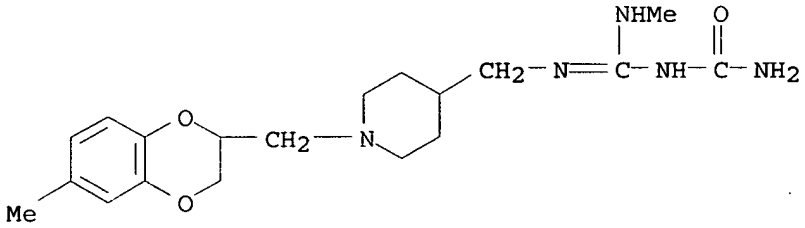
RN 89483-59-0 CAPLUS
CN 4-Morpholinecarboximidamide, N-(aminocarbonyl)-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 89483-64-7 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

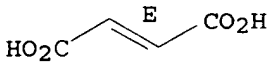
CRN 89483-61-4
CMF C19 H29 N5 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

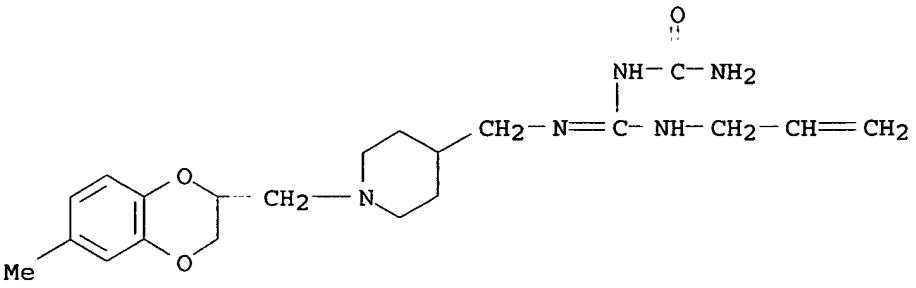
Double bond geometry as shown.



RN 89483-65-8 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](2-propenylamino)methylene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

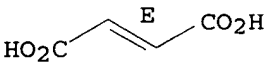
CRN 89483-62-5
CMF C21 H31 N5 O3



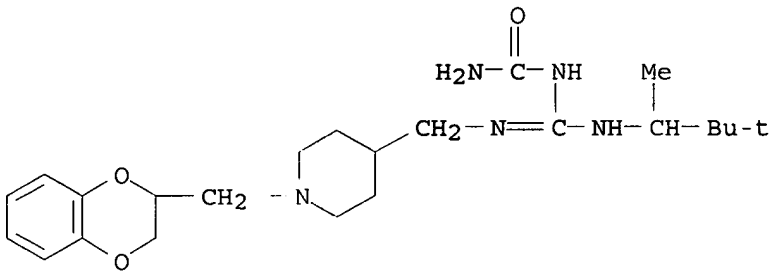
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 89499-25-2 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino][(1,2,2-trimethylpropyl)amino]methylene]- (9CI) (CA INDEX NAME)



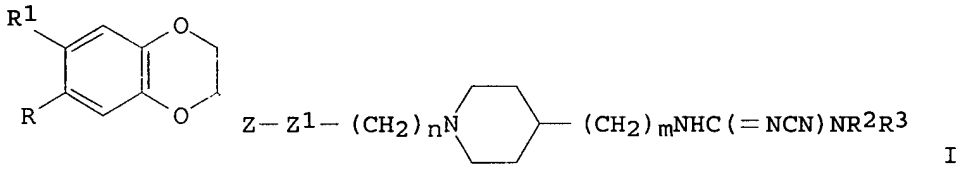
L6 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:174667 CAPLUS
DOCUMENT NUMBER: 100:174667
TITLE: 4-(Cyanoguanidino)- and -[(cyanoguanidino)methyl]piperidines
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles
PATENT ASSIGNEE(S): Bouchara, Emile, Fr.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 8303607 | A1 | 19831027 | WO 1983-FR66 | 19830408 |
| W: AU, DK, HU, JP, US | | | | |

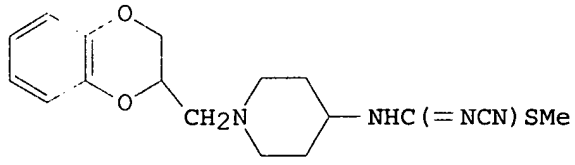
| | | | | | |
|---|---|---|---|---|---|
| RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, NL, SE, SN, TD, TG | | | | | |
| FR 2524887 | A1 | 19831014 | FR 1982-6128 | | 19820408 |
| FR 2524887 | B3 | 19850118 | | | |
| AU 8313741 | A1 | 19831104 | AU 1983-13741 | | 19830408 |
| ES 521346 | A1 | 19840116 | ES 1983-521346 | | 19830408 |
| JP 59500518 | T2 | 19840329 | JP 1983-501212 | | 19830408 |
| EP 105881 | A1 | 19840425 | EP 1983-901083 | | 19830408 |
| EP 105881 | B1 | 19871223 | | | |
| R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE | | | | | |
| HU 34020 | O | 19850128 | HU 1983-2236 | | 19830408 |
| CA 1209142 | A1 | 19860805 | CA 1983-425466 | | 19830408 |
| AT 31534 | E | 19880115 | AT 1983-901083 | | 19830408 |
| DK 8305643 | A | 19831208 | DK 1983-5643 | | 19831208 |
| US 4579845 | A | 19860401 | US 1983-565030 | | 19831208 |
| PRIORITY APPLN. INFO.: | | | FR 1982-6128 | A | 19820408 |
| | | | EP 1983-901083 | A | 19830408 |
| | | | WO 1983-FR66 | A | 19830408 |

OTHER SOURCE(S): CASREACT 100:174667

GI



I



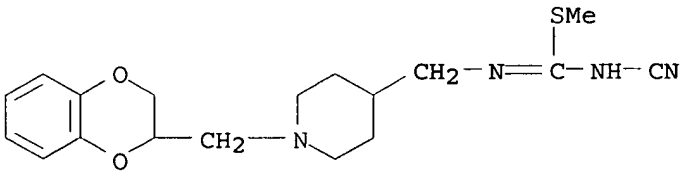
II

AB Piperidines I [R and R1 are H, alkyl, alkoxy, halo, CF3; R2 = H, alkyl, acyl; R3 = alkyl, alkenyl, cycloalkyl, heterocyclic group; NR2R3 = heterocycle; one of Z and Z1 is CH2, direct bond, and the other is CH(OH), CO; n and m are 0, 1] were prepared, and they are useful as antihypertensives and sedatives (no data). Isothiourea derivative II in MeOH was treated with MeNH2 at 25° to give I (R = R1 = R2 = H, Z = direct bond, Z1 = CH2, n = m = 0, R3 = Me).

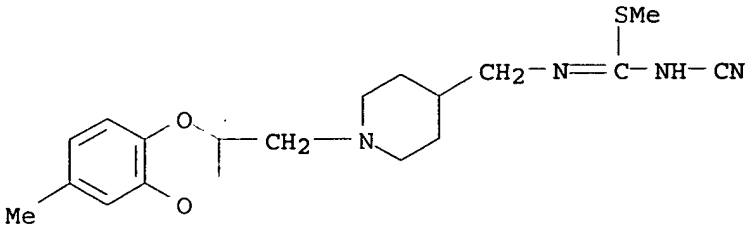
IT **89483-76-1P 89483-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation of, with amines)

RN 89483-76-1 CAPLUS

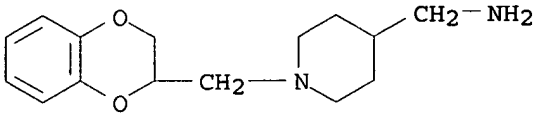
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



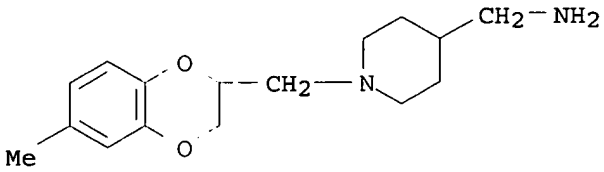
RN 89483-82-9 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



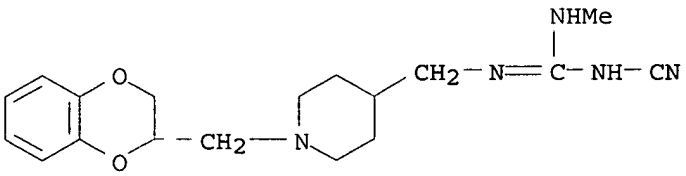
IT **89483-75-0P 89483-81-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of, by dithiocarbonimidate ester derivative)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 89483-81-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

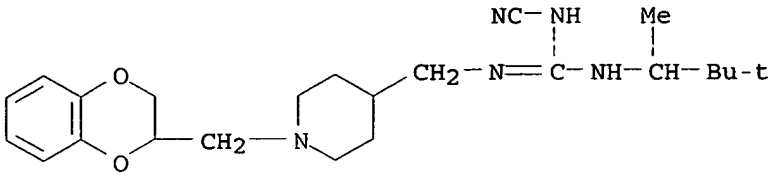


IT **89483-53-4P 89483-54-5P 89483-56-7P**
**89483-58-9P 89483-60-3P 89483-77-2P**
**89483-78-3P 89483-83-0P 89483-84-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89483-53-4 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-methyl- (9CI) (CA INDEX NAME)

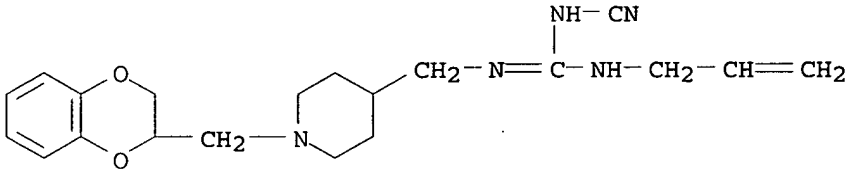


RN 89483-54-5 CAPLUS

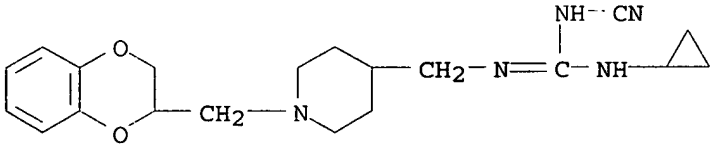
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)



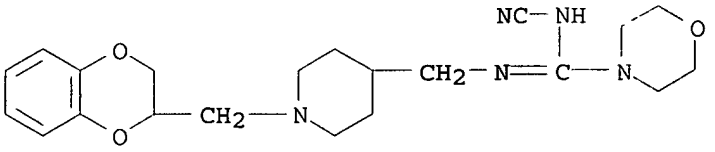
RN 89483-56-7 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-2-propenyl- (9CI) (CA INDEX NAME)



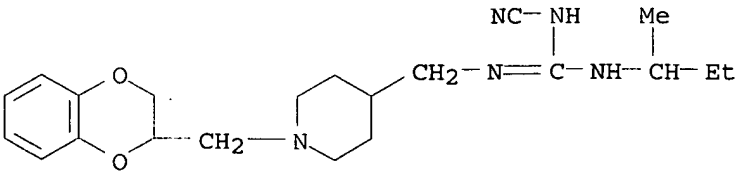
RN 89483-58-9 CAPLUS
CN Guanidine, N-cyano-N'-cyclopropyl-N''-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 89483-60-3 CAPLUS
CN 4-Morpholinecarboximidamide, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

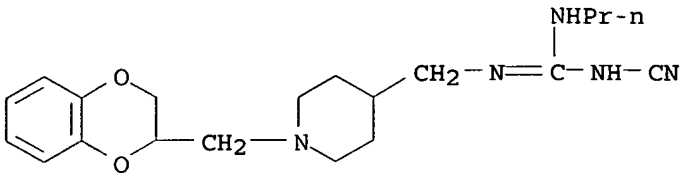


RN 89483-77-2 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-(1-methylpropyl)- (9CI) (CA INDEX NAME)

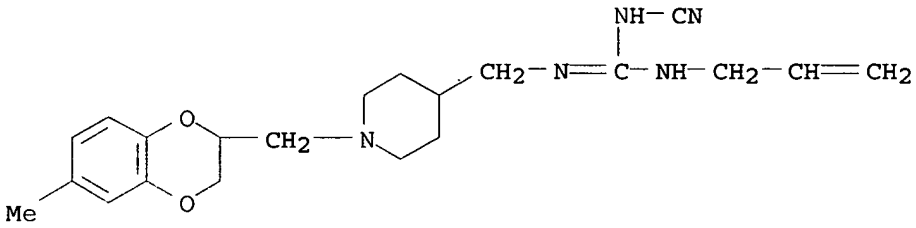


RN 89483-78-3 CAPLUS

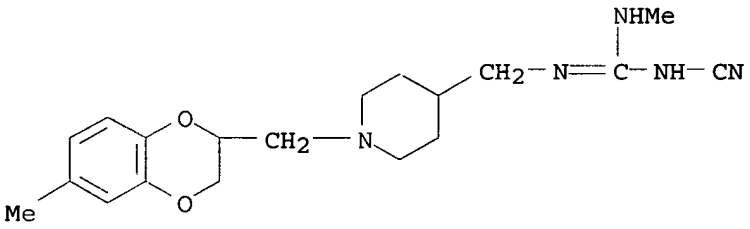
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-propyl- (9CI) (CA INDEX NAME)



RN 89483-83-0 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-2-propenyl- (9CI) (CA INDEX NAME)



RN 89483-84-1 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-methyl- (9CI) (CA INDEX NAME)



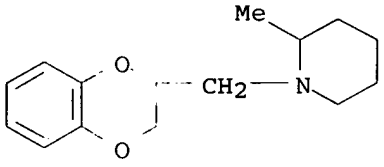
L6 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1937:38602 CAPLUS
DOCUMENT NUMBER: 31:38602
ORIGINAL REFERENCE NO.: 31:5435d-f
TITLE: Sympatholytic activity of derivatives of aminomethyl-benzodioxane
AUTHOR(S): Bovet, Daniel; Simon, Annette
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1937), 55, 15-51
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The pharmacol. behavior of diethylaminomethylbenzodioxane (883 F) is reviewed. The properties of 17 new derivs. were studied with regard to their toxicity and sedative action in the rabbit, and their effect on the normal dog and the dog anesthetized with chloralose. The antagonism to adrenaline hyperglucemia was measured in rabbits. Aminomethyl-3-benzodioxane (946 F), 7 secondary amines, 4 tertiary amines and 5 piperidine derivs. were used. They all showed a central action as well as

the adrenaline-antagonizing action. With the secondary amines, the toxicity rises with the mol. weight, but the adrenaline-antagonizing action reaches a maximum between 2 and 3 C atoms. In the tertiary series, the diethyl derivative is the most toxic and has the most anti-adrenaline action. Piperidine substitution increases the former and diminishes the latter property.

IT **860185-26-8**, 1,4-Benzodioxan, 2-(2-methyl-1-piperidylmethyl)- (preparation of)

RN 860185-26-8 CAPLUS

CN 1,4-Benzodioxan, 2-(2-methyl-1-piperidylmethyl)- (4CI) (CA INDEX NAME)




=> d ibib abs hitstr 1-24

L6 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:325699 CAPLUS

DOCUMENT NUMBER: 142:392292

TITLE: Preparation of heterocyclic compounds, e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters for treating drug addiction or drug dependence

INVENTOR(S): Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike S.; Wang, Fengjiang; Shao, Liming

PATENT ASSIGNEE(S): Sepracor, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 607,457.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005080078 | A1 | 20050414 | US 2004-771519 | 20040204 |
| US 2003050309 | A1 | 20030313 | US 2001-951130 | 20010912 |
| US 2004077706 | A1 | 20040422 | US 2003-607457 | 20030626 |
| WO 2005077463 | A2 | 20050825 | WO 2005-US3629 | 20050204 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-273530P P 20010305
US 2001-298057P P 20010613

US 2001-951130 A3 20010912
US 2003-607457 A2 20030626
US 2000-231667P P 20000911
US 2004-771519 A 20040204

OTHER SOURCE(S): MARPAT 142:392292
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

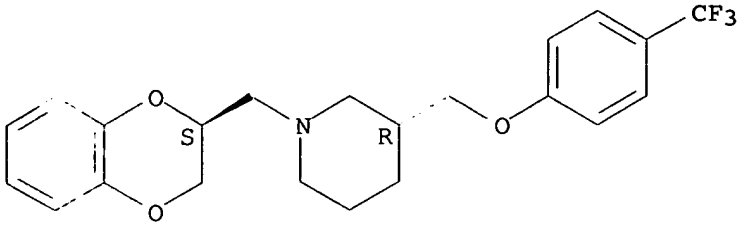
AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SO0-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR,SO0-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SO0-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of cocaine addiction or methamphetamine addiction.

IT **405089-42-1P 405089-43-2P 405089-44-3P**
**405089-46-5P**
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

RN 405089-42-1 CAPLUS

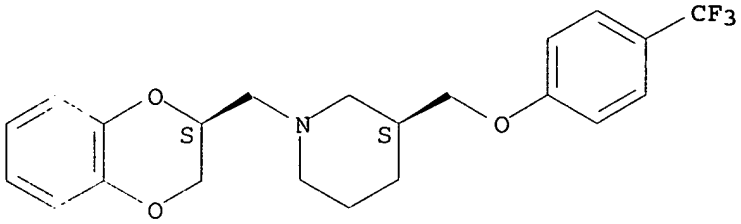
CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



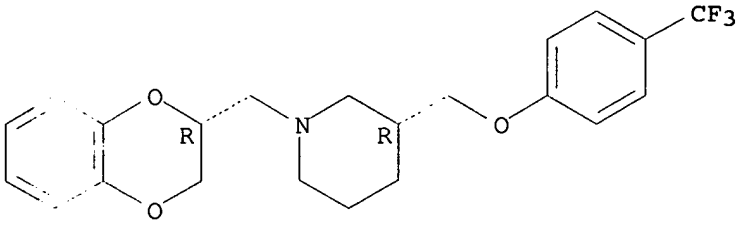
RN 405089-43-2 CAPLUS
CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



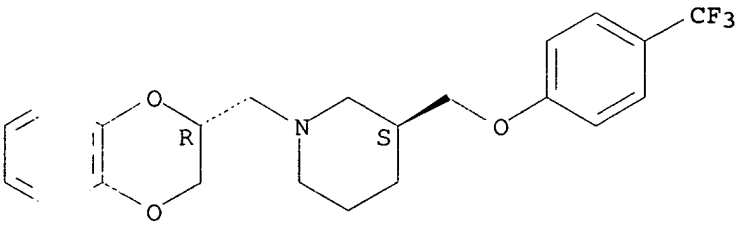
RN 405089-44-3 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



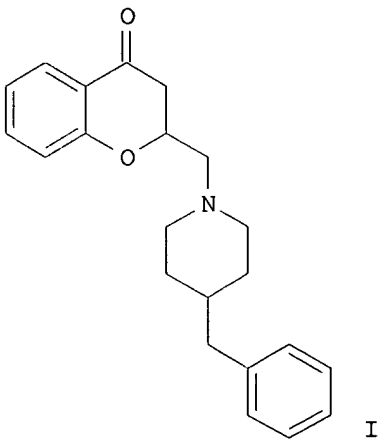
RN 405089-46-5 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1106820 CAPLUS
DOCUMENT NUMBER: 142:198025

TITLE: Synthesis and structure-activity relationships of 1-aralkyl-4-benzylpiperidine and 1-aralkyl-4-benzylpiperazine derivatives as potent σ ligands
AUTHOR(S): Costantino, Luca; Gandolfi, Francesca; Sorbi, Claudia; Franchini, Silvia; Prezzavento, Orazio; Vittorio, Franco; Ronsisvalle, Giuseppe; Leonardi, Amedeo; Poggesi, Elena; Brasili, Livio
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Modena and Reggio Emilia, Modena, 41100, Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(1), 266-273
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:198025
GI



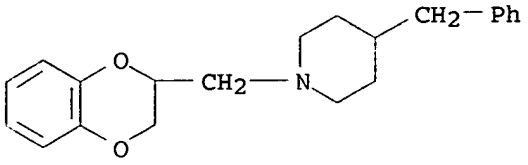
I

AB In the attempt to define more accurately structure-affinity relationships for σ1 and σ2 ligands, a series of aralkyl derivs. of 4-benzylpiperidine, e.g., I, were synthesized and tested on σ subtype receptors, in which the effect of modifications on the aralkyl moiety was studied in a systematic way. The affinity of the compds. varied to a great extent, with a σ2/σ1 selectivity ranging from 0.1 to 9. Thus, to confirm the ability of the piperazine derivative to bind to σ1 receptors in a different way than piperidines, a series of piperazine compds. were synthesized and tested; the comparison of their affinity with that of the corresponding piperidines strongly supported the possibility of a different binding mode. While the compds. were selective for σ vs serotonin 5-HT1A and dopamine D2 receptors, some compds. possessed a remarkable affinity for both σ and 5-HT1A receptors, with Ki in the nanomolar range, and were selective with respect to D2 receptors. They displayed also a partial agonist profile in a human 5-HT1A [35S]GTPγS binding assay, suggesting their potential use as atypical antipsychotic agents.

IT **835872-03-2P**
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of σ1, σ2, 5-HT1A, and D2 receptor binding affinity, and structure-activity relationship of aralkyl(benzyl)piperidines and aralkyl(benzyl)piperazines starting from alcs. or ketones)

RN 835872-03-2 CAPLUS

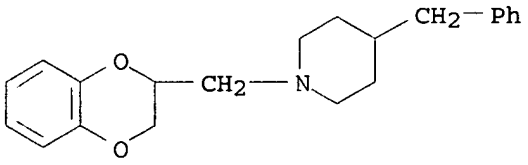
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(phenylmethyl)-
(9CI) (CA INDEX NAME)



IT **835872-14-5P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of σ1, σ2, 5-HT1A, and D2 receptor binding affinity, and structure-activity relationship of aralkyl(benzyl)piperidines and aralkyl(benzyl)piperazines starting from alcs. or ketones)
RN 835872-14-5 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

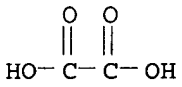
CM 1

CRN 835872-03-2
CMF C21 H25 N O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



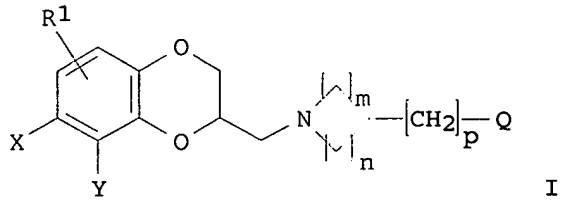
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:252514 CAPLUS
DOCUMENT NUMBER: 140:287395
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

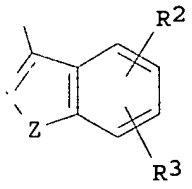
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2004024730 | A1 | 20040325 | WO 2003-US28413 | | 20030911 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| US 2004132714 | A1 | 20040708 | US 2003-659167 | | 20030910 |
| CA 2498134 | AA | 20040325 | CA 2003-2498134 | | 20030911 |
| EP 1537119 | A1 | 20050608 | EP 2003-752213 | | 20030911 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003014429 | A | 20050823 | BR 2003-14429 | | 20030911 |
| NO 2005001769 | A | 20050525 | NO 2005-1769 | | 20050411 |
| PRIORITY APPLN. INFO.: | | | US 2002-410168P | P | 20020912 |
| | | | US 2003-659167 | A | 20030910 |
| | | | WO 2003-US28413 | W | 20030911 |

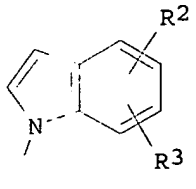
OTHER SOURCE(S): MARPAT 140:287395
GI




I




II




III

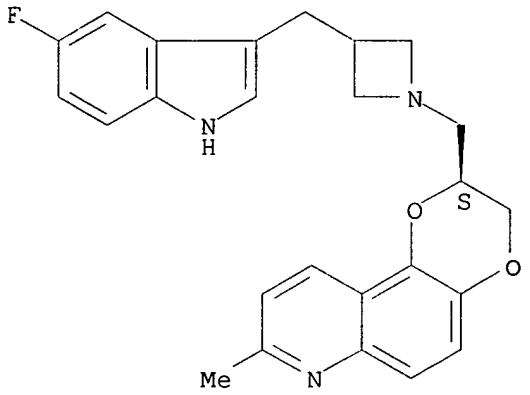
AB The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 1-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as

anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676125-36-3P 676125-37-4P 676125-38-5P**
**676125-39-6P 676125-40-9P 676125-41-0P**
**676125-42-1P 676125-43-2P 676125-44-3P**
**676125-45-4P 676125-46-5P 676125-47-6P**
**676125-48-7P 676125-49-8P 676125-50-1P**
**676125-51-2P 676125-52-3P 676125-53-4P**
**676125-54-5P 676125-55-6P 676125-56-7P**
**676125-57-8P 676125-86-3P 676125-88-5P**
**676125-89-6P 676125-91-0P 676125-92-1P**
**676125-94-3P 676125-95-4P 676125-96-5P**
**676125-97-6P 676125-98-7P 676125-99-8P**
**676126-00-4P 676126-03-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans)

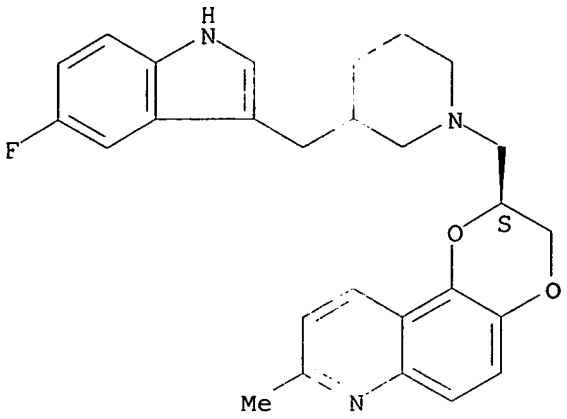
RN 676125-36-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



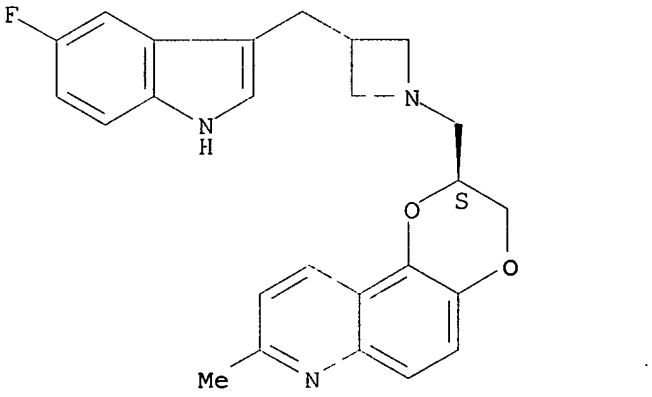

RN 676125-37-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

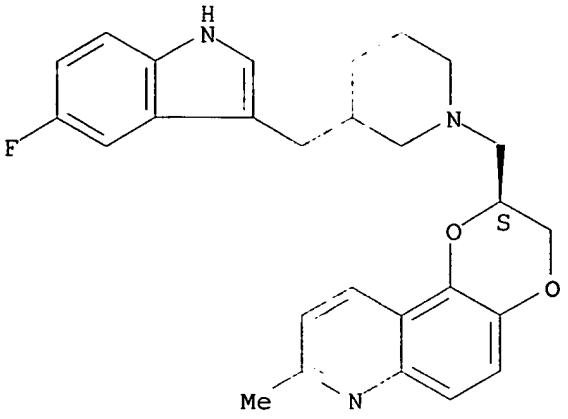
Absolute stereochemistry.



●2 HCl

RN 676125-39-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

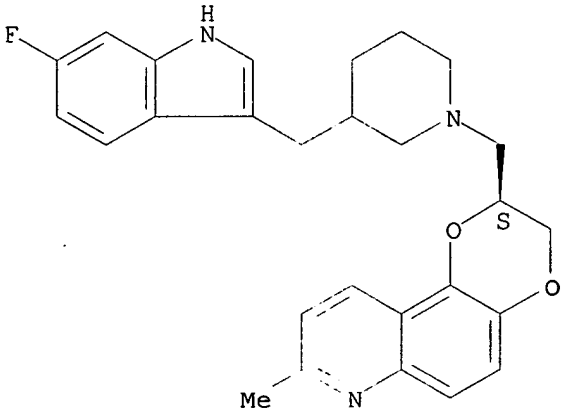
Absolute stereochemistry.



● 2 HCl

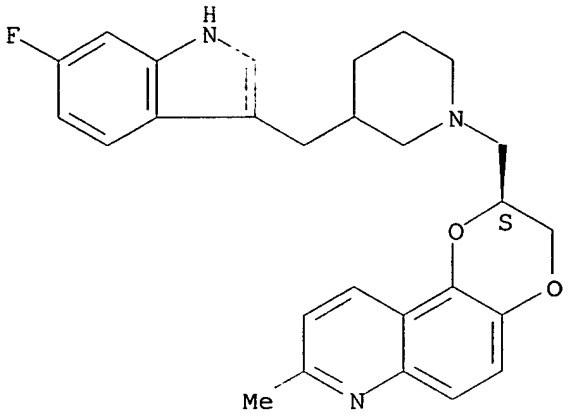
RN 676125-40-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-41-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

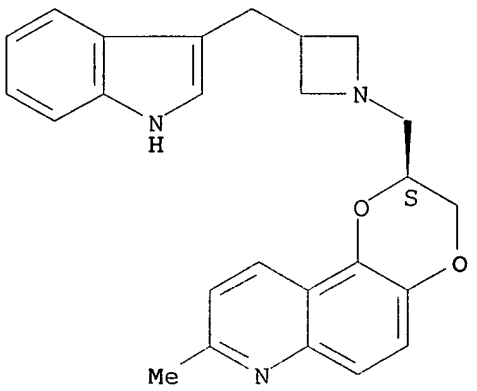
Absolute stereochemistry.



● 2 HCl

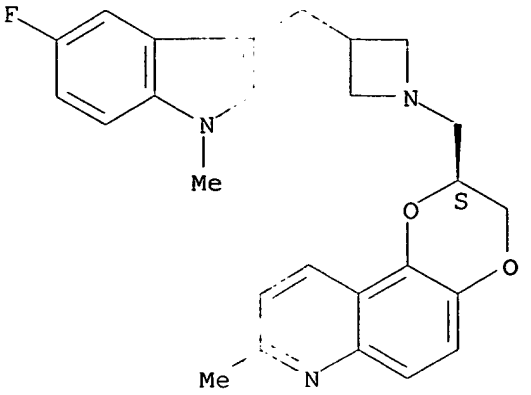
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

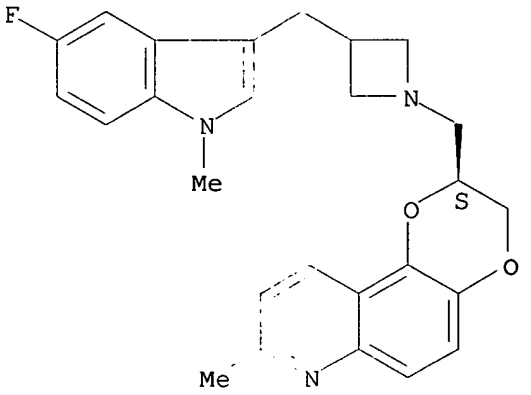


RN 676125-44-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

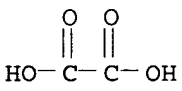
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



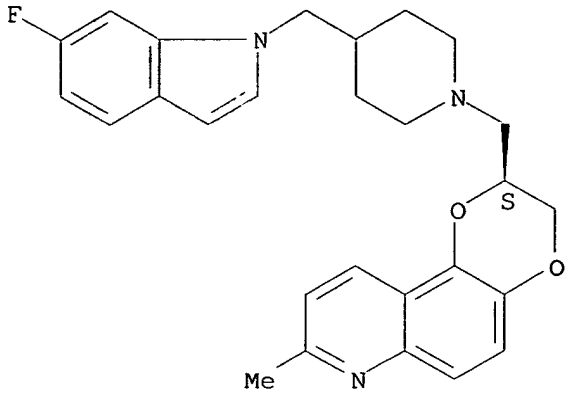
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 676125-45-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

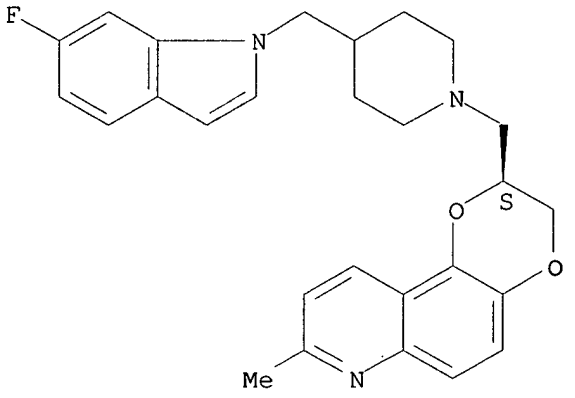


RN 676125-46-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676125-45-4
CMF C27 H28 F N3 O2

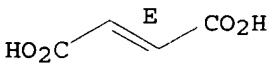
Absolute stereochemistry.



CM 2

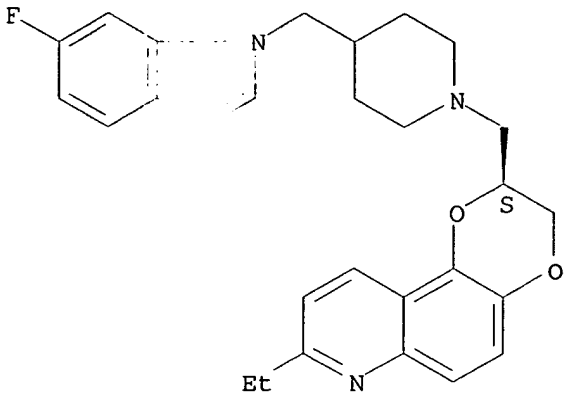
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 676125-47-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, dihydrochloride, (2S)-(9CI) (CA INDEX NAME)

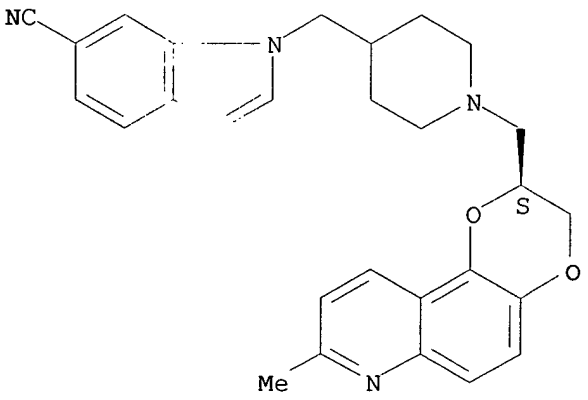
Absolute stereochemistry.



● 2 HCl

RN 676125-48-7 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

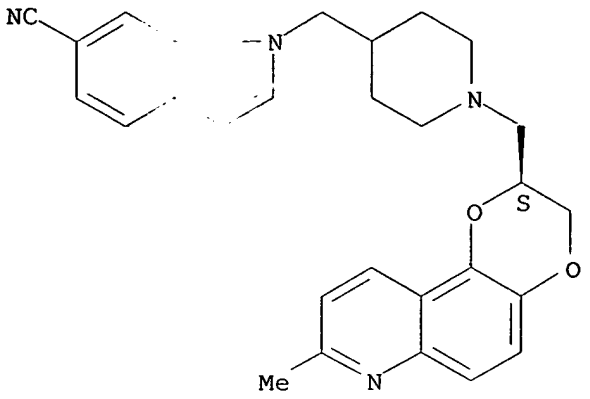


RN 676125-49-8 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-4-piperidinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676125-48-7
CMF C28 H28 N4 O2

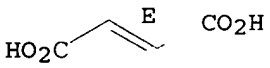
Absolute stereochemistry.



CM 2

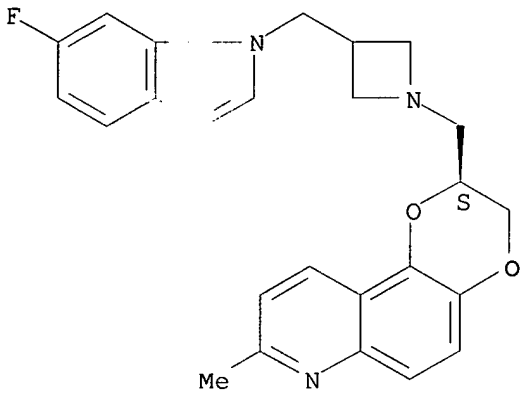
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



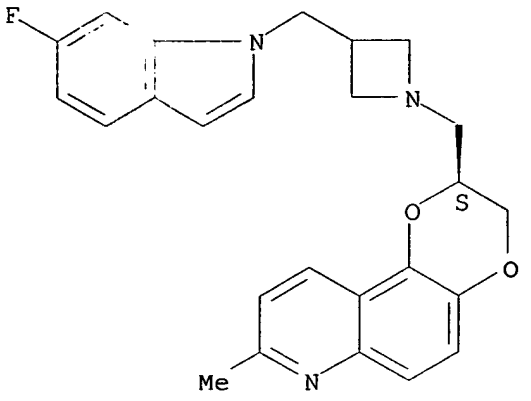
RN 676125-50-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-51-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

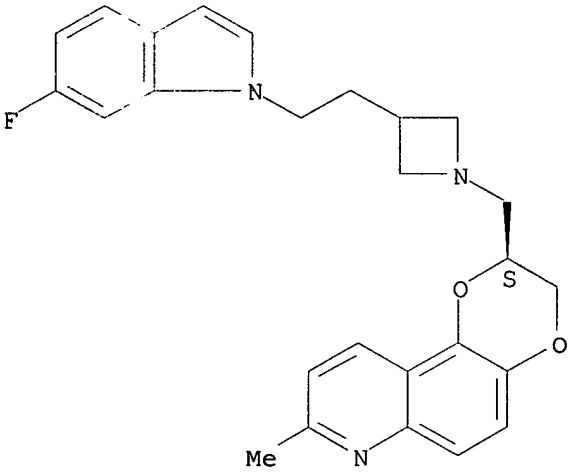
Absolute stereochemistry.



●2 HCl

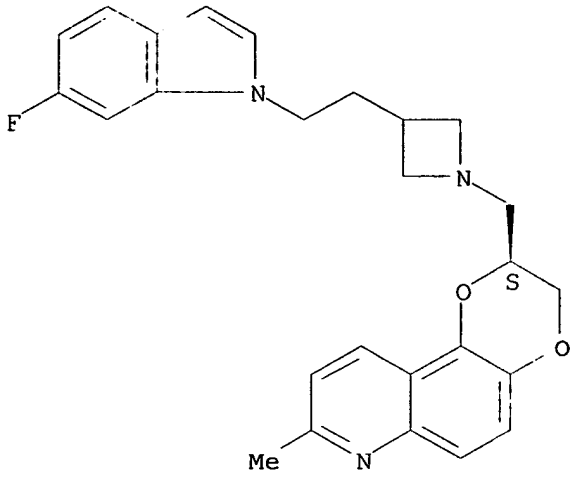
RN 676125-52-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-53-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

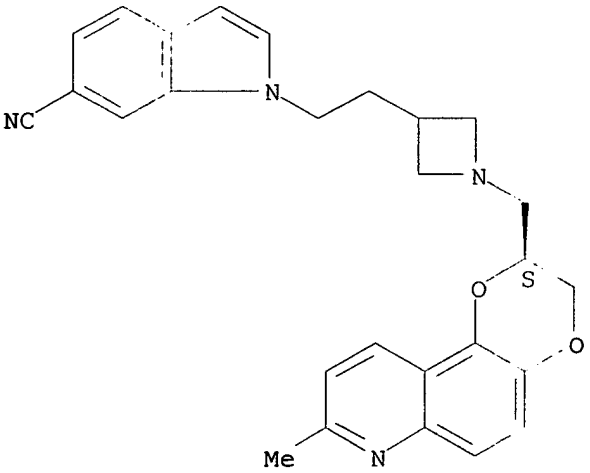
Absolute stereochemistry.



●2 HCl

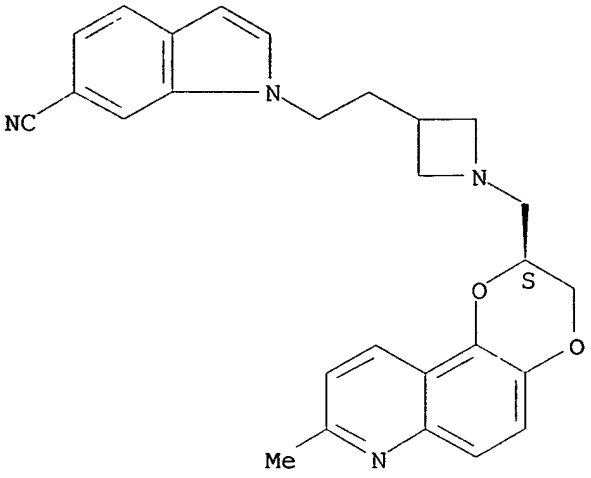
RN 676125-54-5 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-azetidinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-55-6 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-azetidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

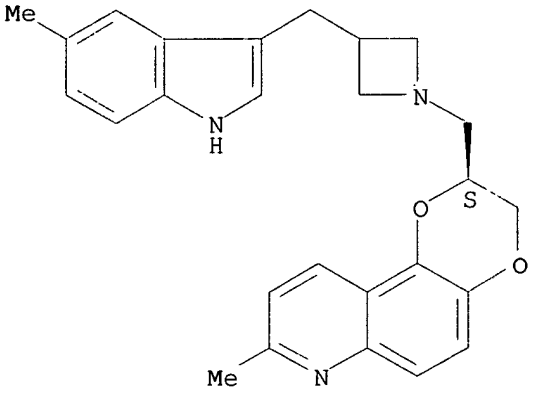
Absolute stereochemistry.



● 2 HCl

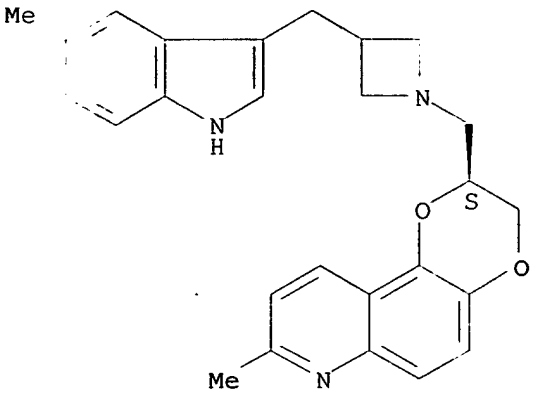
RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



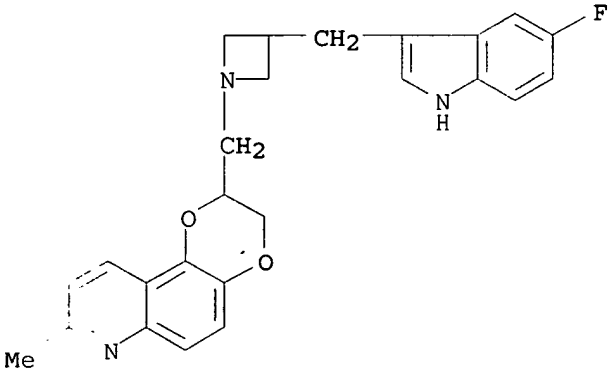
RN 676125-57-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

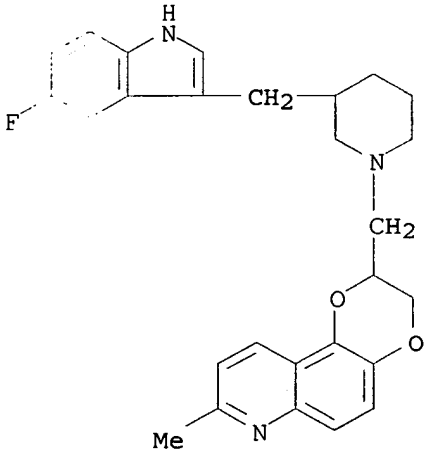


●2 HCl

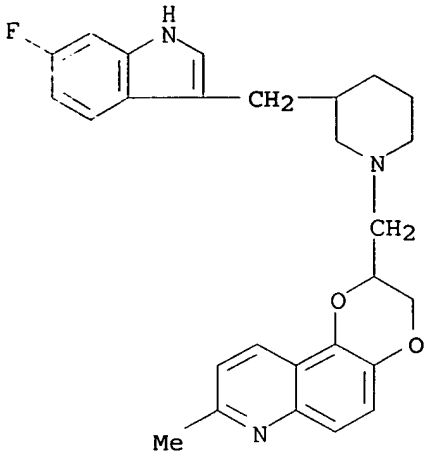
RN 676125-86-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



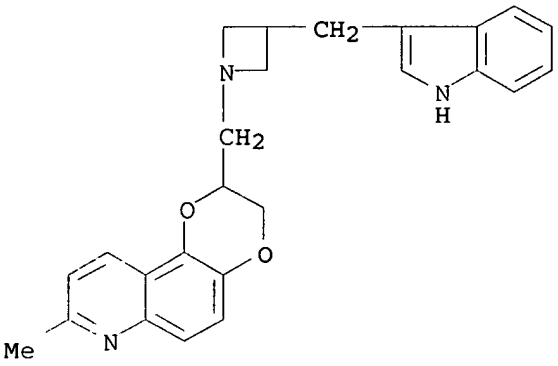
RN 676125-88-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



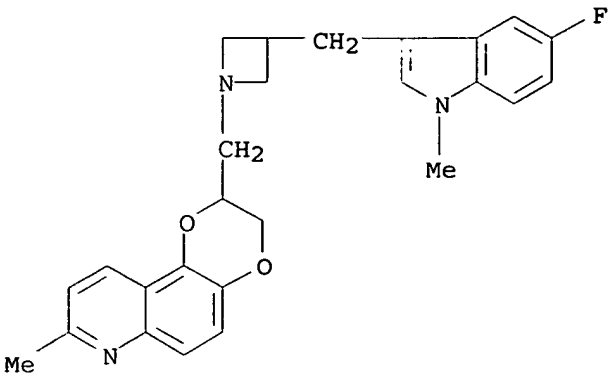
RN 676125-89-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



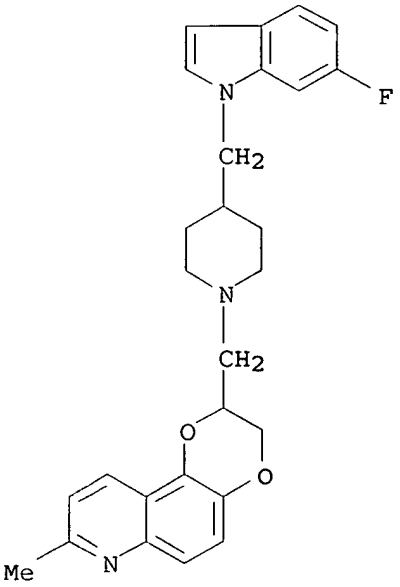
RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)



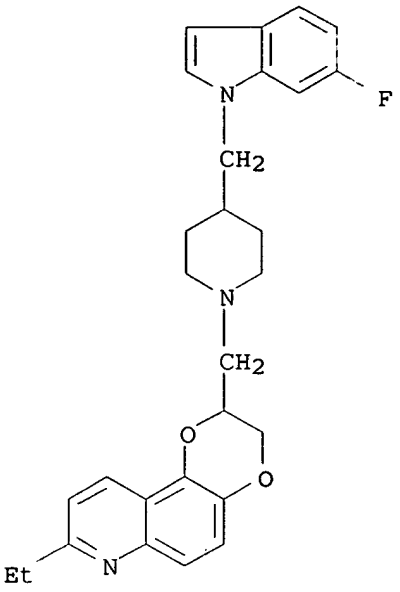
RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



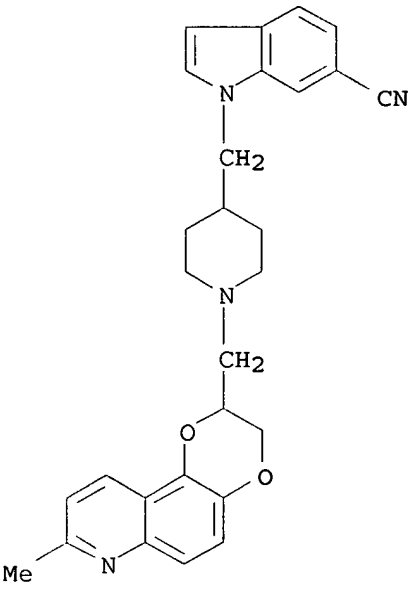
RN 676125-94-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



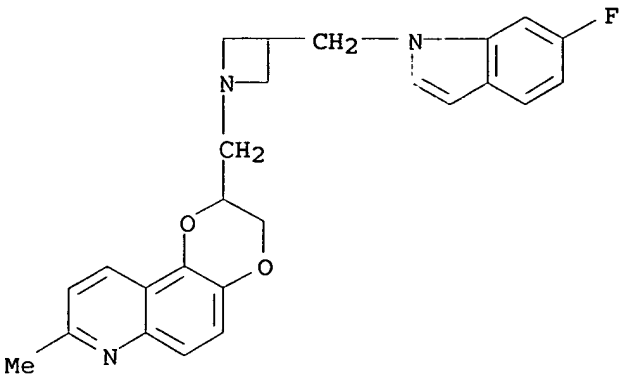
RN 676125-95-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



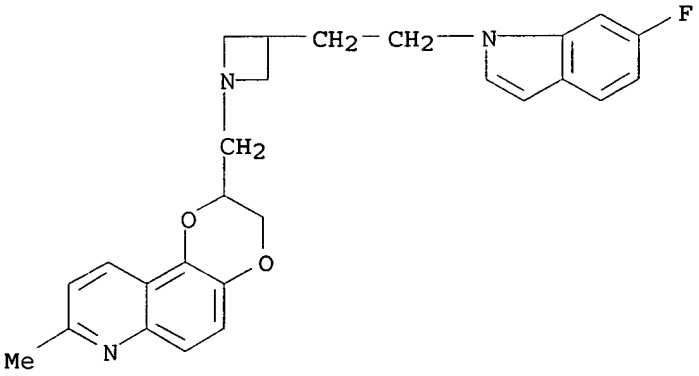

RN 676125-96-5 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[[1-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



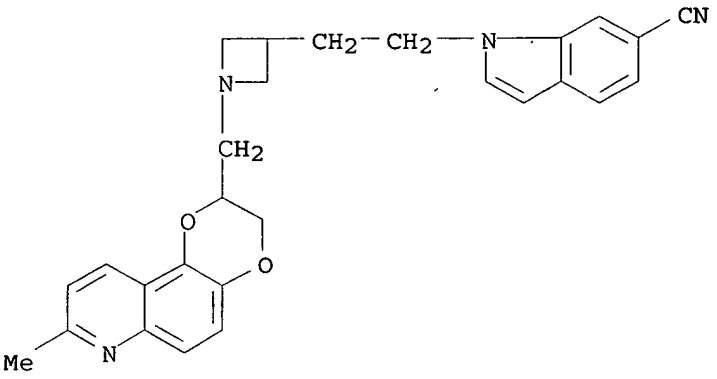

RN 676125-97-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



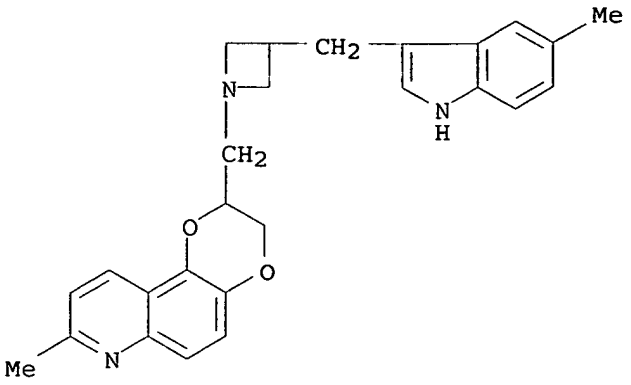
RN 676125-98-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676125-99-8 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-3-azetidinyl]ethyl]- (9CI) (CA INDEX NAME)

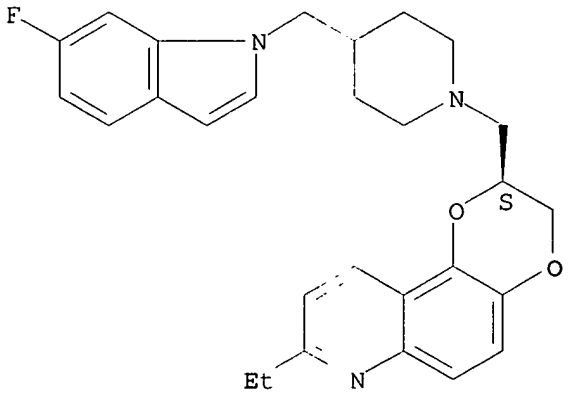


RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)




RN 676126-03-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:550738 CAPLUS
DOCUMENT NUMBER: 141:89093
TITLE: Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,168.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2004132714 | A1 | 20040708 | US 2003-659167 | 20030910 |
| CA 2498134 | AA | 20040325 | CA 2003-2498134 | 20030911 |
| WO 2004024730 | A1 | 20040325 | WO 2003-US28413 | 20030911 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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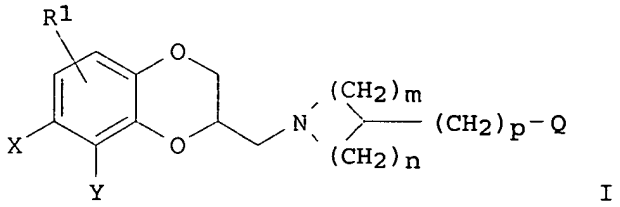
|---|---|---|---|---|
| EP 1537119 | A1 | 20050608 | EP 2003-752213 | 20030911 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003014429 | A | 20050823 | BR 2003-14429 | 20030911 |
| NO 2005001769 | A | 20050525 | NO 2005-1769 | 20050411 |
| PRIORITY APPLN. INFO.: | | | US 2002-410168P | P 20020912 |
| | | | US 2003-659167 | A 20030910 |
| | | | WO 2003-US28413 | W 20030911 |

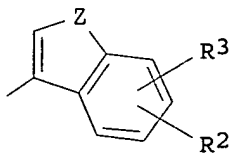
OTHER SOURCE(S): MARPAT 141:89093

GI




I

Q1=

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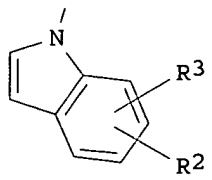



AB (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. [Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-; R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = O, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = an integer from 1 to 4, provided that m+n≤4 and that when m = n = 2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4-

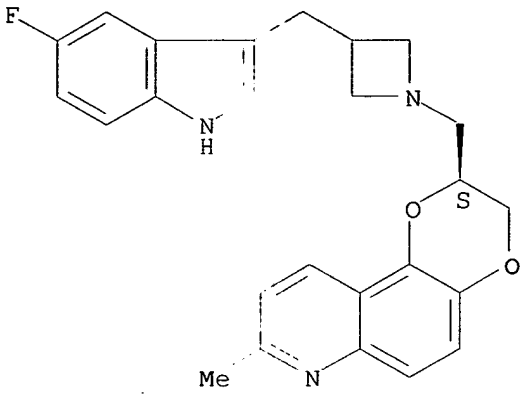
bromobenzenesulfonic acid (8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinolin-2-yl)methyl ester (0.35 g, 0.80 mmol), 3-[(azetidin-3-yl)methyl]-5-fluoro-1H-indole (0.19 g, 0.96 mmol), and Et3N (0.16 mL, 1.2 mmol) in DMSO (20 mL) was heated at 90° under nitrogen overnight to give, after workup and silica gel chromatog., (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline (II) as a brown oil which was converted into the dihydrochloride. II.2HCl and (S)-1-[2-[1-[(8-Methyl-2,3-dihydro-[1,4]-dioxino[2,3-f]quinolin-2-yl)methyl]azetidin-3-yl]ethyl]-1H-indole-6-carbonitrile showed an affinity to 5-HT1A serotonin receptor in displacing [3H]8-OHDPAT (dipropylaminotetralin) from 5-HT1A serotonin receptor in CHO cells with Ki of 2.50 and 1.52 nM, resp.

IT **676125-36-3P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-37-4P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-38-5P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-39-6P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-40-9P**, (S)-2-[[3-[(6-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-42-1P**, (S)-2-[[3-(1H-Indol-3-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-43-2P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-46-5P 676125-47-6P**, (S)-2-[[4-[(6-Fluoro-1H-indol-1-yl)methyl]piperidin-1-yl]methyl]-8-ethyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-50-1P**, (S)-2-[[3-(6-Fluoroindol-1-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-52-3P**, (S)-2-[[3-[2-(6-Fluoroindol-1-yl)ethyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-56-7P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-86-3P**, 2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-88-5P**, 2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-89-6P**, 2-[[3-[(6-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-91-0P**, 2-[3-[3-[(1H-Indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-92-1P**, 2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-97-6P**, 2-[[3-(6-Fluoroindol-1-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-98-7P**, 2-[[3-[2-(6-Fluoroindol-1-yl)ethyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-99-8P** **676126-00-4P**, 8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **716323-02-3P**, (S)-2-[[3-[(6-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride **716323-03-4P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline oxalate **716323-06-7P**, (S)-2-[[3-(6-Fluoroindol-1-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride **716323-07-8P**, (S)-2-[[3-[2-(6-Fluoroindol-1-yl)ethyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride **716323-11-4P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (azaheterocyclylmethyl)heterocycle-fused benzodioxans having affinity to 5-HT1A serotonin receptor as antidepressants)

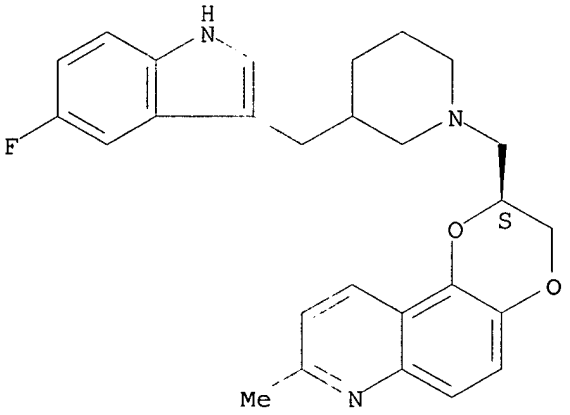
RN 676125-36-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



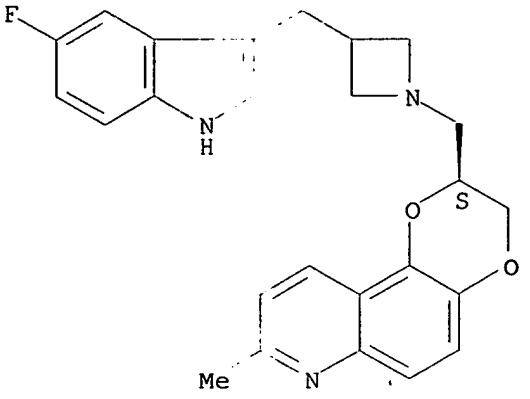
RN 676125-37-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

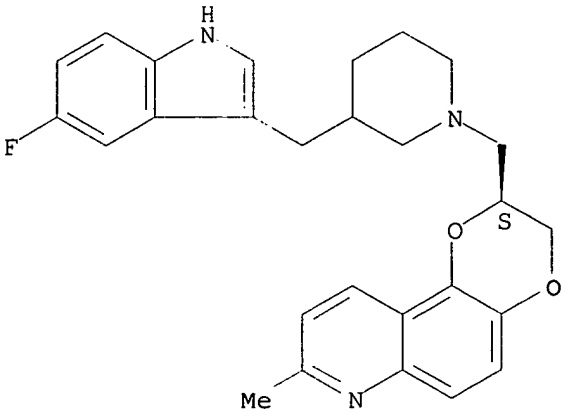
Absolute stereochemistry.



●2 HCl

RN 676125-39-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

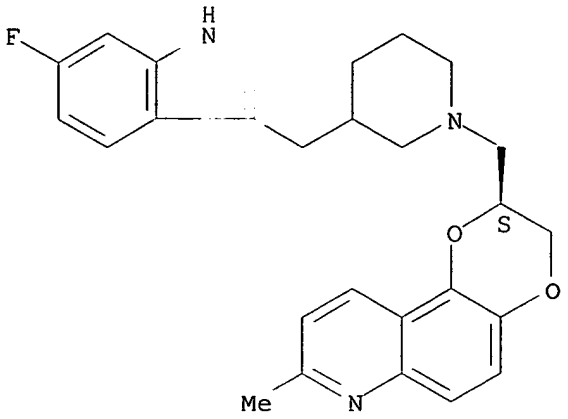
Absolute stereochemistry.



●2 HCl

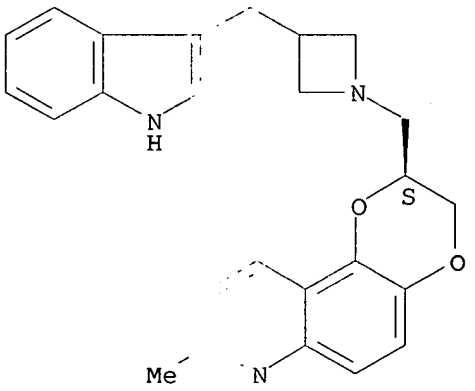
RN 676125-40-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



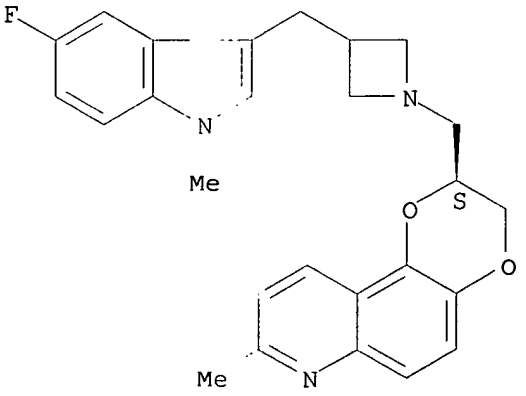
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

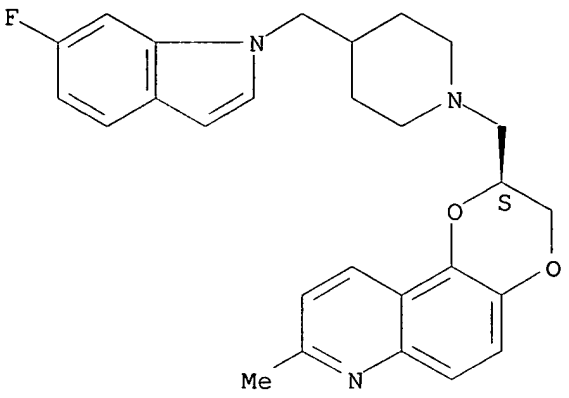


RN 676125-46-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676125-45-4
CMF C27 H28 F N3 O2

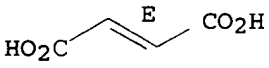
Absolute stereochemistry.




CM 2

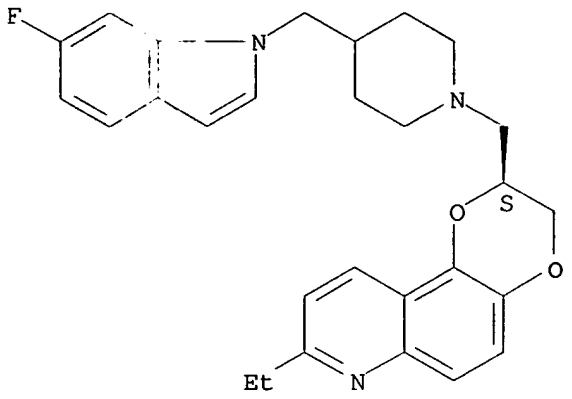
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.




RN 676125-47-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, dihydrochloride, (2S)-(9CI) (CA INDEX NAME)

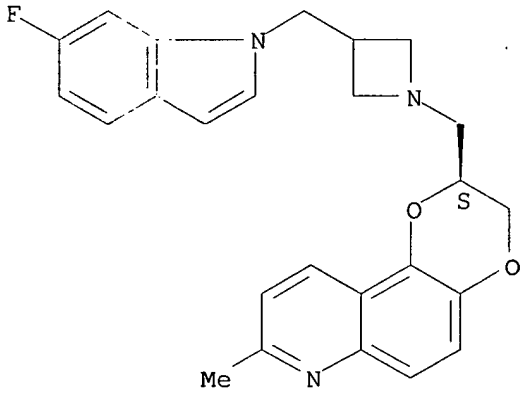
Absolute stereochemistry.



● 2 HCl

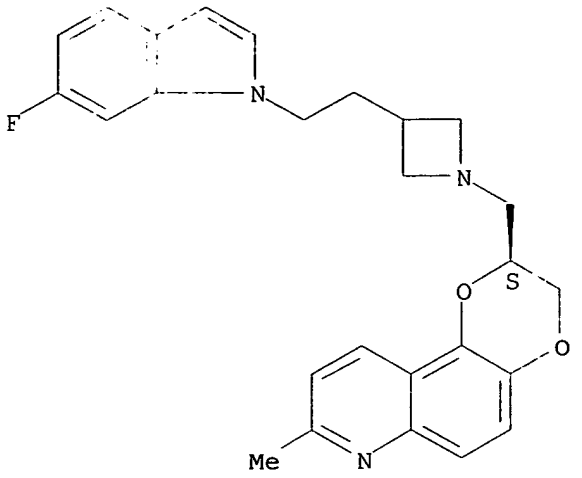
RN 676125-50-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



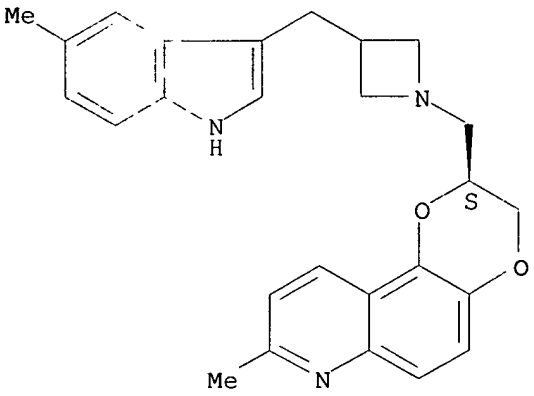
RN 676125-52-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

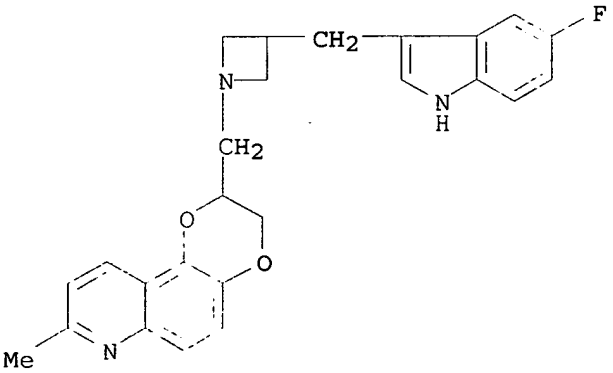


RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

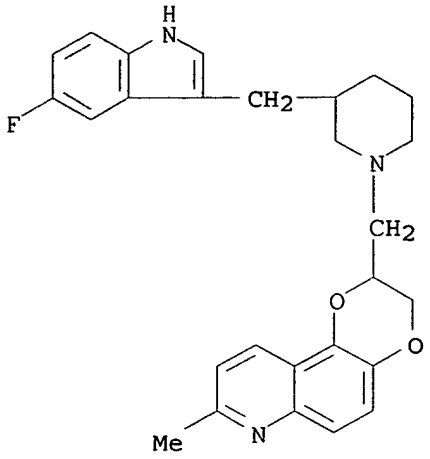


RN 676125-86-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

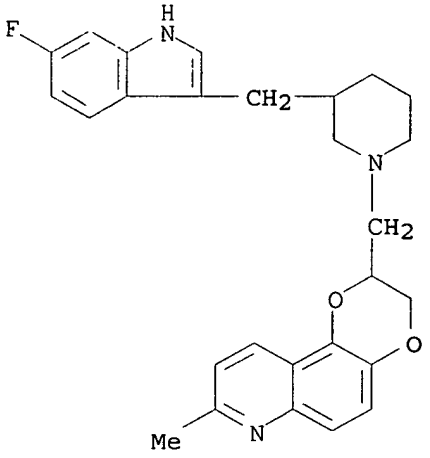


RN 676125-88-5 CAPLUS

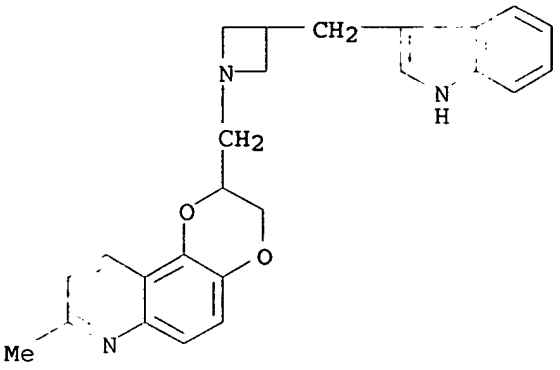
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



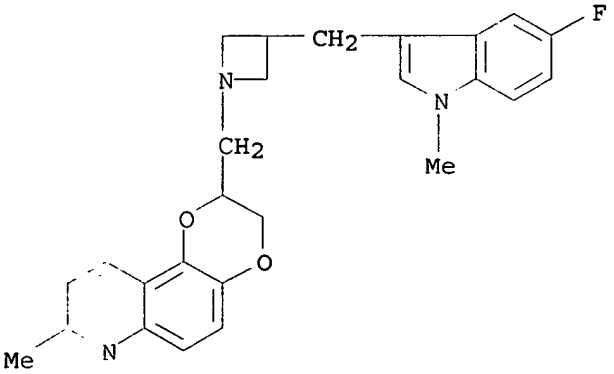
RN 676125-89-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



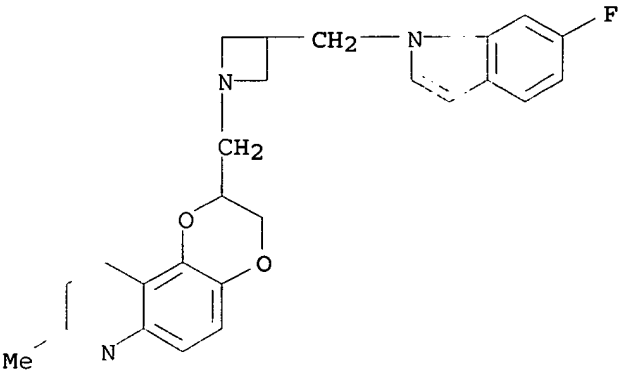
RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)



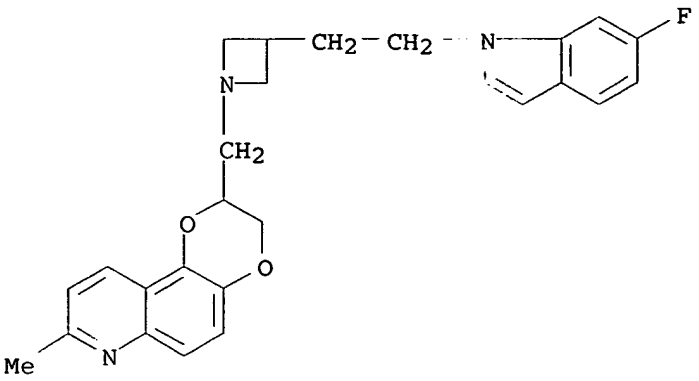
RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



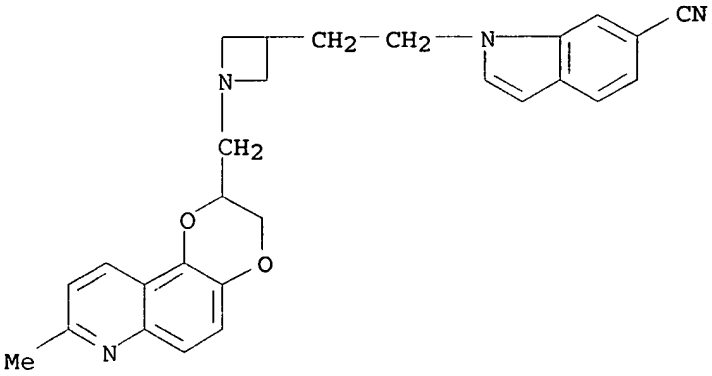
RN 676125-97-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



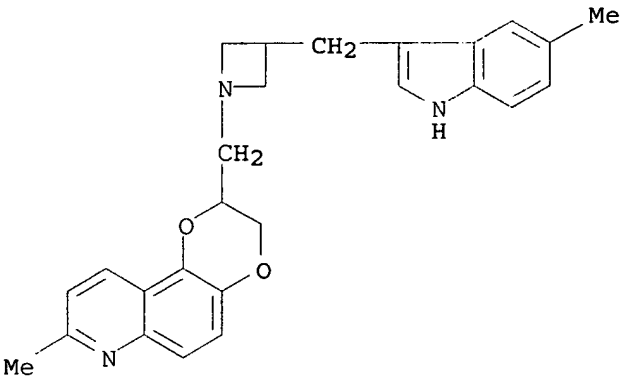
RN 676125-98-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676125-99-8 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-3-azetidinyl]ethyl]- (9CI) (CA INDEX NAME)

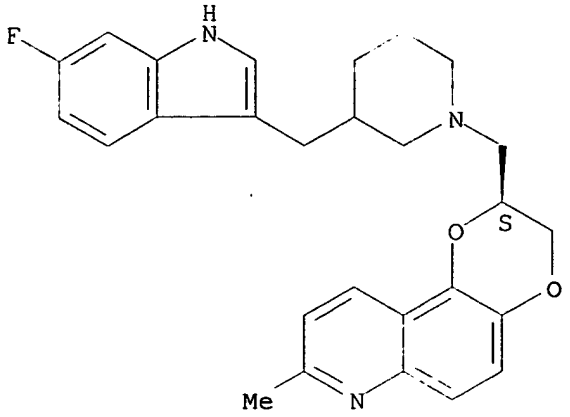


RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 716323-02-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



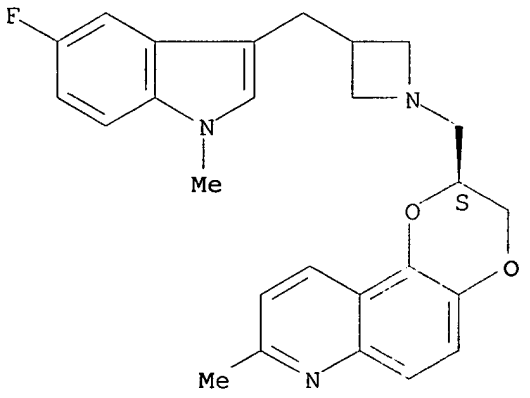
● HCl

RN 716323-03-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

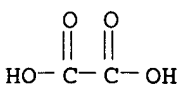
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



CM 2

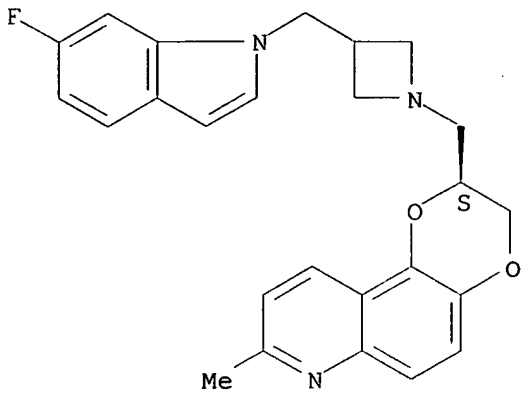
CRN 144-62-7
CMF C2 H2 O4



RN 716323-06-7 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

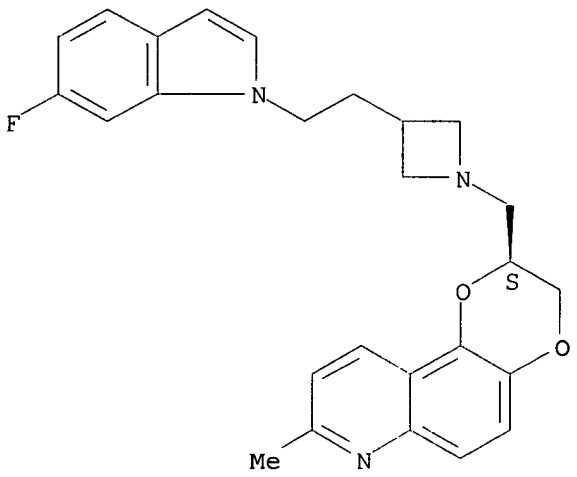
Absolute stereochemistry.




● HCl

RN 716323-07-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

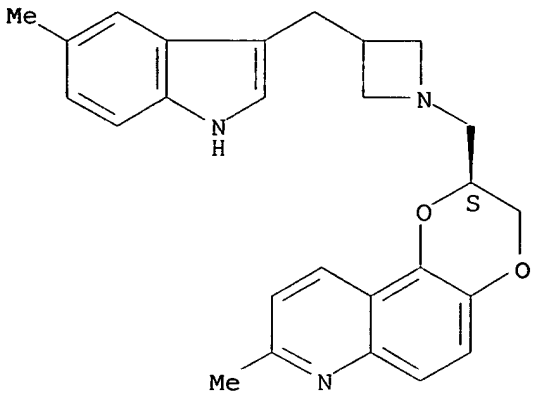
Absolute stereochemistry.




● HCl

RN 716323-11-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

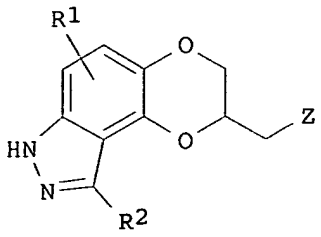



● HCl

L6 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:849636 CAPLUS
DOCUMENT NUMBER: 137:353036
TITLE: Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene
INVENTOR(S): Stack, Gary Paul; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002088133 | A1 | 20021107 | WO 2002-US13284 | 20020426 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002183331 | A1 | 20021205 | US 2002-128748 | 20020423 |
| US 6800641 | B2 | 20041005 | | |

PRIORITY APPLN. INFO.: US 2001-286568P P 20010426
OTHER SOURCE(S): MARPAT 137:353036
GI



I

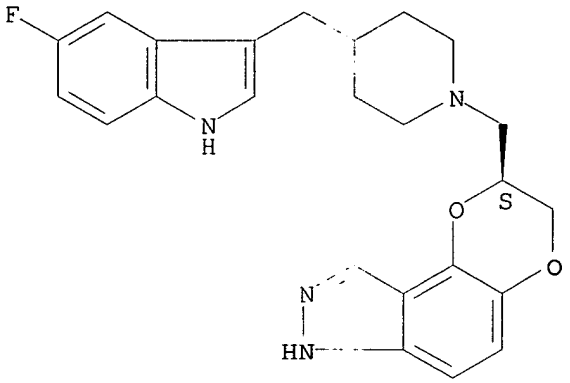
AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; Z = (un)substituted piperazino, piperidino, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indazol-2-ylmethyl 4-methylbenzenesulfonate (multi-step preparation given) with PhCH2NH2 in DMSO afforded 84% (S)-I [R1, R2 = H; Z = NHCH2Ph] which showed IC50 of 0.45 nM against D2 receptor binding.

IT **474383-13-6P 474383-14-7P 474383-24-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene)

RN 474383-13-6 CAPLUS

CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



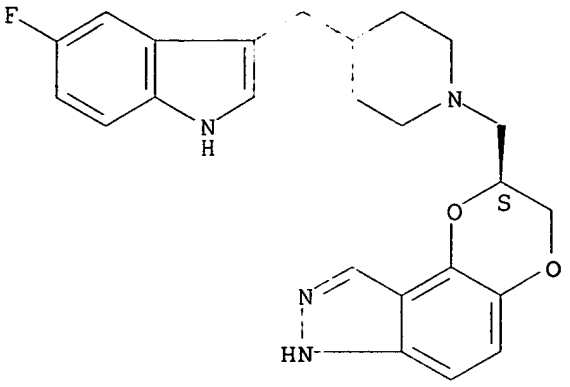
RN 474383-14-7 CAPLUS

CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

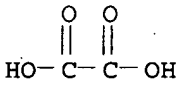
CRN 474383-13-6
CMF C24 H25 F N4 O2

Absolute stereochemistry.

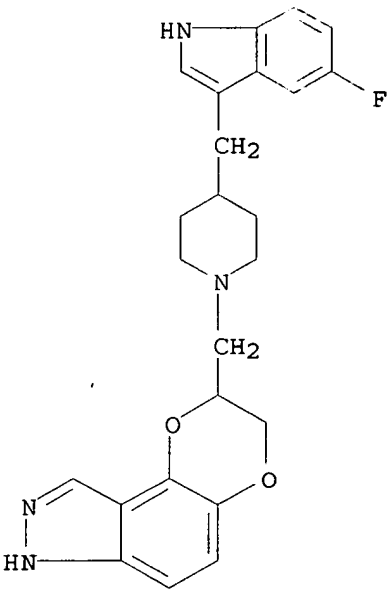


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 474383-24-9 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

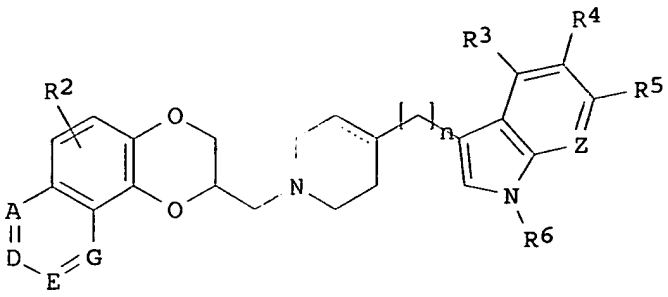
L6 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:716282 CAPLUS
DOCUMENT NUMBER: 137:247706

TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

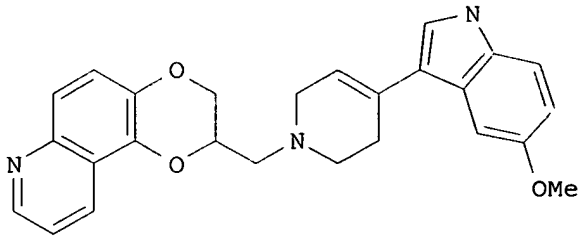
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002072587 | A1 | 20020919 | WO 2002-US7192 | 20020312 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6458802 | B2 | 20021001 | US 2002-95505 | 20020312 |
| US 2002165245 | A1 | 20021107 | | |
| EP 1392697 | A1 | 20040303 | EP 2002-721325 | 20020312 |
| EP 1392697 | B1 | 20041103 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| AT 281459 | E | 20041115 | AT 2002-721325 | 20020312 |
| PT 1392697 | T | 20050131 | PT 2002-721325 | 20020312 |
| ES 2230484 | T3 | 20050501 | ES 2002-2721325 | 20020312 |
| US 2003045542 | A1 | 20030306 | US 2002-228744 | 20020827 |
| US 6599915 | B2 | 20030729 | | |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| US 2001-275564P | P | 20010314 | |
| US 2002-95505 | A1 | 20020312 | |
| WO 2002-US7192 | W | 20020312 | |

OTHER SOURCE(S): MARPAT 137:247706
GI



I



II

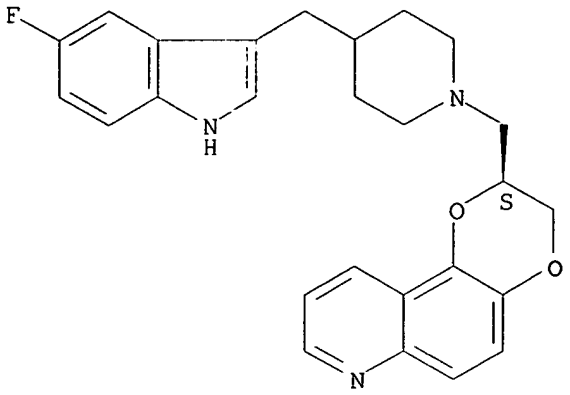
AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridyl)-1H-indole in DMSO afforded (S)-II. All 23 prepared compds. I were tested in the three standard exptl. tests for serotonin 5-HT1A receptor activity (biol. data given).

IT **460353-59-7P 460353-64-4P 460353-84-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline)

RN 460353-59-7 CAPLUS

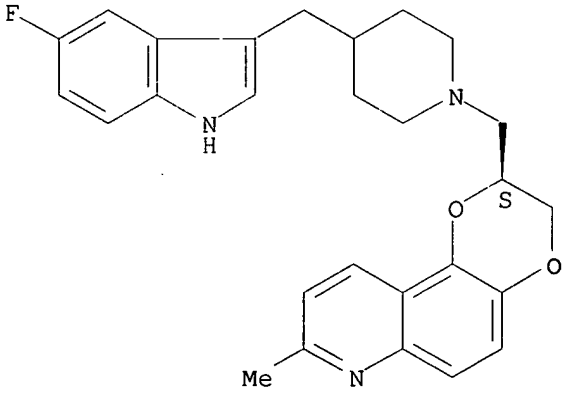
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 460353-64-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

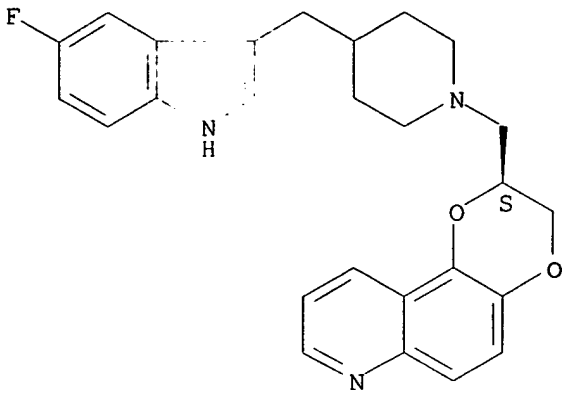


RN 460353-84-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

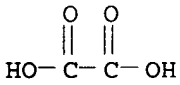
CRN 460353-59-7
CMF C26 H26 F N3 O2

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220550 CAPLUS
DOCUMENT NUMBER: 136:263097
TITLE: Preparation of heterocyclic compounds, e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters.
INVENTOR(S): Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike; Wang, Fengjian; Shao, Liming
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002022572 | A2 | 20020321 | WO 2001-US28654 | 20010912 |
| WO 2002022572 | A3 | 20020801 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2422055 | AA | 20020321 | CA 2001-2422055 | 20010912 |

AU 2001090873 A5 20020326 AU 2001-90873 20010912
EP 1318988 A2 20030618 EP 2001-970926 20010912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004509103 T2 20040325 JP 2002-526825 20010912
PRIORITY APPLN. INFO.: US 2000-231667P P 20000911
US 2001-273530P P 20010305
US 2001-298057P P 20010613
US 2000-273530P P 20010305
US 2000-298057P P 20010613
WO 2001-US28654 W 20010912
OTHER SOURCE(S): MARPAT 136:263097
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SO0-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR,SO0-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SO0-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to
be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of depression, sexual dysfunction, Alzheimer's disease, anxiety, etc.

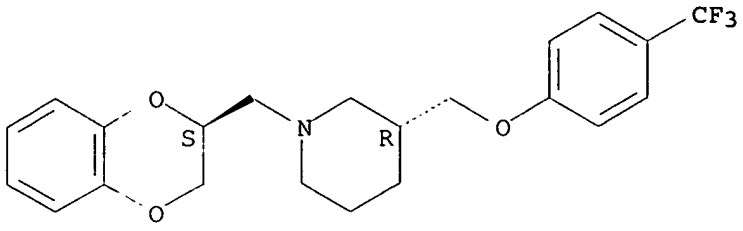
IT **405089-42-1P 405089-43-2P 405089-44-3P**
**405089-46-5P**
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

RN 405089-42-1 CAPLUS

CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-

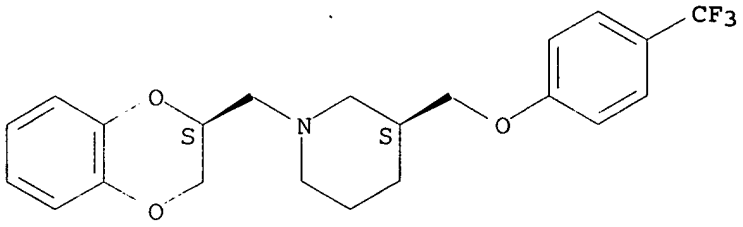
(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



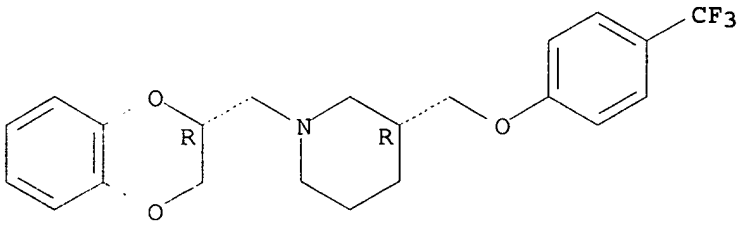
RN 405089-43-2 CAPLUS
CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



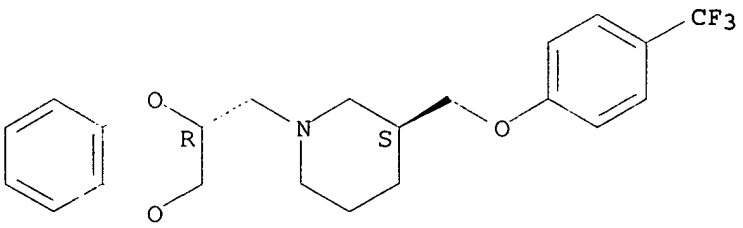
RN 405089-44-3 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 405089-46-5 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

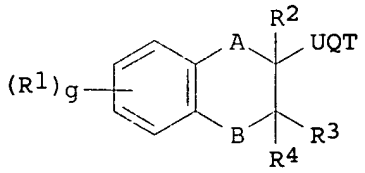
Absolute stereochemistry.



L6 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:31495 CAPLUS
DOCUMENT NUMBER: 134:95527
TITLE: Tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivatives for reducing cravings to food or an addictive substance
INVENTOR(S): Luscombe, Graham Paul; Needham, Patricia Lesley
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001002391 | A2 | 20010111 | WO 2000-EP5735 | 20000621 |
| WO 2001002391 | A3 | 20010712 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2378389 | AA | 20010111 | CA 2000-2378389 | 20000621 |
| EP 1198234 | A2 | 20020424 | EP 2000-943852 | 20000621 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003503491 | T2 | 20030128 | JP 2001-507828 | 20000621 |
| PRIORITY APPLN. INFO.: | | | GB 1999-15616 A | 19990705 |
| | | | WO 2000-EP5735 W | 20000621 |

OTHER SOURCE(S): MARPAT 134:95527
GI

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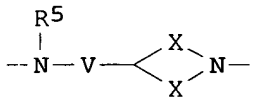
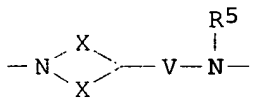



Q1



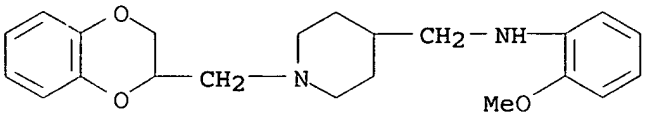

Q2

AB Compds. I [A, B = CH2, O; g = 0-4; R1 = halo, (substituted) alkyl, (substituted) alkoxy, etc.; R2 = H, alkyl, alkoxy; R3, R4 = H, alkyl; U =

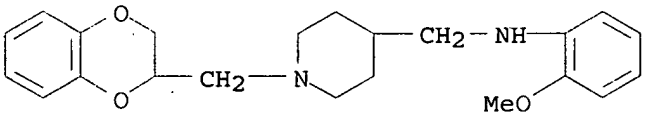
(alkyl-substituted) alkylene; Q = N(R5)V'NH, Q1, Q2; V = bond, (alkyl-substituted) alkylene; V' = (alkyl-substituted) alkylene; X = bond, alkylene; X' = alkylene; provided that total number of C atoms in X and X' amts. to 3 or 4; R5 = H, alkyl; T = (substituted) aromatic group which optionally contains ≥1 N atoms, provided that T is not 2-pyrimidinyl when A is O], and pharmaceutically acceptable salts thereof, have utility in reducing cravings to food or an addictive substance.

IT **170352-81-5 170352-81-5D**, enantiomers
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivs. for reducing cravings to food or addictive substance)

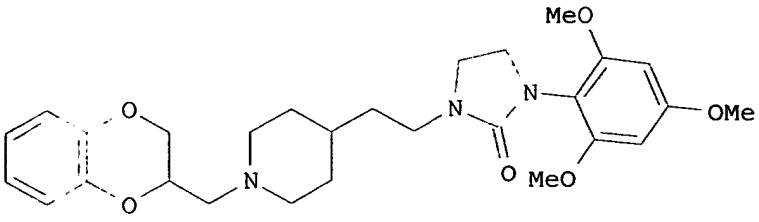
RN 170352-81-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)




RN 170352-81-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)




L6 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:653176 CAPLUS
DOCUMENT NUMBER: 133:362741
TITLE: New Substituted 1-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)piperidin-4-yl Derivatives with α2-Adrenoceptor Antagonist Activity
AUTHOR(S): Mayer, Patrice; Brunel, Pascale; Chaplain, Celine; Piedecoq, Christel; Calmel, Francis; Schambel, Philippe; Chopin, Philippe; Wurch, Thierry; Pauwels, Petrus J.; Marien, Marc; Vidaluc, Jean-Louis; Imbert, Thierry
CORPORATE SOURCE: Division of Medicinal Chemistry Department of Analytical Chemistry Division of Neurobiology and Department of Cellular and Molecular Biology, Centre de Recherche Pierre Fabre, Castres, 81100, Fr.
SOURCE: Journal of Medicinal Chemistry (2000), 43(20), 3653-3664
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:362741
GI



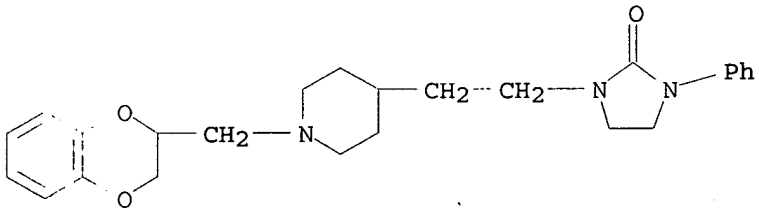

I

AB The emergence of a novel theory concerning the role of noradrenaline in the progression and the treatment of neurodegenerative diseases such as Parkinson's and Alzheimer's diseases has provided a new impetus toward the discovery of novel compds. acting at α2-adrenoceptors. A series of substituted 1-(2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)piperidin-4-yl derivs., e.g., I, bearing an amide, urea, or imidazolidinone moiety was studied. Some members of this series of compds. proved to be potent α2-adrenoceptor antagonists with good selectivity vs. α1-adrenergic and D2-dopamine receptors. Particular emphasis is given to compound I which displays potent α2-adrenoceptor binding affinity in vitro and central effects in vivo following oral administration.

IT **194611-91-1P 194612-13-0P 202002-17-3P 202002-19-5P 202002-21-9P 202002-25-3P 306967-85-1P 306968-03-6P 306968-12-7P 306968-23-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

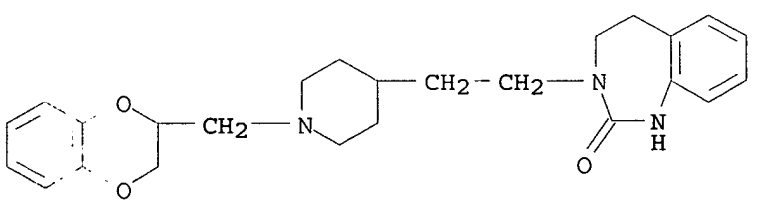
RN 194611-91-1 CAPLUS

CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

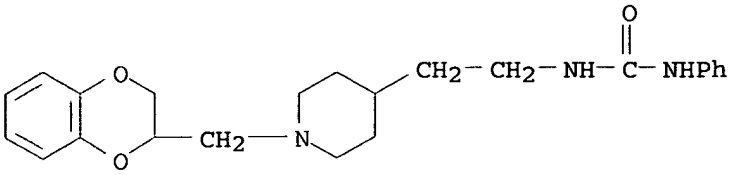



RN 194612-13-0 CAPLUS

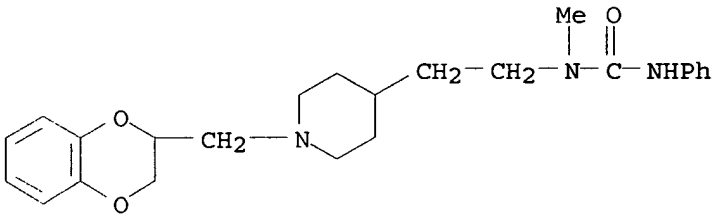
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



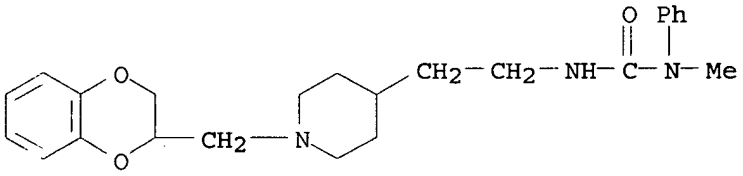
RN 202002-17-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



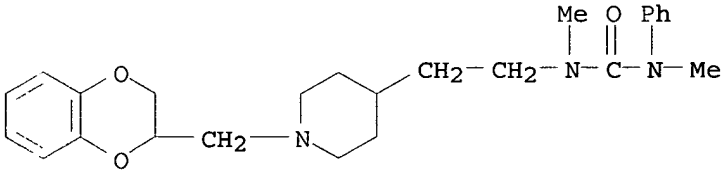
RN 202002-19-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl- (9CI) (CA INDEX NAME)



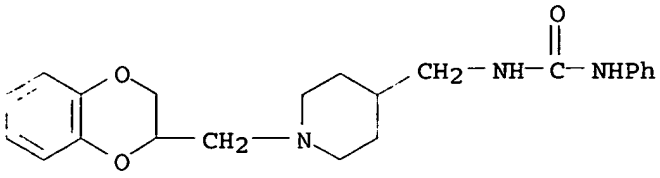
RN 202002-21-9 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



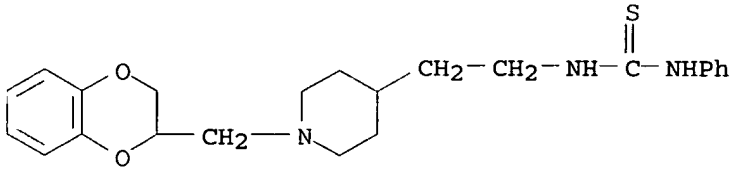
RN 202002-25-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl- (9CI) (CA INDEX NAME)



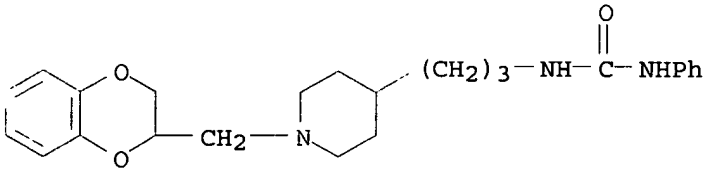
RN 306967-85-1 CAPLUS
CN Urea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-phenyl- (9CI) (CA INDEX NAME)



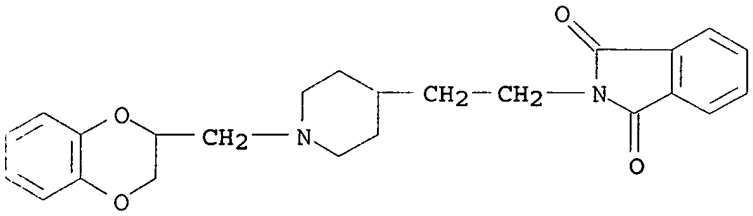
RN 306968-03-6 CAPLUS
CN Thiourea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 306968-12-7 CAPLUS
CN Urea, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 306968-23-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

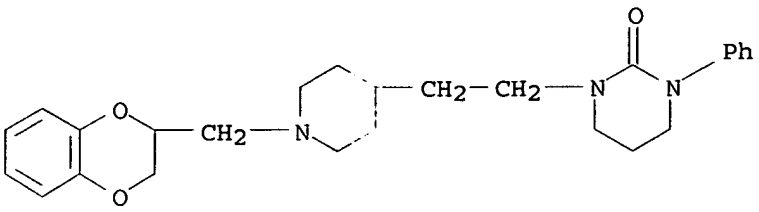


IT **194611-90-0P 194612-00-5P 194612-03-8P**
**194612-04-9P 194612-05-0P 194612-07-2P**
**194612-08-3P 194612-09-4P 194612-10-7P**
**202002-33-3P 202002-36-6P 202002-38-8P**
**202002-43-5P 202002-51-5P 202002-53-7P**
**306967-91-9P 306967-92-0P 306967-94-2P**
**306967-96-4P 306967-97-5P 306967-99-7P**
**306968-00-3P 306968-05-8P 306968-14-9P**
**306968-25-2P 306968-28-5P 306968-32-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, binding affinity and bioactivity of substituted

dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

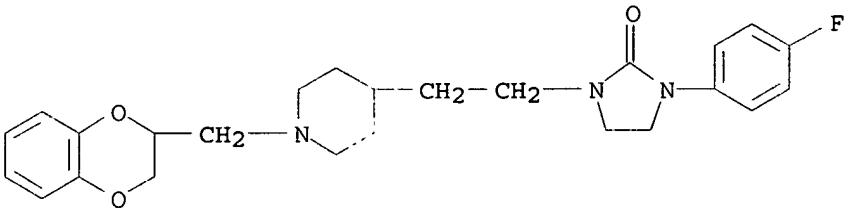
RN 194611-90-0 CAPLUS

CN 2(1H)-Pyrimidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)



RN 194612-00-5 CAPLUS

CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



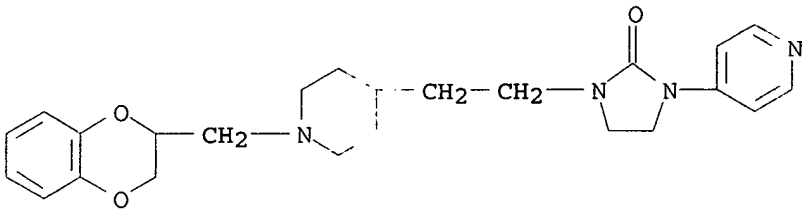
RN 194612-03-8 CAPLUS

CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 194612-02-7

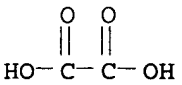
CMF C24 H30 N4 O3



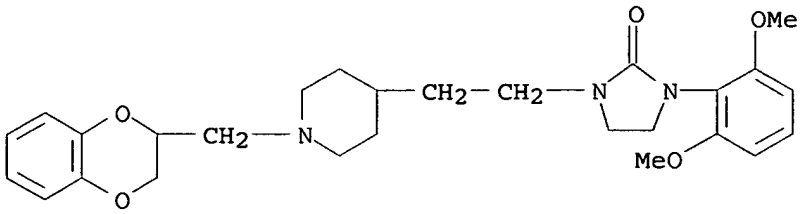
CM 2

CRN 144-62-7

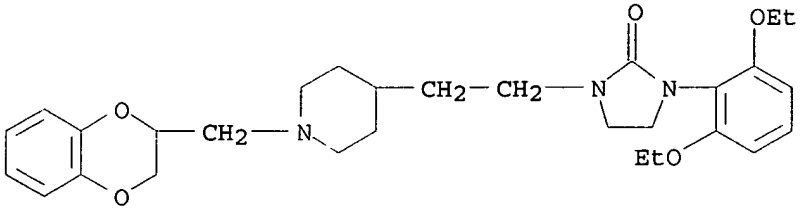
CMF C2 H2 O4



RN 194612-04-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



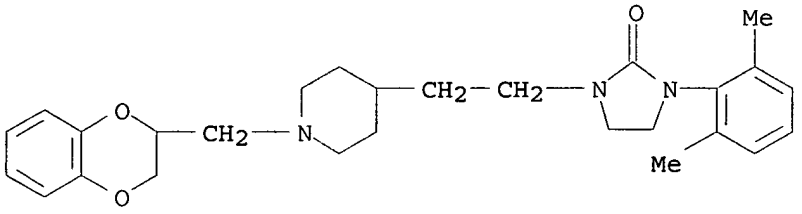
RN 194612-05-0 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-diethoxyphenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 194612-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

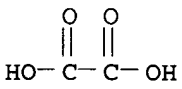
CM 1

CRN 194612-06-1
CMF C27 H35 N3 O3

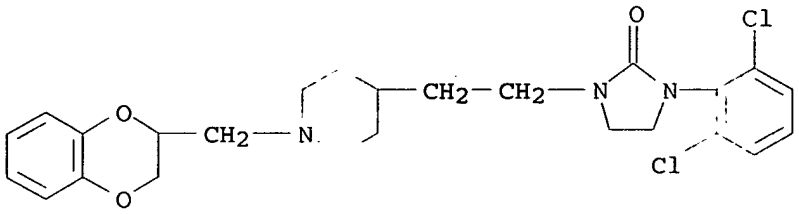


CM 2

CRN 144-62-7
CMF C2 H2 O4

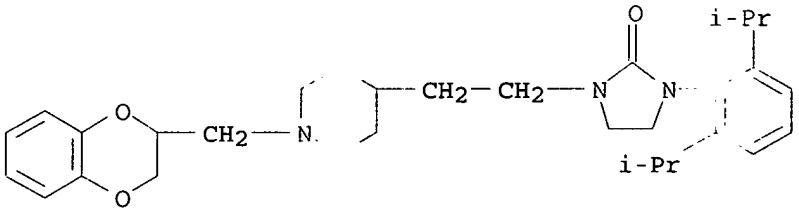


RN 194612-08-3 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

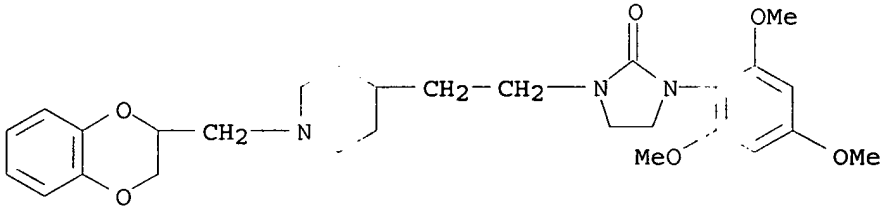


● HCl

RN 194612-09-4 CAPLUS
CN 2-Imidazolidinone, 1-[2,6-bis(1-methylethyl)phenyl]-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



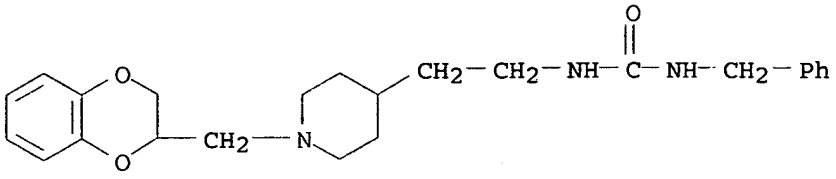
RN 194612-10-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 202002-33-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

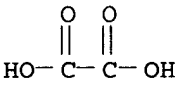
CM 1

CRN 202002-32-2
CMF C24 H31 N3 O3



CM 2

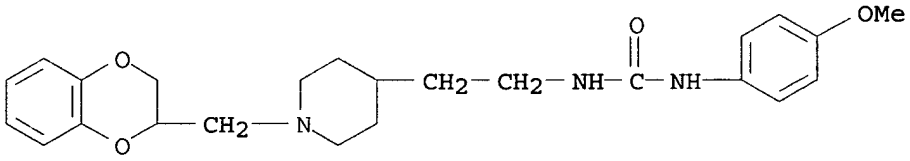
CRN 144-62-7
CMF C2 H2 O4



RN 202002-36-6 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

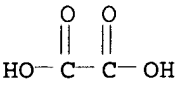
CM 1

CRN 202002-35-5
CMF C24 H31 N3 O4



CM 2

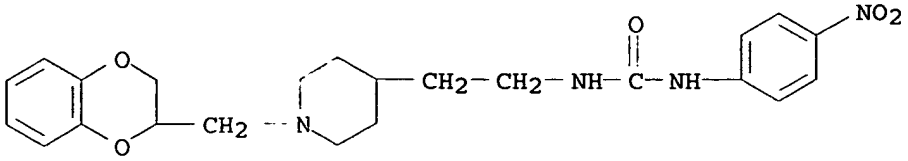
CRN 144-62-7
CMF C2 H2 O4



RN 202002-38-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-nitrophenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

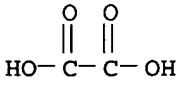
CM 1

CRN 202002-37-7
CMF C23 H28 N4 O5

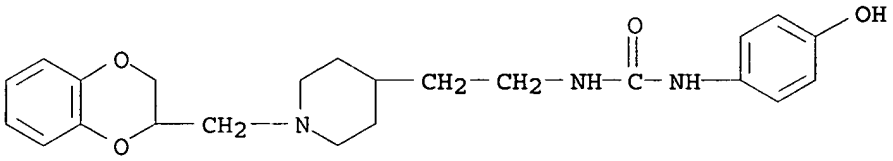


CM 2

CRN 144-62-7
CMF C2 H2 O4



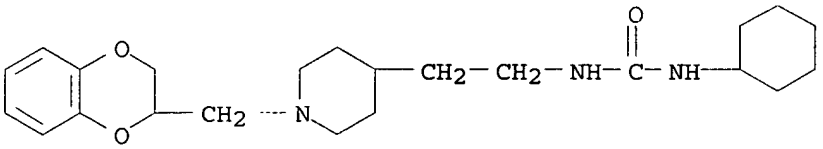
RN 202002-43-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 202002-51-5 CAPLUS
CN Urea, N-cyclohexyl-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

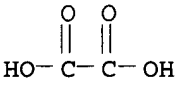
CM 1

CRN 202002-50-4
CMF C23 H35 N3 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4

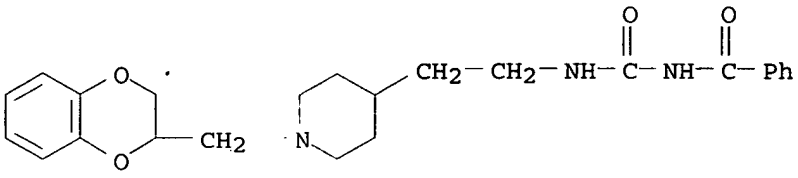


RN 202002-53-7 CAPLUS

CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]carbonyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

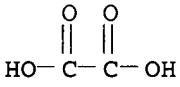
CM 1

CRN 202002-52-6
CMF C24 H29 N3 O4

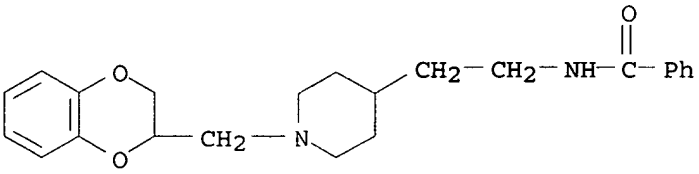


CM 2

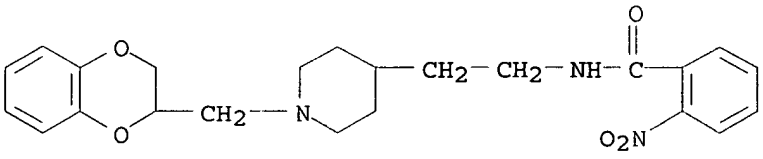
CRN 144-62-7
CMF C2 H2 O4



RN 306967-91-9 CAPLUS
CN Benzamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 306967-92-0 CAPLUS
CN Benzamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-nitro- (9CI) (CA INDEX NAME)

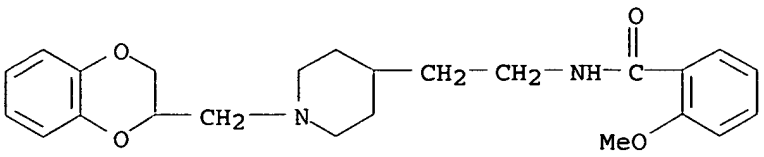


RN 306967-94-2 CAPLUS
CN Benzamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-methoxy-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

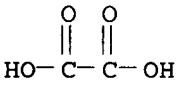
CRN 306967-93-1

CMF C24 H30 N2 O4



CM 2

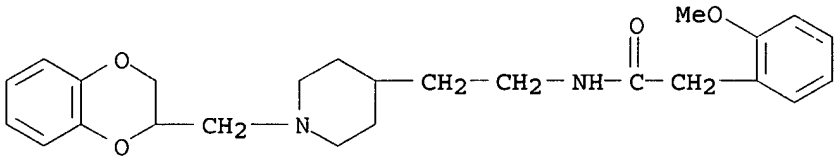
CRN 144-62-7
CMF C2 H2 O4



RN 306967-96-4 CAPLUS
CN Benzeneacetamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-methoxy-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

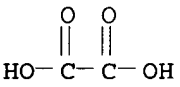
CM 1

CRN 306967-95-3
CMF C25 H32 N2 O4

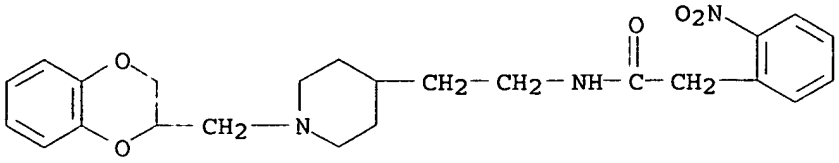


CM 2

CRN 144-62-7
CMF C2 H2 O4



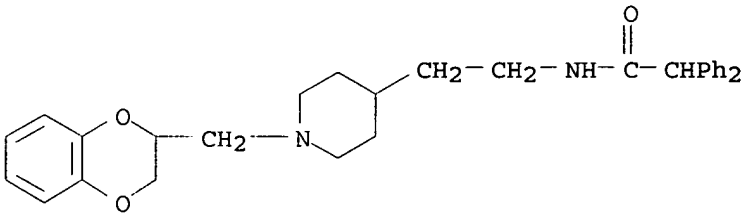
RN 306967-97-5 CAPLUS
CN Benzeneacetamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-nitro- (9CI) (CA INDEX NAME)



RN 306967-99-7 CAPLUS
CN Benzeneacetamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-α-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

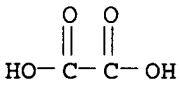
CM 1

CRN 306967-98-6
CMF C30 H34 N2 O3

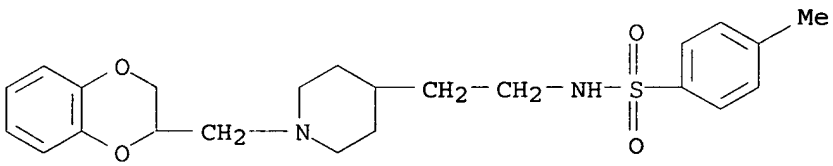


CM 2

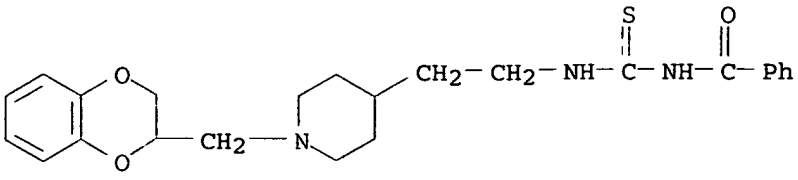
CRN 144-62-7
CMF C2 H2 O4



RN 306968-00-3 CAPLUS
CN Benzenesulfonamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

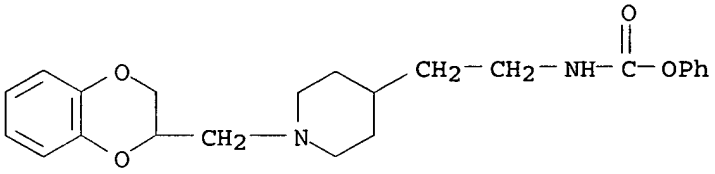


RN 306968-05-8 CAPLUS
CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]thioxomethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

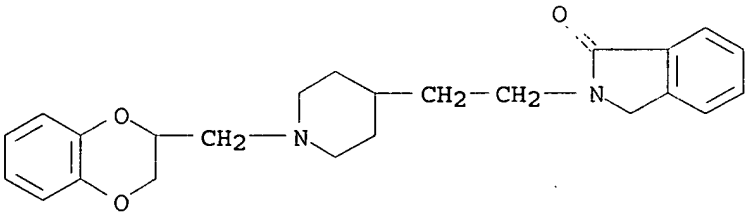


● HCl

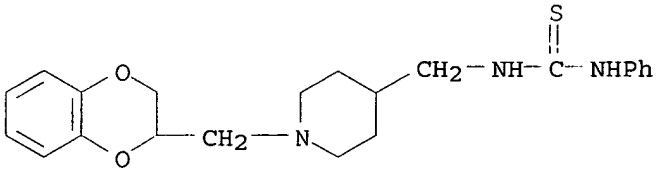
RN 306968-14-9 CAPLUS
CN Carbamic acid, [2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 306968-25-2 CAPLUS
CN 1H-Isoindol-1-one, 2-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 306968-28-5 CAPLUS
CN Thiourea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

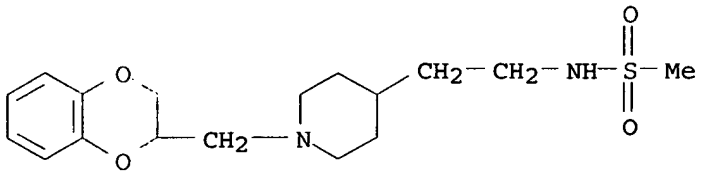


● HCl

RN 306968-32-1 CAPLUS
CN Methanesulfonamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

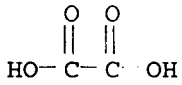
CM 1

CRN 306968-31-0
CMF C17 H26 N2 O4 S



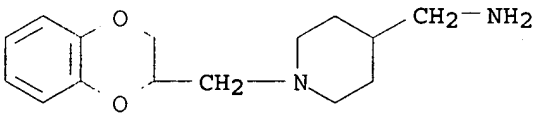
CM 2

CRN 144-62-7
CMF C2 H2 O4

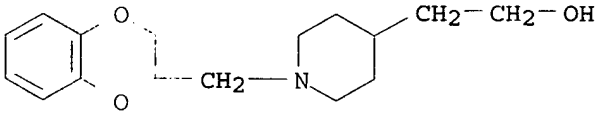


IT **89483-75-0P 194612-27-6P 194612-28-7P**
**194612-30-1P 194612-31-2P 306967-87-3P**
**306967-88-4P 306967-89-5P 306968-06-9P**
**306968-07-0P 306968-10-5P 306968-11-6P**
**306968-21-8P 306968-22-9P 306968-26-3P**
**306968-27-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

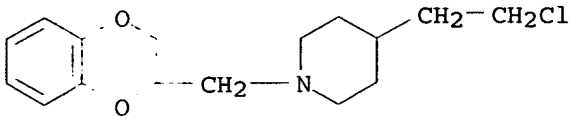
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



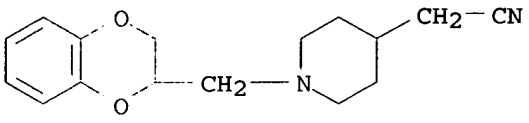
RN 194612-27-6 CAPLUS
CN 4-Piperidineethanol, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



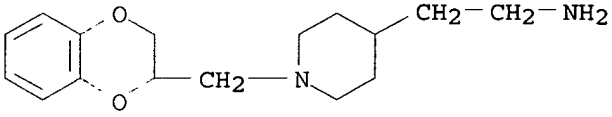
RN 194612-28-7 CAPLUS
CN Piperidine, 4-(2-chloroethyl)-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



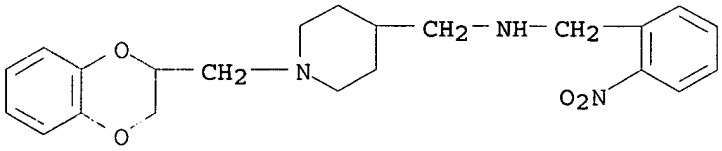
RN 194612-30-1 CAPLUS
CN 4-Piperidineacetonitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



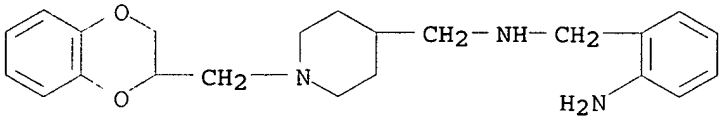
RN 194612-31-2 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



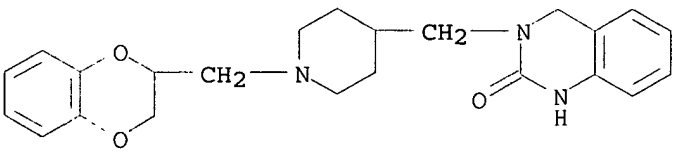
RN 306967-87-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[(2-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



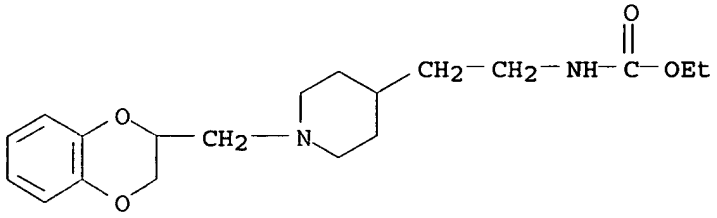
RN 306967-88-4 CAPLUS
CN 4-Piperidinemethanamine, N-[(2-aminophenyl)methyl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



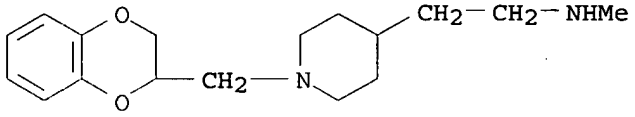
RN 306967-89-5 CAPLUS
CN 2(1H)-Quinazolinone, 3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



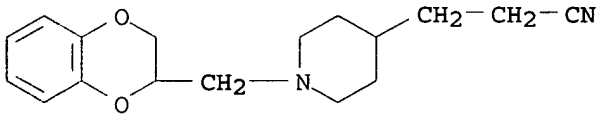
RN 306968-06-9 CAPLUS
CN Carbamic acid, [2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



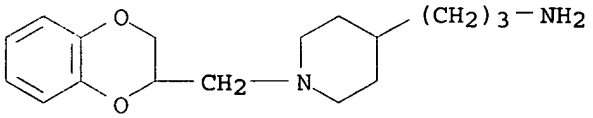
RN 306968-07-0 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl- (9CI) (CA INDEX NAME)



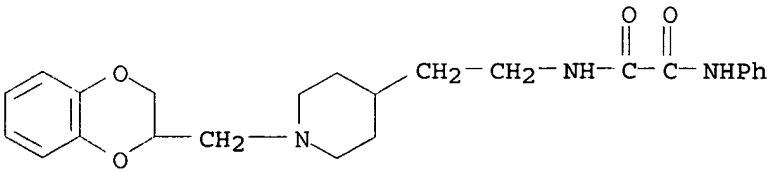
RN 306968-10-5 CAPLUS
CN 4-Piperidinepropanenitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 306968-11-6 CAPLUS
CN 4-Piperidinepropanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

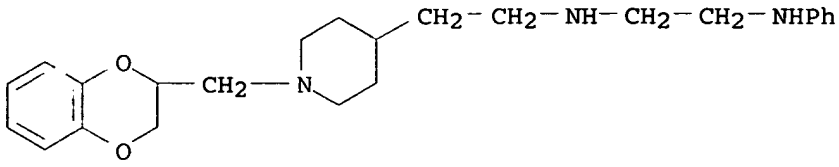


RN 306968-21-8 CAPLUS
CN Ethanediamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)

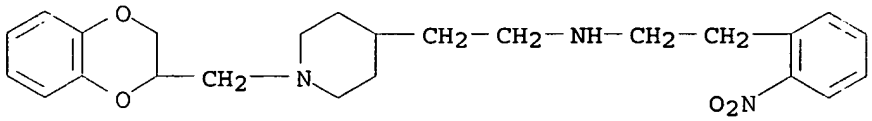


RN 306968-22-9 CAPLUS
CN 1,2-Ethanediamine, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

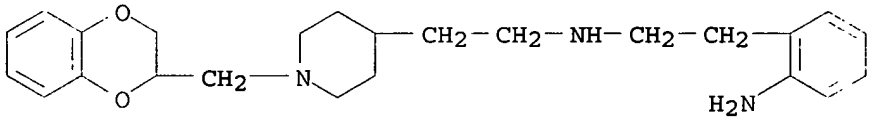
piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 306968-26-3 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[2-(2-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 306968-27-4 CAPLUS
CN 4-Piperidineethanamine, N-[2-(2-aminophenyl)ethyl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

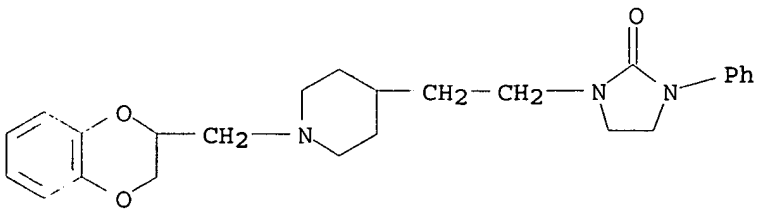


IT **194611-92-2P 194612-14-1P 194612-16-3P**
**202002-18-4P 202002-26-4P 306967-86-2P**
**306967-90-8P 306968-02-5P 306968-04-7P**
**306968-08-1P 306968-13-8P 306968-16-1P**
**306968-24-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

RN 194611-92-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

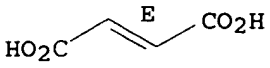
CRN 194611-91-1
CMF C25 H31 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

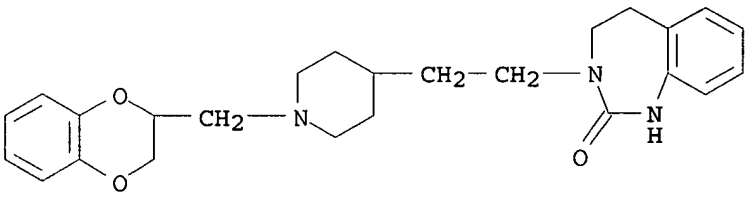
Double bond geometry as shown.



RN 194612-14-1 CAPLUS
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

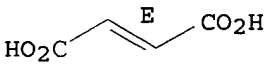
CRN 194612-13-0
CMF C25 H31 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

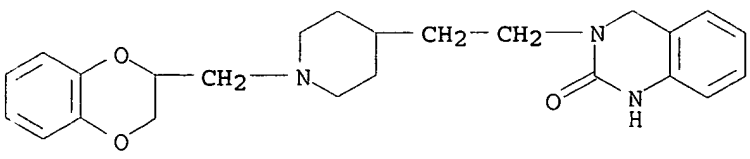
Double bond geometry as shown.



RN 194612-16-3 CAPLUS
CN 2(1H)-Quinazolinone, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

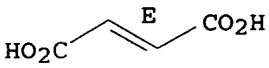
CRN 194612-15-2
CMF C24 H29 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

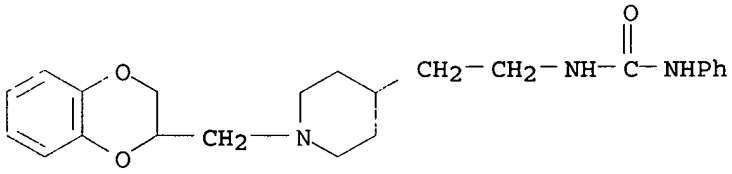
Double bond geometry as shown.



RN 202002-18-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

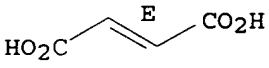
CRN 202002-17-3
CMF C23 H29 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

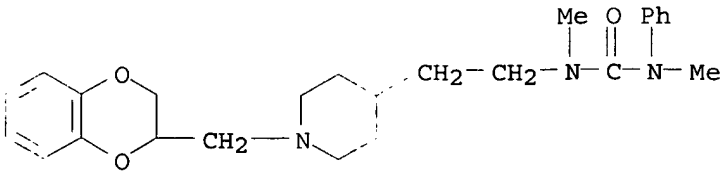
Double bond geometry as shown.



RN 202002-26-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

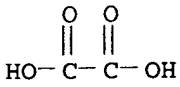
CM 1

CRN 202002-25-3
CMF C25 H33 N3 O3

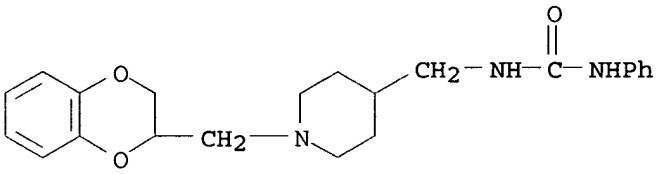


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 306967-86-2 CAPLUS
CN Urea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

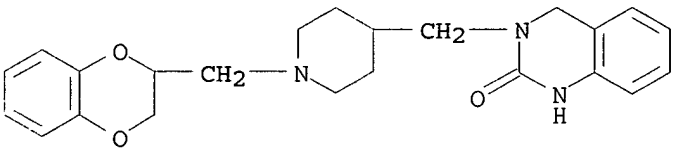


● HCl

RN 306967-90-8 CAPLUS
CN 2(1H)-Quinazolinone, 3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

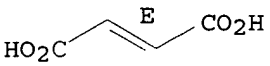
CRN 306967-89-5
CMF C23 H27 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

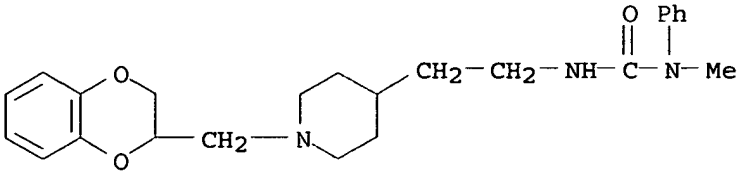
Double bond geometry as shown.



RN 306968-02-5 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

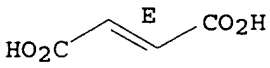
CRN 202002-21-9
CMF C24 H31 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

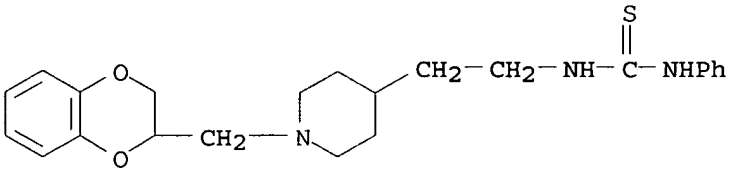
Double bond geometry as shown.



RN 306968-04-7 CAPLUS
CN Thiourea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

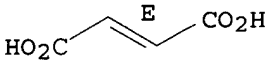
CRN 306968-03-6
CMF C23 H29 N3 O2 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

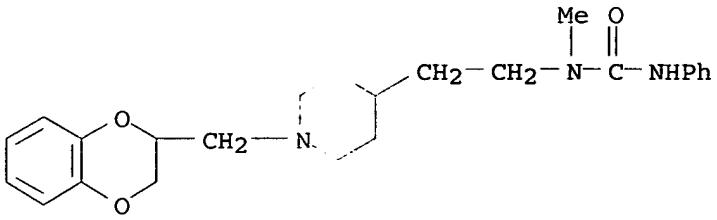
Double bond geometry as shown.



RN 306968-08-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

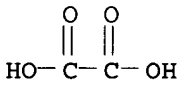
CM 1

CRN 202002-19-5
CMF C24 H31 N3 O3



CM 2

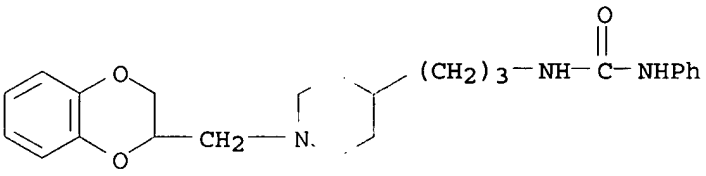
CRN 144-62-7
CMF C2 H2 O4



RN 306968-13-8 CAPLUS
CN Urea, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

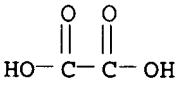
CM 1

CRN 306968-12-7
CMF C24 H31 N3 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4

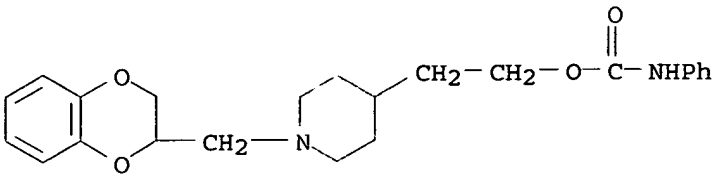


RN 306968-16-1 CAPLUS
CN 4-Piperidineethanol, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, phenylcarbamate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

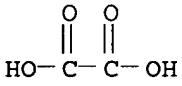
CRN 306968-15-0

CMF C23 H28 N2 O4

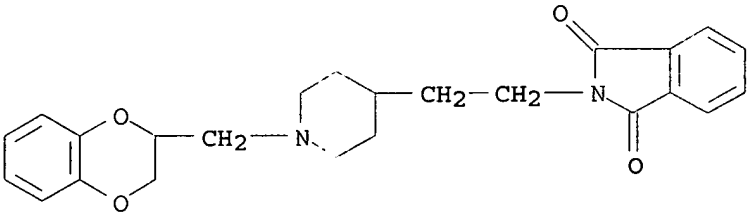


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 306968-24-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



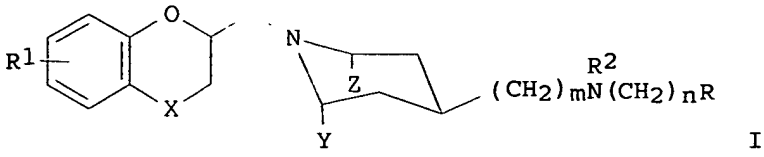
● HCl

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:420927 CAPLUS
DOCUMENT NUMBER: 131:102028
TITLE: Preparation of cyclic amine derivatives
INVENTOR(S): Kato, Hideo; Iwasaki, Nobuhiko; Ikeda, Yoshitaka; Azuma, Teijiro
PATENT ASSIGNEE(S): Hokurika Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| JP 11180979 | A2 | 19990706 | JP 1998-80369 | | 19980312 |
| PRIORITY APPLN. INFO.: | | | JP 1997-303800 | A | 19971017 |

OTHER SOURCE(S): MARPAT 131:102028
GI



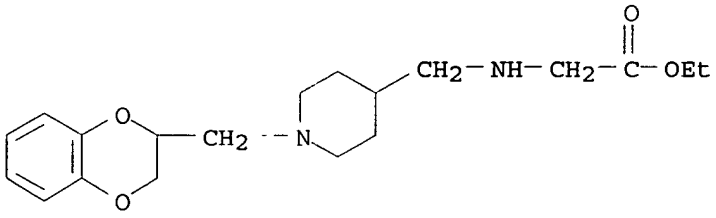
I

AB Title compds. [I; R1 = H, 7-Cl, 7-CH3; Z = H; Y = H; Y-Z = -CH2CH2, -CH2CH2CH2; m = 0-2; n = 1-4; X = CH, O; R = CO2Et, CO2H, CONHPr-i, CONHPh, CONH2, NHSO2Me, etc.; R2 = CH3, H, CH3(CH2)5, CH3(CH2)2, CH3(CH2)5, etc.], stereoisomers, and pharmaceutical acceptable salts as α2b adrenaline inhibitors are prepared in treatment of central nervous system diseases, such as, emothion induced digestive hypofunction, hypertension, obesity, etc. Thus, the title compound I ( R1 = H; X = O; Y = H; Z = H; m = 0; n = 4; R = CO2Et; R2 = H) was prepared

IT **230314-14-4P 230314-15-5P 230314-16-6P**
**230314-17-7P 230314-18-8P 230314-20-2P**
**230314-21-3P 230314-63-3P 230314-64-4P**
**230314-84-8P 230314-85-9P 230314-86-0P**
**230314-87-1P 230314-89-3P 230314-90-6P**
**230315-15-8P 230315-16-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of arylamino aliphatic acid derivs.)

RN 230314-14-4 CAPLUS

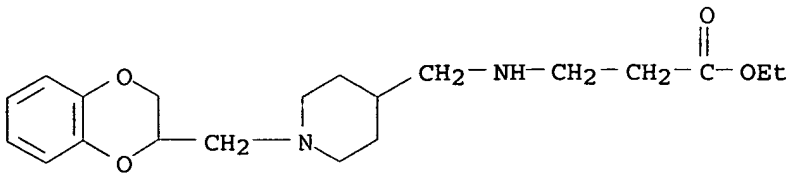
CN Glycine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

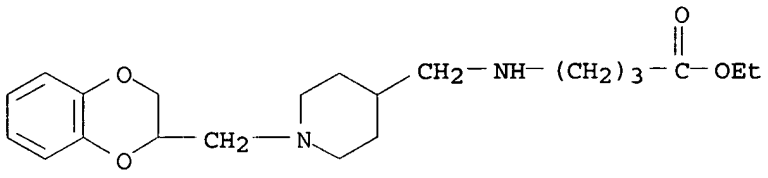
RN 230314-15-5 CAPLUS

CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



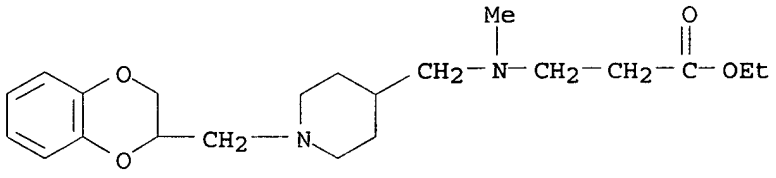
●2 HCl

RN 230314-16-6 CAPLUS
CN Butanoic acid, 4-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



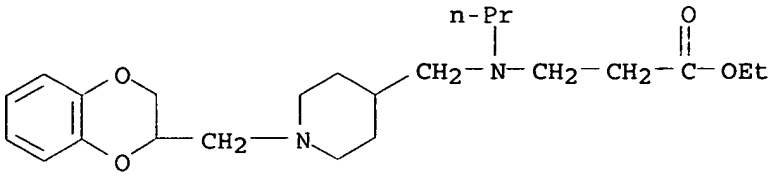
●2 HCl

RN 230314-17-7 CAPLUS
CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 230314-18-8 CAPLUS
CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-propyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

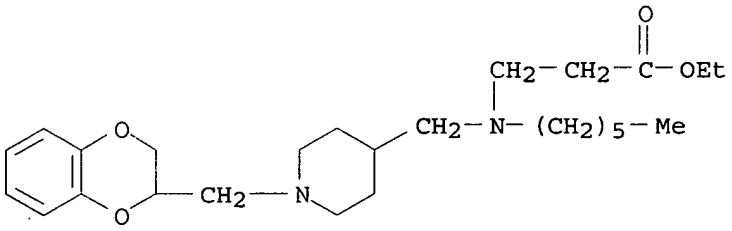


● 2 HCl

RN 230314-20-2 CAPLUS
CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-hexyl-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

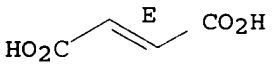
CRN 230314-19-9
CMF C26 H42 N2 O4



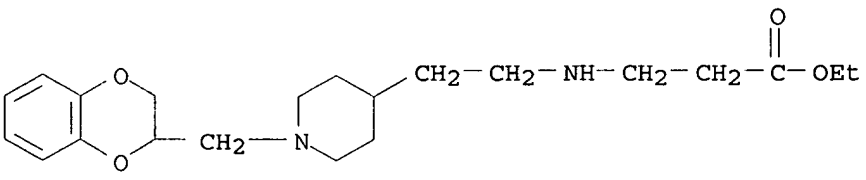
CM 2

CRN 110-17-8
CMF C4 H4 O4

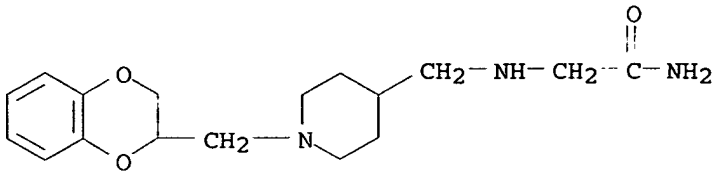
Double bond geometry as shown.



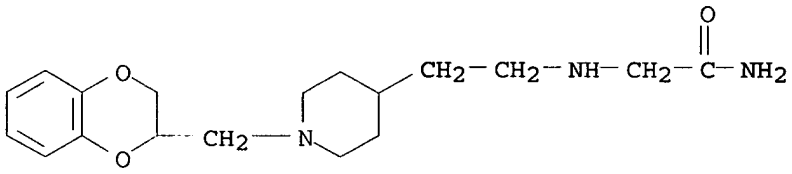
RN 230314-21-3 CAPLUS
CN β-Alanine, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



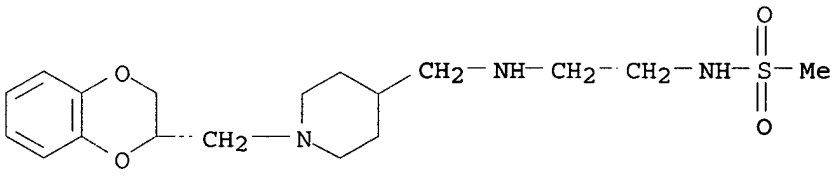
RN 230314-63-3 CAPLUS
CN Acetamide, 2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 230314-64-4 CAPLUS
CN Acetamide, 2-[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)

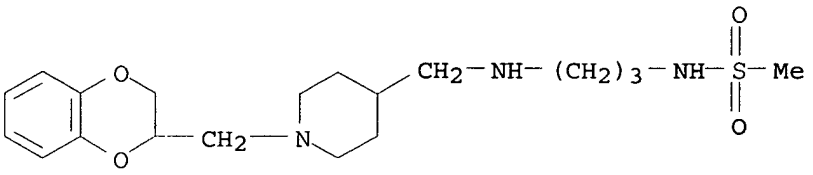


RN 230314-84-8 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



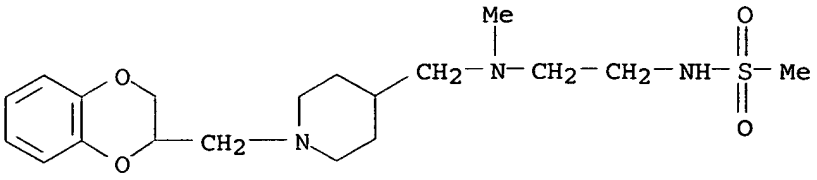
●2 HCl

RN 230314-85-9 CAPLUS
CN Methanesulfonamide, N-[3-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

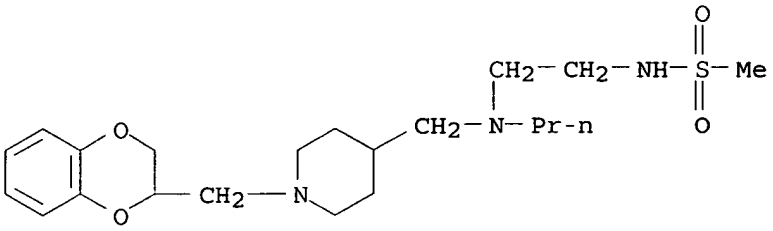


●2 HCl

RN 230314-86-0 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]methylamino]ethyl]- (9CI) (CA INDEX NAME)



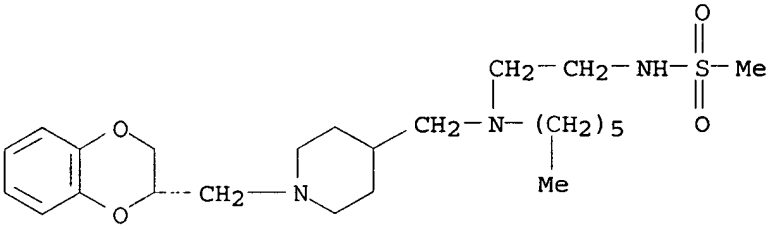
RN 230314-87-1 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]propylamino]ethyl]- (9CI) (CA INDEX NAME)



RN 230314-89-3 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]hexylamino]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

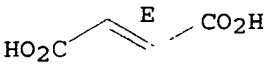
CRN 230314-88-2
CMF C24 H41 N3 O4 S



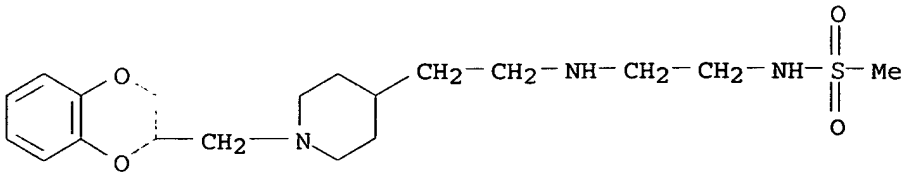
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 230314-90-6 CAPLUS
CN Methanesulfonamide, N-[2-[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

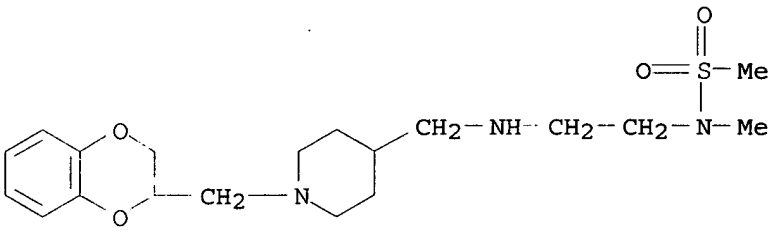


● 2 HCl

RN 230315-15-8 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]ethyl]-N-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

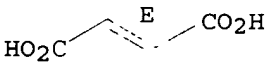
CRN 230315-14-7
CMF C19 H31 N3 O4 S



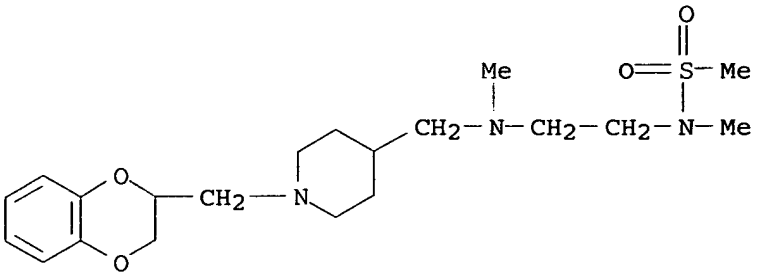
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

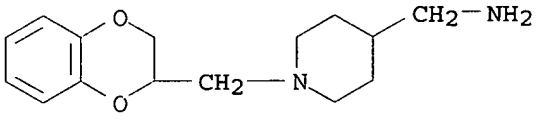


RN 230315-16-9 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]methylamino]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

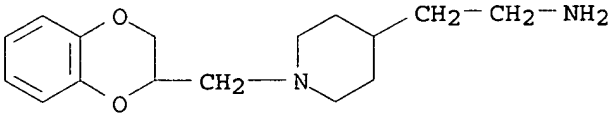
IT **89483-75-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylamino aliphatic acid derivs.)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



IT **230315-44-3P 230315-53-4P 230315-54-5P**
**230315-55-6P 230315-71-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylamino aliphatic acid derivs.)
RN 230315-44-3 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

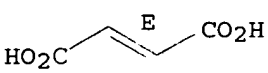
CRN 194612-31-2
CMF C16 H24 N2 O2



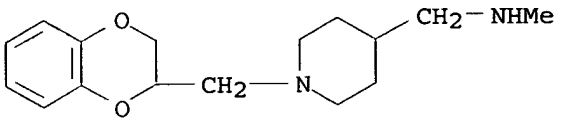
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

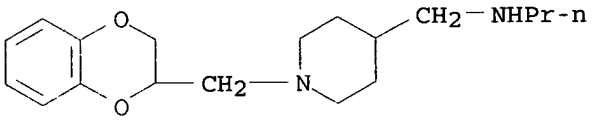


RN 230315-53-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



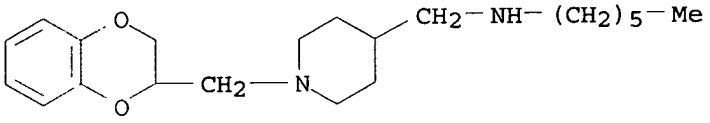
●2 HCl

RN 230315-54-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



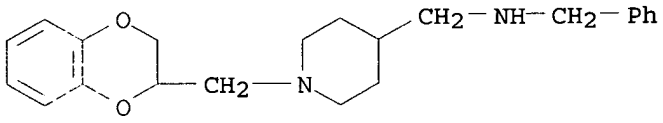
●2 HCl

RN 230315-55-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-hexyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 230315-71-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:499944 CAPLUS
DOCUMENT NUMBER: 131:280998
TITLE: N-Substituted (2,3-Dihydro-1,4-benzodioxin-2-yl)methylamine Derivatives as D2 Antagonists/5-HT1A

Partial Agonists with Potential as Atypical Antipsychotic Agents

AUTHOR(S): Birch, Alan M.; Bradley, Paul A.; Gill, Julie C.; Kerrigan, Frank; Needham, Pat L.

CORPORATE SOURCE: Research and Development Department, Knoll Pharmaceuticals, Nottingham, NG1 1GF, UK

SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3342-3355
CODEN: JMCMAR; ISSN: 0022-2623

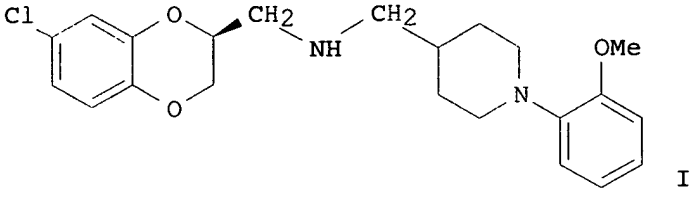
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:280998

GI




I

AB A series of N-substituted 1-(2,3-dihydro-1,4-benzodioxin-2-yl)methylamine derivs. with D2 antagonist/5-HT1A partial agonist activity has been prepared as potential atypical antipsychotic agents. Optimization of in vitro receptor binding activity and in vivo activity in rodent models of psychosis has led to a compound (I) which showed good affinities for human D2, D3, and 5-HT1A receptors but significantly less affinity for human α1 adrenoceptors and rat H1 and muscarinic receptors. In rodents, I showed functional D2-like antagonism and 5-HT1A partial agonism. After oral dosing, I showed good activity in rodent antipsychotic tests and very little potential to cause extrapyramidal side effects (EPS), as measured by its ability to induce catalepsy in rats only at very high doses. In the light of this promising profile of activity, I has been selected for clin. investigation as a novel antipsychotic agent with a predicted low propensity to cause EPS.

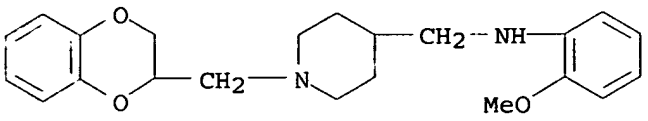
IT **170352-82-6P 246265-92-9P 246265-93-0P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for α1 adrenoceptors)

RN 170352-82-6 CAPLUS

CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

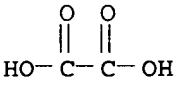
CM 1

CRN 170352-81-5
CMF C22 H28 N2 O3



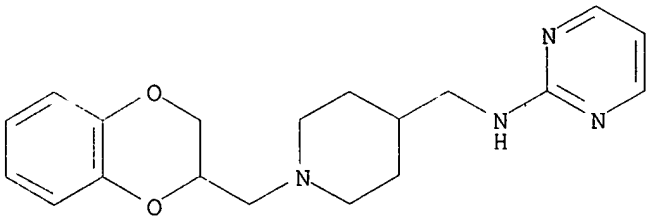
CM 2

CRN 144-62-7
CMF C2 H2 O4



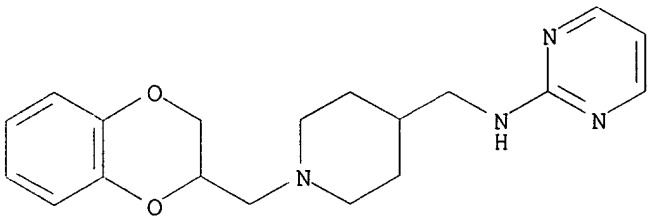
RN 246265-92-9 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



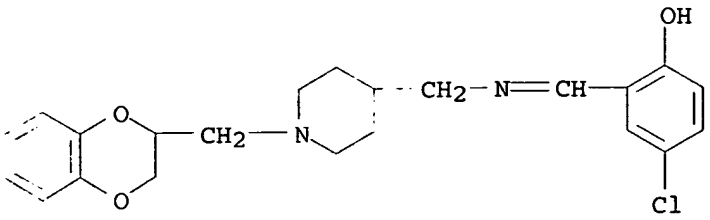
RN 246265-93-0 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

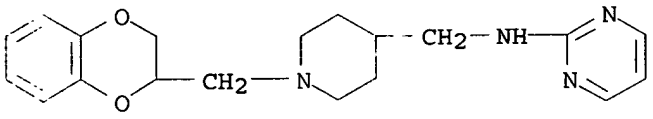


IT **246266-07-9P 246266-08-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for α1 adrenoceptors)

RN 246266-07-9 CAPLUS
CN Phenol, 4-chloro-2-[[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]imino]methyl]- (9CI) (CA INDEX NAME)



RN 246266-08-0 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

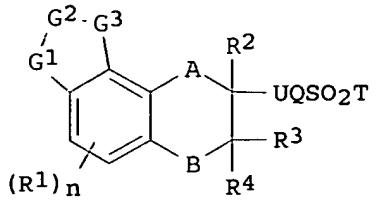


REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:485058 CAPLUS
DOCUMENT NUMBER: 129:109093
TITLE: Preparation of heteroarylsulfonamides as 5-HT1A and/or D2-like receptor ligands.
INVENTOR(S): Birch, Alan Martin; Bradley, Paul Anthony
PATENT ASSIGNEE(S): Knoll A.-G. Chemische Fabriken, Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 9829415 | A1 | 19980709 | WO 1997-EP7035 | | 19971215 |
| W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | | |
| AU 9858568 | A1 | 19980731 | AU 1998-58568 | | 19971215 |
| EP 948499 | A1 | 19991013 | EP 1997-954403 | | 19971215 |
| EP 948499 | B1 | 20050302 | | | |
| R: DE, FR, GB, IT | | | | | |
| JP 2001508420 | T2 | 20010626 | JP 1998-529575 | | 19971215 |
| US 6218405 | B1 | 20010417 | US 1999-331064 | | 19990616 |
| PRIORITY APPLN. INFO.: | | | GB 1996-27005 | A | 19961227 |
| | | | WO 1997-EP7035 | W | 19971215 |

OTHER SOURCE(S): MARPAT 129:109093
GI



I

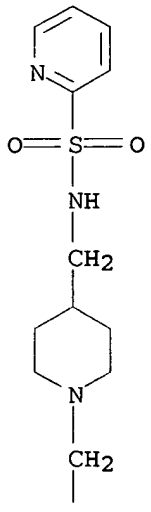
AB Title compds. [I; A, B = CH2, O; G1G2G3 = NR'CR'':N, ON:CR'', OC(R')2O, SCR'':N, etc.; R' = H, alkyl; R'' = H, halo, alkyl, haloalkyl, CO2H, alkanoyl, alkoxycarbonyl, carbamoyl, etc.; R1 = alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio; R2-R4 = H, alkyl; n = 0, 1, 2; U = (alkyl-substituted) alkylene; Q = specified divalent group containing N atoms; T = (substituted) aryl, heteroaryl], were prepared Thus, N-[(1-tert-butoxycarbonylpiperid-4-yl)methyl]pyridine-2-sulfonamide in CH2Cl2 was treated with CF3CO2H, to give a residue which was refluxed with (S)-9-chloro-2,3-dihydrothieno[1,4]benzodioxin-2-ylmethyl tosylate (preparation given) and K2CO3 in MeCN to give (S)-N-[[1-(9-chloro-2,3-dihydrothieno[3,2-f][1,4]benzodioxin-2-ylmethyl)piperid-4-yl]methyl]pyridine-2-sulfonamide.

IT **210042-92-5P 210042-93-6P 210042-94-7P**
**210042-95-8P 210042-97-0P 210042-98-1P**
**210042-99-2P 210043-00-8P 210043-18-8P**
**210043-19-9P 210043-20-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylsulfonamides as 5-HT1A and/or D2-like receptor ligands)

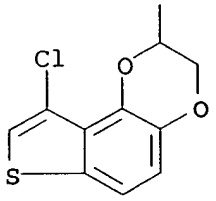
RN 210042-92-5 CAPLUS

CN 2-Pyridinesulfonamide, N-[[1-[(9-chloro-2,3-dihydrothieno[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

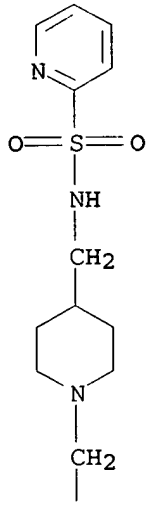


PAGE 2-A




RN 210042-93-6 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

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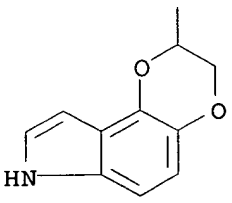
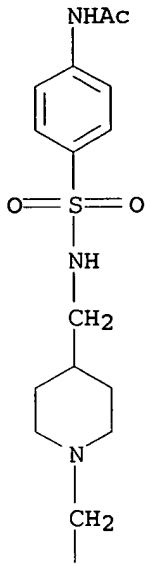



RN 210042-94-7 CAPLUS
CN Acetamide, N-[4-[[[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

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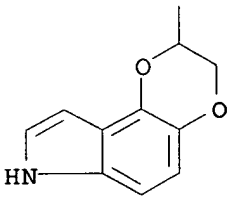
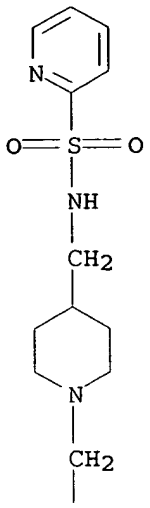



RN 210042-95-8 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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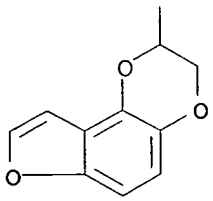
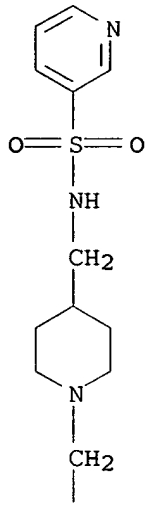


RN 210042-97-0 CAPLUS
CN 3-Pyridinesulfonamide, N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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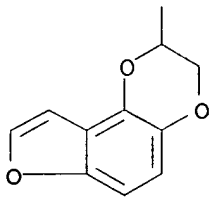
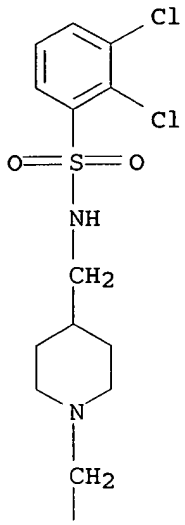


RN 210042-98-1 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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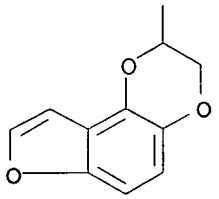
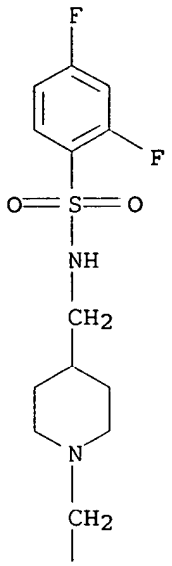


RN 210042-99-2 CAPLUS
CN Benzenesulfonamide, N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

PAGE 1-A



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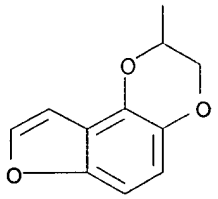
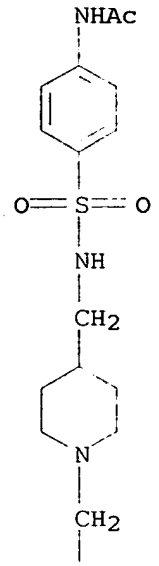


RN 210043-00-8 CAPLUS
CN Acetamide, N-[4-[[[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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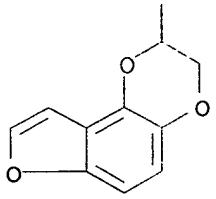
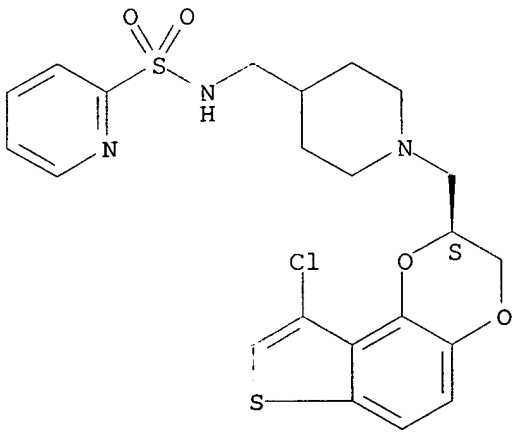


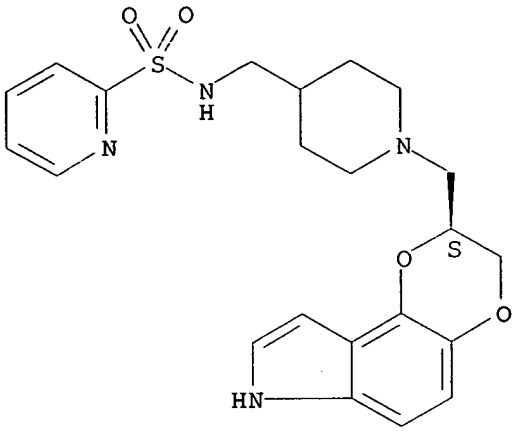
RN 210043-18-8 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-9-chloro-2,3-dihydrothieno[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



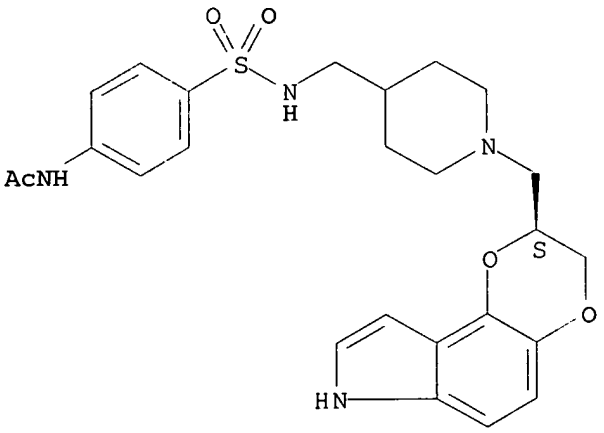
RN 210043-19-9 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 210043-20-2 CAPLUS
CN Acetamide, N-[4-[[[[1-[[(2S)-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl]methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:485055 CAPLUS
DOCUMENT NUMBER: 129:109092
TITLE: Preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compounds having 5-HT1A and/or D2-like activity.
INVENTOR(S): Wishart, Neil; Birch, Alan Martin
PATENT ASSIGNEE(S): Knoll A.-G. Chemische Fabriken, Germany
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9829411 | A1 | 19980709 | WO 1997-EP7034 | 19971215 |
| W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
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| AU 9857580 | A1 | 19980731 | AU 1998-57580 | 19971215 |
| AU 747545 | B2 | 20020516 | | |
| EP 948498 | A1 | 19991013 | EP 1997-953819 | 19971215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CN 1247537 | A | 20000315 | CN 1997-181916 | 19971215 |
| BR 9714237 | A | 20000418 | BR 1997-14237 | 19971215 |
| JP 2001508419 | T2 | 20010626 | JP 1998-529574 | 19971215 |
| ZA 9711551 | A | 19990623 | ZA 1997-11551 | 19971223 |
| US 6136825 | A | 20001024 | US 1999-331066 | 19990616 |
| MX 9905997 | A | 20000228 | MX 1999-5997 | 19990624 |
| NO 9903172 | A | 19990625 | NO 1999-3172 | 19990625 |
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| | | | WO 1997-EP7034 W | 19971215 |

OTHER SOURCE(S): MARPAT 129:109092
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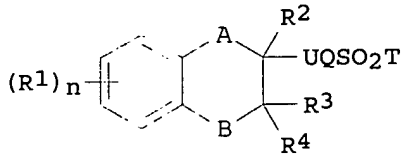


I

AB Title compds. [I; A, B = CH2, O; n = 0-4; U = (alkyl-substituted) alkylene; Q specified divalent group containing N atoms; T = (substituted) Ph, naphthyl, pyridyl, pyrimidinyl, isoxazolyl, oxazolyl, tetrazolyl, isothiazolyl, etc.; R1 = alkyl, haloalkyl, alkoxy, haloalkoxy, halo, etc.; R2 = H, alkyl, alkoxy; R3, R4 = H, alkyl], were prepared Thus, N-(4-piperidinylmethyl)pyridine-2-sulfonamide trifluoroacetate (preparation given) was refluxed with (R)-7-chloro-1,4-benzodioxan-2-ylmethyl tosylate, K2CO3, and KI in MeCN to give (S)-N-[[1-(7-chloro-1,4-benzodioxan-2-ylmethyl)-4-piperidyl]methyl]pyridine-2-sulfonamide hydrochloride. The latter showed Ki = 86.8 nM for inhibition of 3H-8-OH-DPAT binding to 5-HT1A receptors.

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**210038-67-8P 210038-68-9P 210038-69-0P**
**210038-70-3P 210038-71-4P 210038-72-5P**
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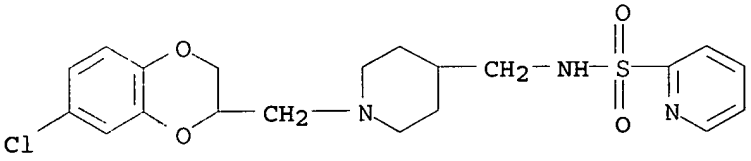
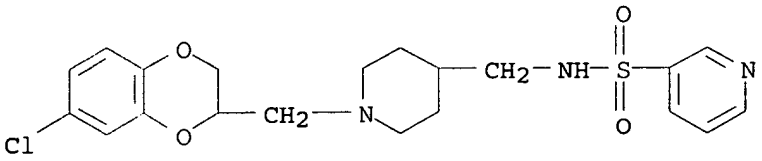
**210039-53-5P 210039-54-6P 210039-55-7P**
**210039-56-8P 210039-57-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compds. having 5-HT1A and/or D2-like activity)

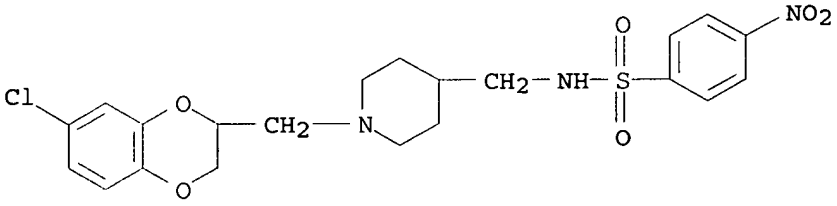
RN 210038-63-4 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



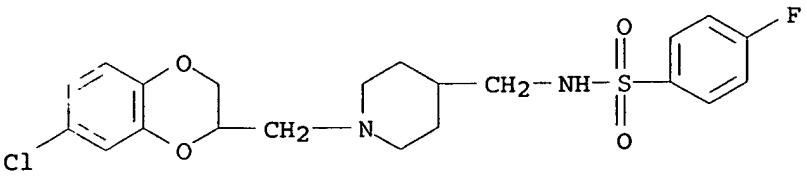
RN 210038-65-6 CAPLUS
CN 3-Pyridinesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 210038-66-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-nitro- (9CI) (CA INDEX NAME)



RN 210038-67-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



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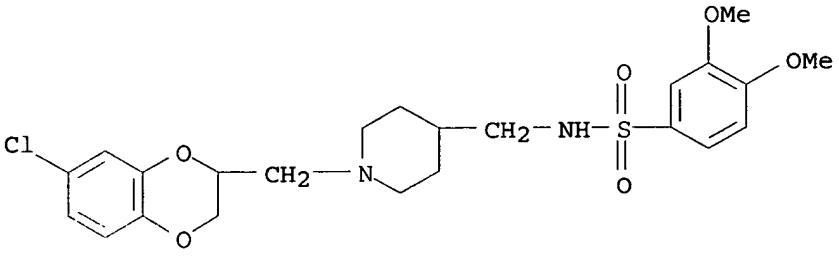
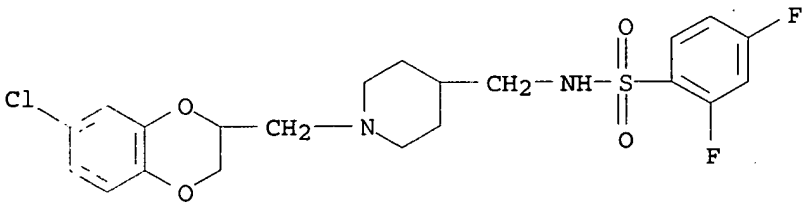
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)



RN 210038-69-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



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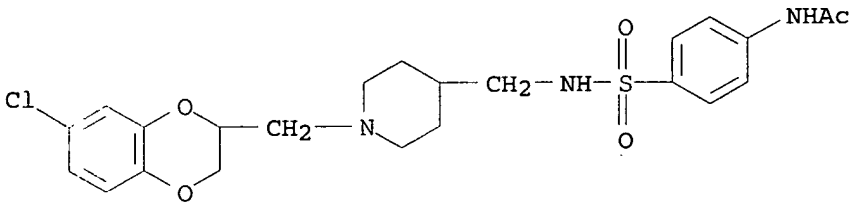
CN Acetamide, N-[4-[[[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



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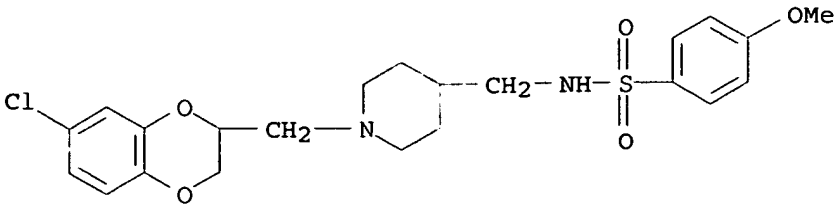
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



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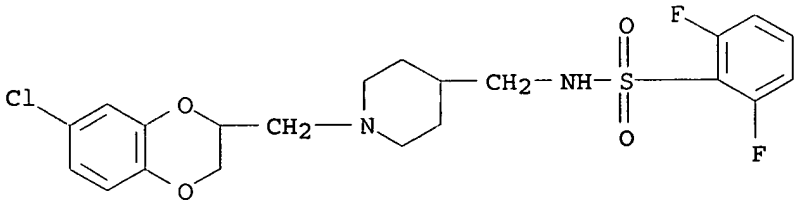
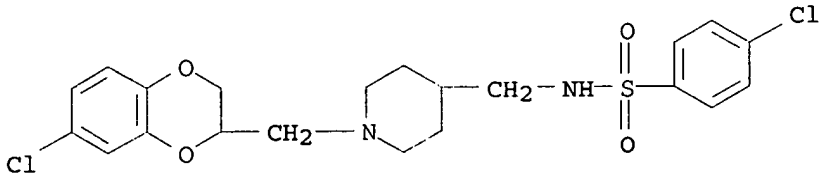
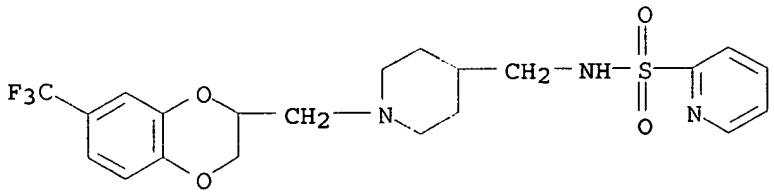
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



RN 210038-73-6 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 210038-74-7 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



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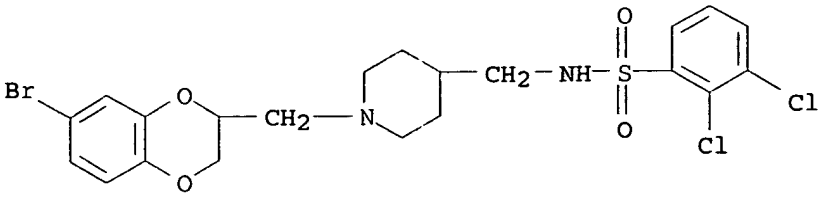
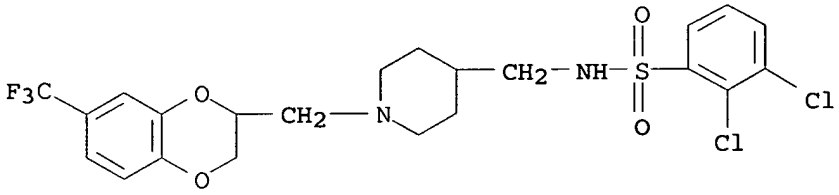
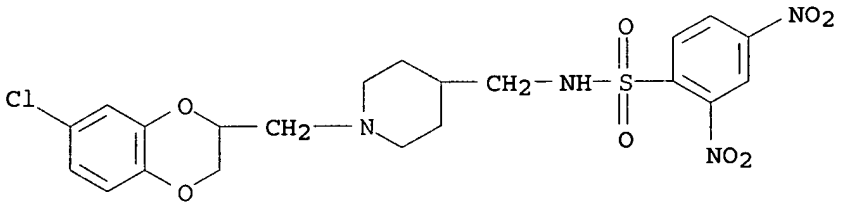
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,3-dichloro- (9CI) (CA INDEX NAME)



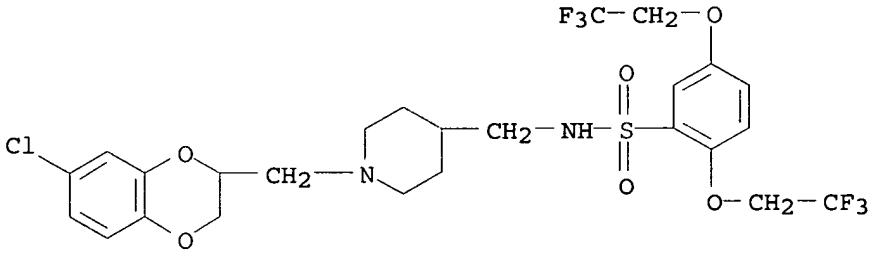
RN 210038-76-9 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



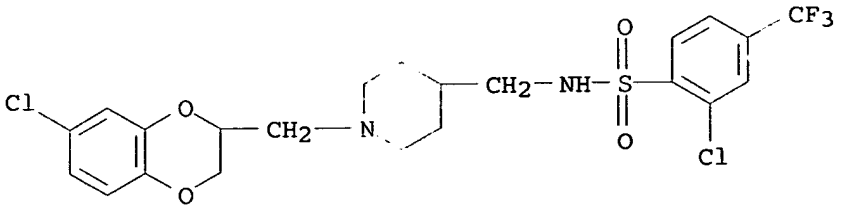
RN 210038-77-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-dinitro- (9CI) (CA INDEX NAME)



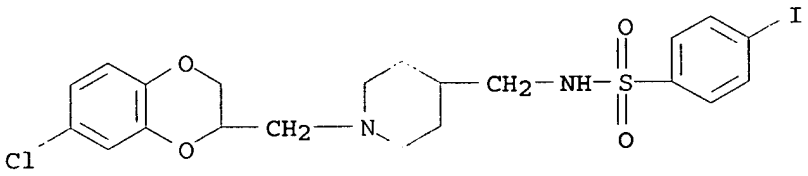
RN 210038-78-1 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,5-bis(2,2,2-trifluoroethoxy)- (9CI) (CA INDEX NAME)



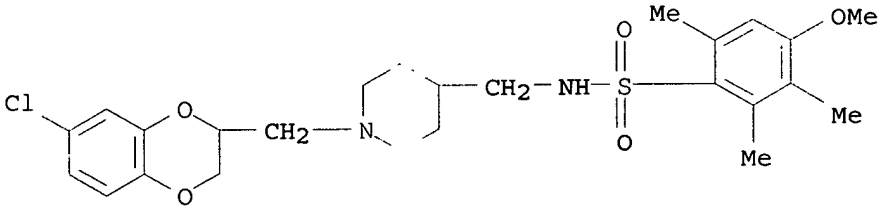
RN 210038-79-2 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



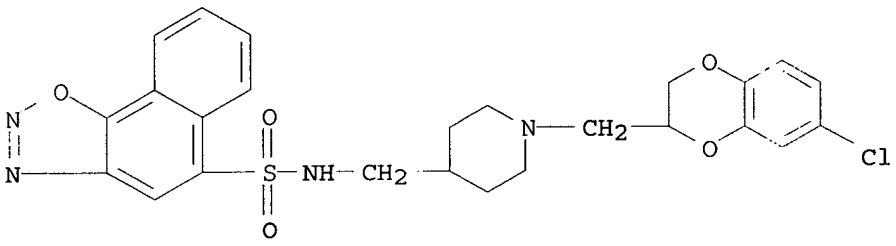
RN 210038-80-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-iodo- (9CI) (CA INDEX NAME)



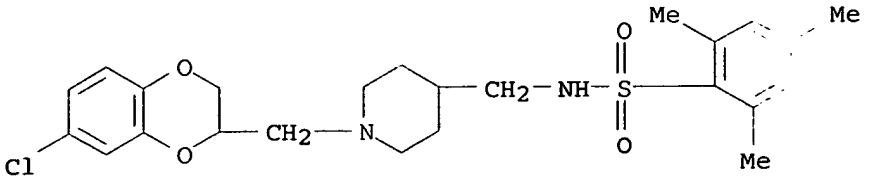
RN 210038-81-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methoxy-2,3,6-trimethyl- (9CI) (CA INDEX NAME)



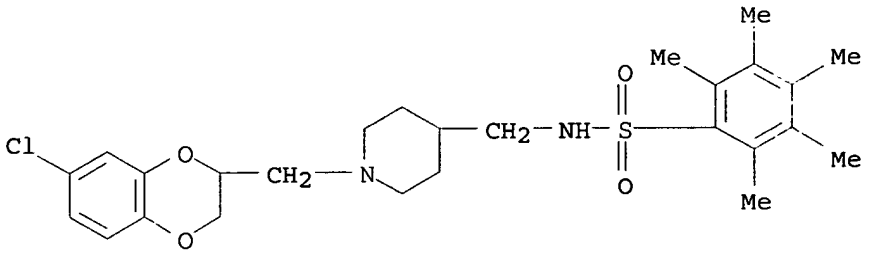
RN 210038-82-7 CAPLUS
CN Naphth[2,1-d]-1,2,3-oxadiazole-5-sulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



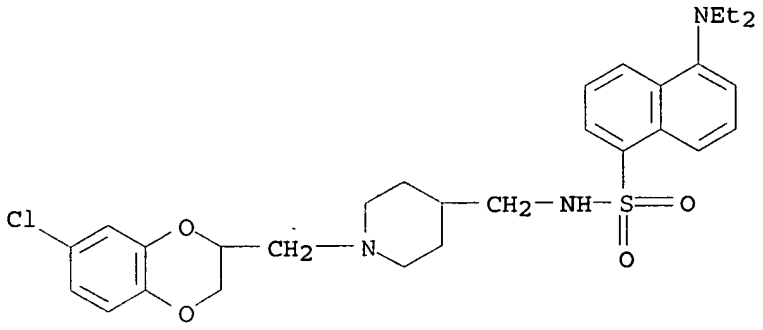
RN 210038-83-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4,6-trimethyl- (9CI) (CA INDEX NAME)



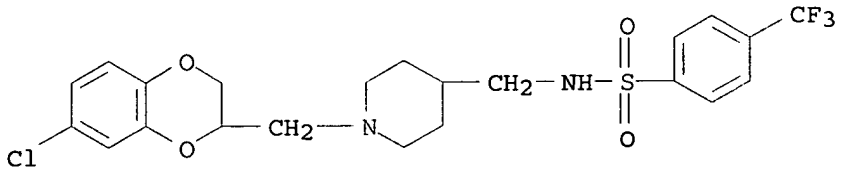
RN 210038-84-9 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,3,4,5,6-pentamethyl- (9CI) (CA INDEX NAME)



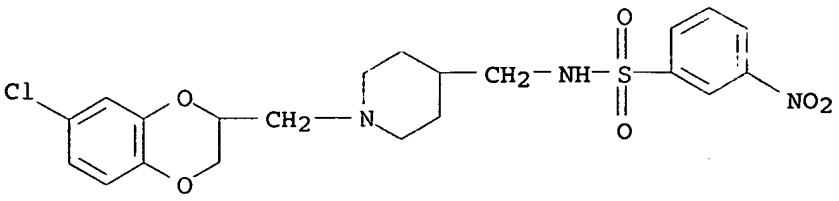
RN 210038-85-0 CAPLUS
CN 1-Naphthalenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5-(diethylamino)- (9CI) (CA INDEX NAME)



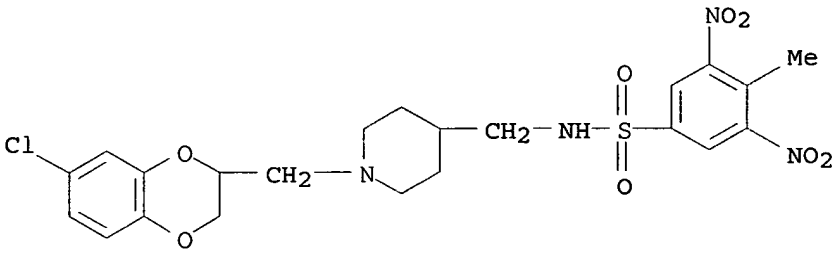
RN 210038-86-1 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



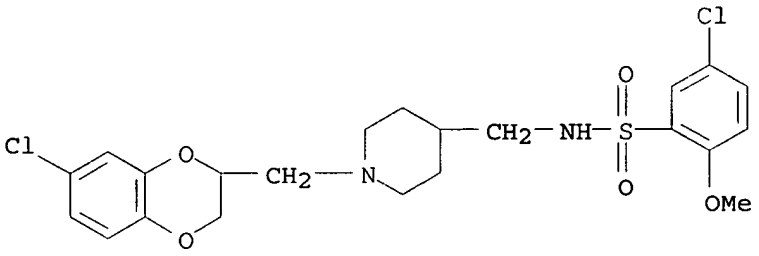
RN 210038-87-2 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-nitro- (9CI) (CA INDEX NAME)



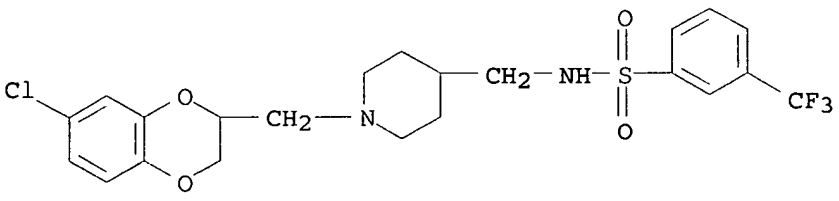
RN 210038-88-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl-3,5-dinitro- (9CI) (CA INDEX NAME)



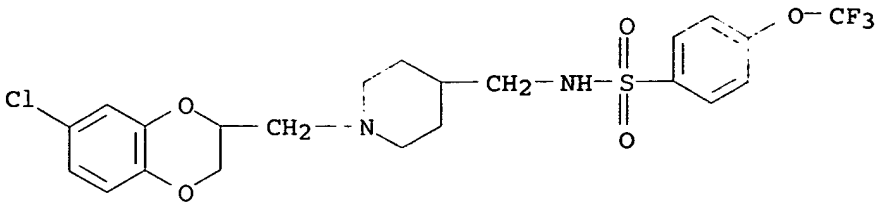
RN 210038-89-4 CAPLUS
CN Benzenesulfonamide, 5-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



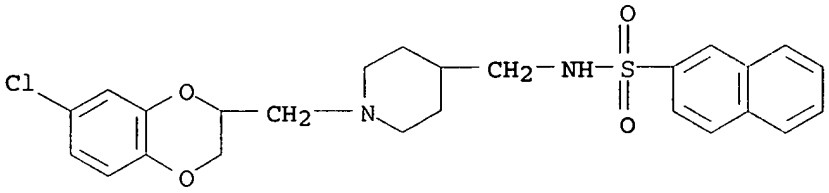
RN 210038-91-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



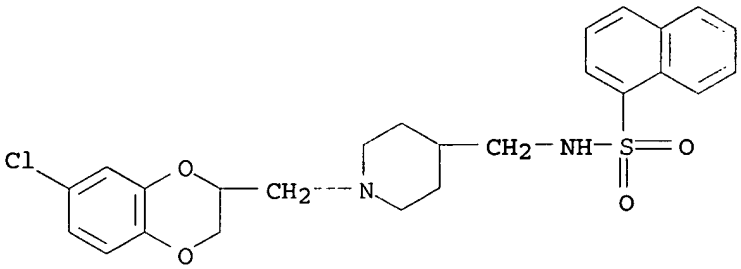
RN 210038-93-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



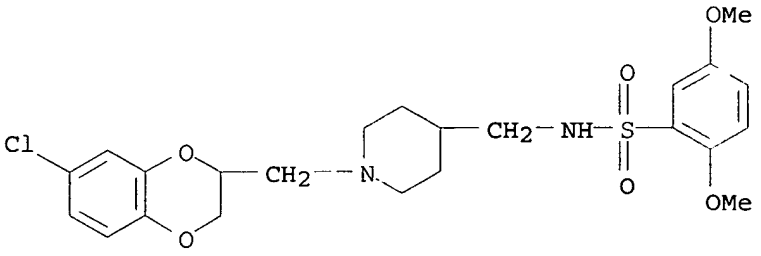
RN 210038-95-2 CAPLUS
CN 2-Naphthalenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



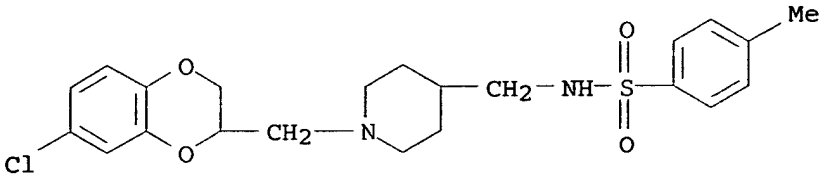
RN 210038-97-4 CAPLUS
CN 1-Naphthalenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



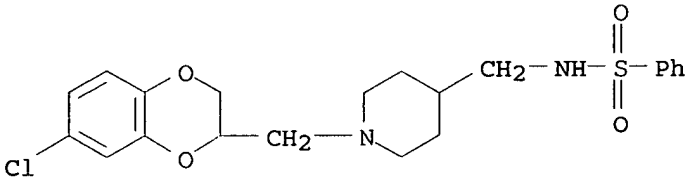
RN 210038-99-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)



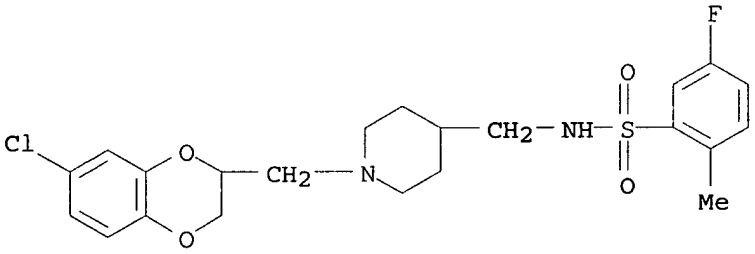
RN 210039-01-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



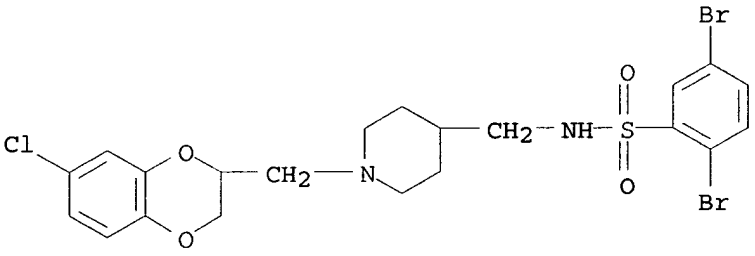
RN 210039-02-4 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



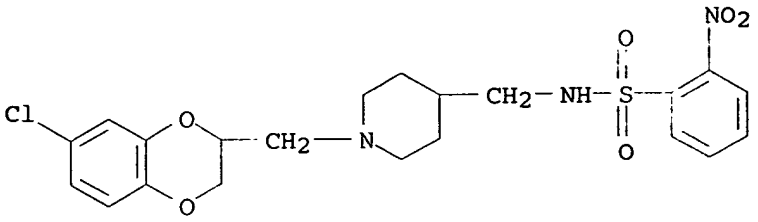
RN 210039-03-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5-fluoro-2-methyl- (9CI) (CA INDEX NAME)



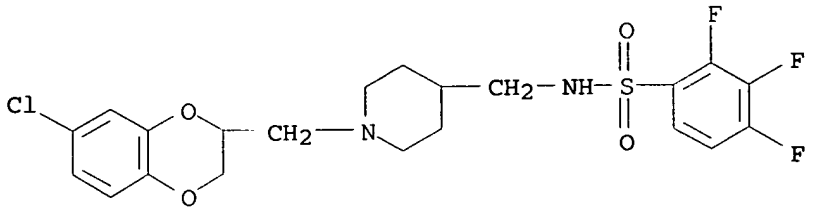
RN 210039-04-6 CAPLUS
CN Benzenesulfonamide, 2,5-dibromo-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



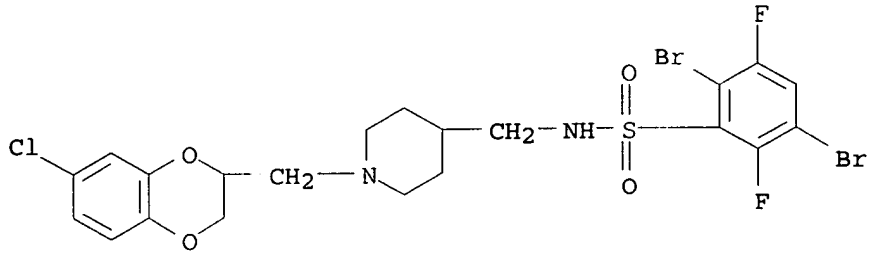
RN 210039-05-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-nitro- (9CI) (CA INDEX NAME)



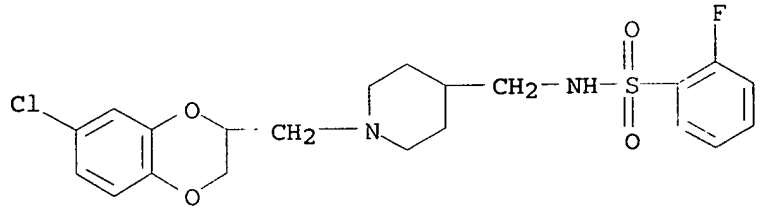
RN 210039-06-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,3,4-trifluoro- (9CI) (CA INDEX NAME)



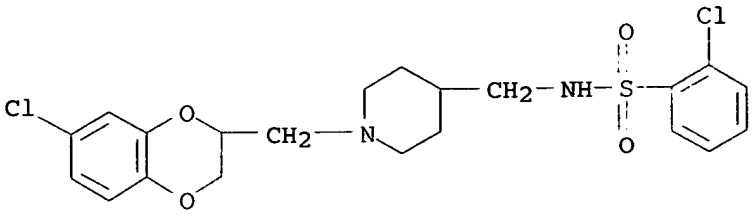
RN 210039-07-9 CAPLUS
CN Benzenesulfonamide, 2,5-dibromo-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,6-difluoro- (9CI) (CA INDEX NAME)



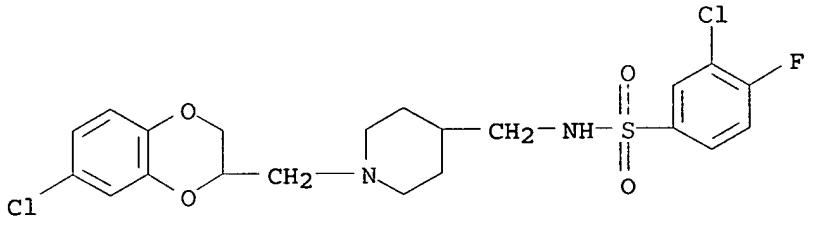
RN 210039-08-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)



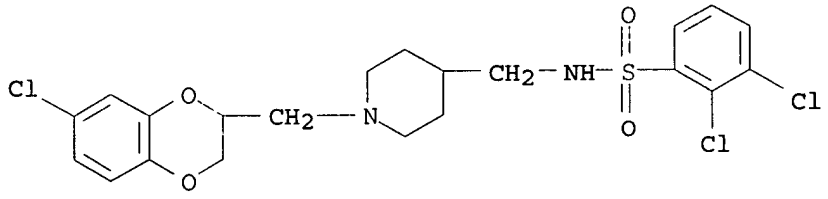
RN 210039-09-1 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



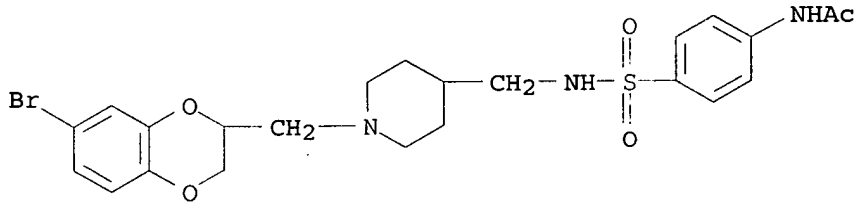
RN 210039-10-4 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



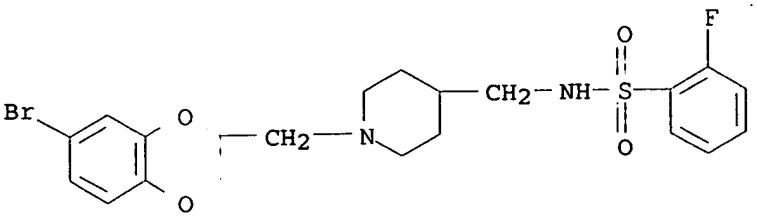
RN 210039-11-5 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



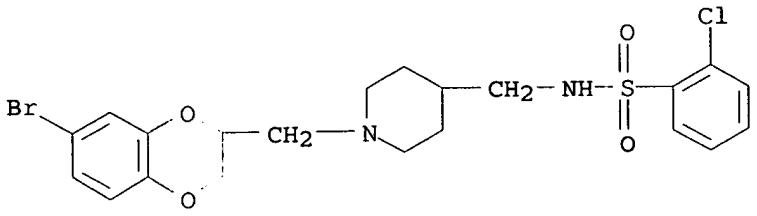
RN 210039-12-6 CAPLUS
CN Acetamide, N-[4-[[[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



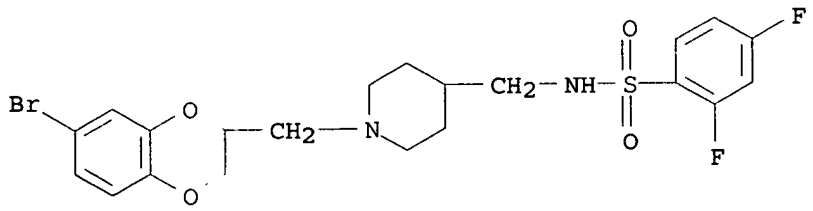
RN 210039-13-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)



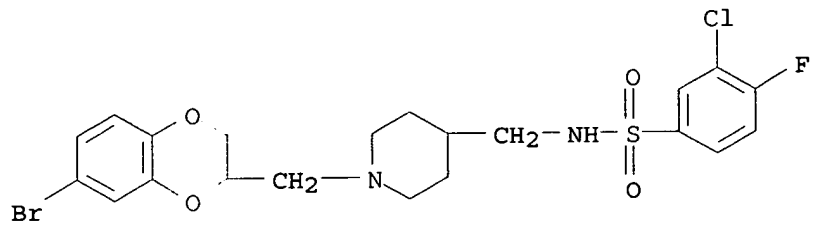
RN 210039-14-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-chloro- (9CI) (CA INDEX NAME)



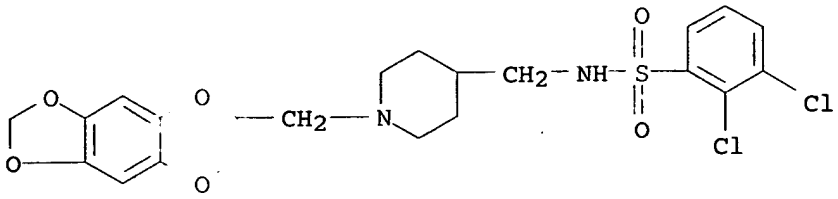
RN 210039-15-9 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



RN 210039-16-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-chloro-4-fluoro- (9CI) (CA INDEX NAME)

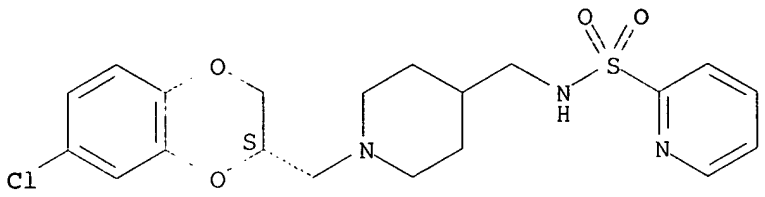


RN 210039-18-2 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[(6,7-dihydro-1,3-dioxolo[4,5-g][1,4]benzodioxin-6-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 210039-20-6 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

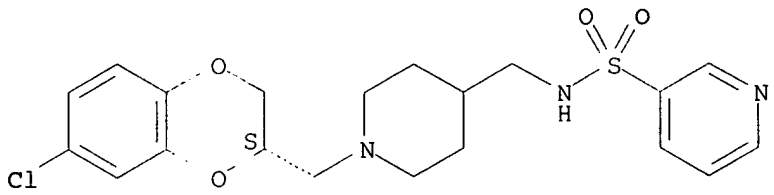
Absolute stereochemistry. Rotation (-).



● HCl

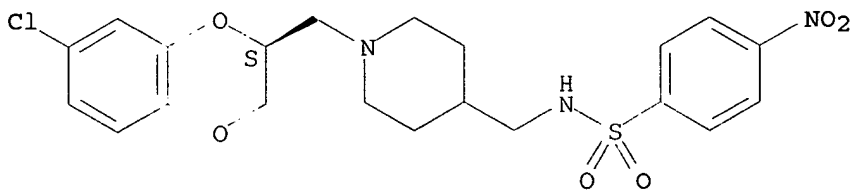
RN 210039-25-1 CAPLUS
CN 3-Pyridinesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



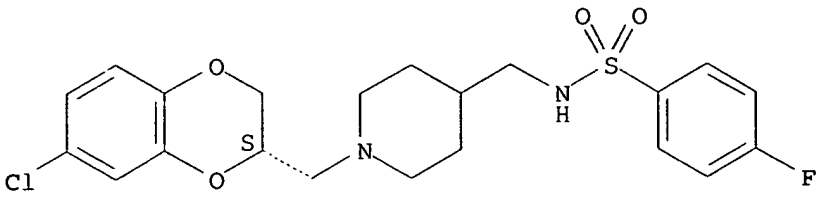
RN 210039-27-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



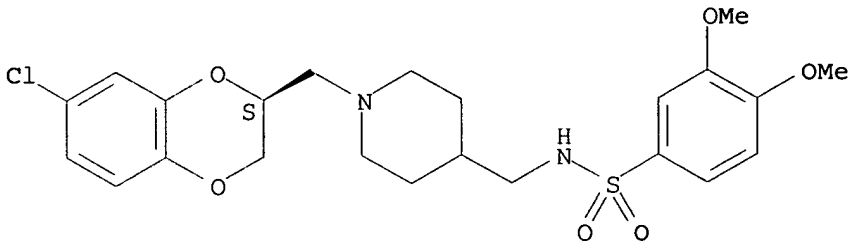
RN 210039-29-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



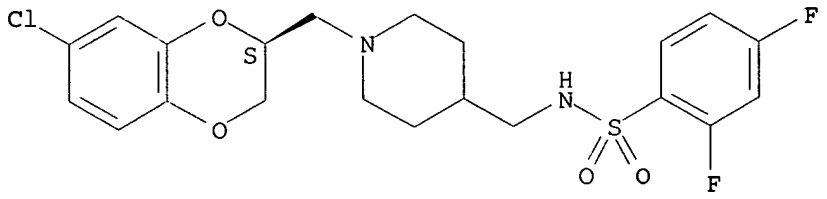
RN 210039-31-9 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



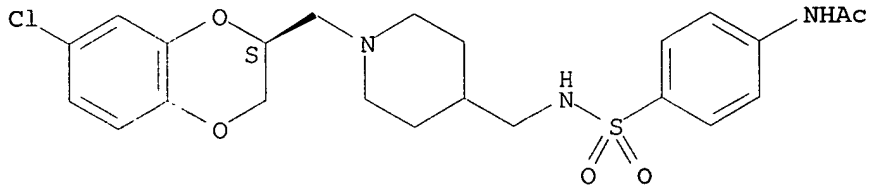
RN 210039-33-1 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



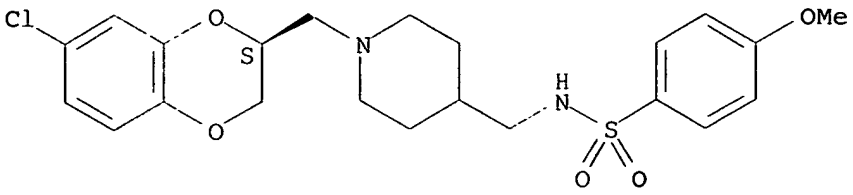
RN 210039-35-3 CAPLUS
CN Acetamide, N-[4-[[[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



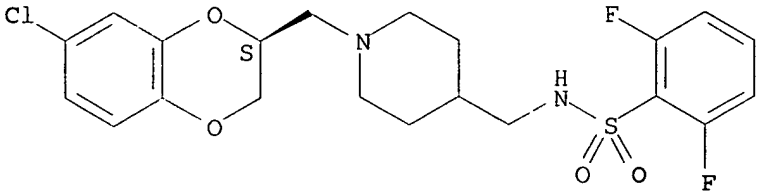
RN 210039-37-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



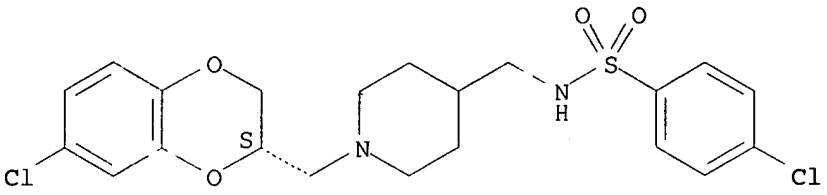
RN 210039-38-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,6-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



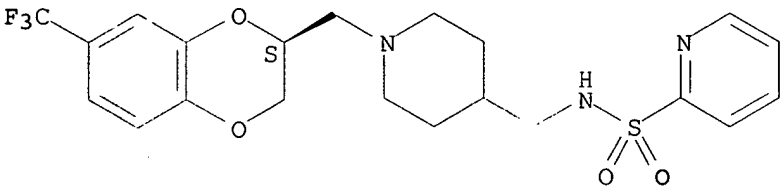
RN 210039-39-7 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



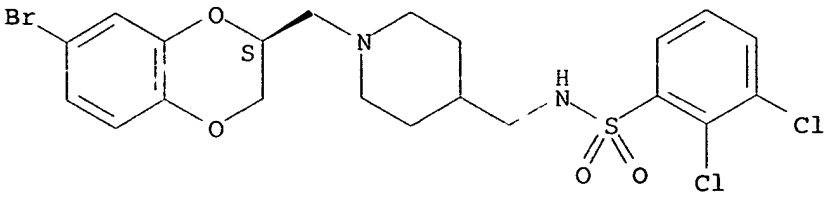
RN 210039-41-1 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



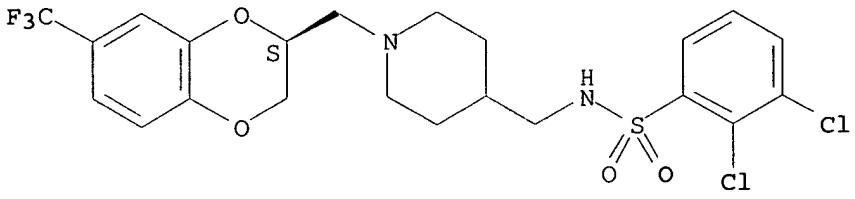
RN 210039-43-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,3-dichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



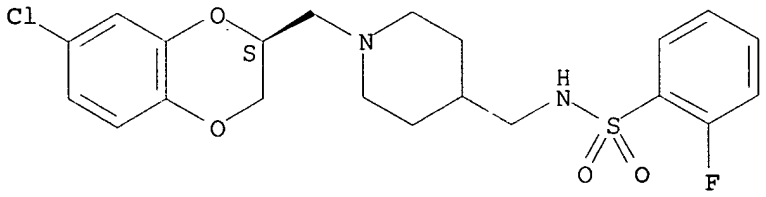
RN 210039-45-5 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



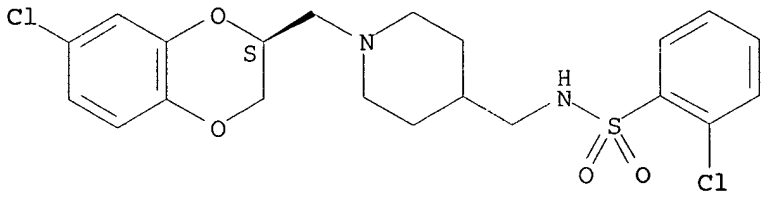
RN 210039-47-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



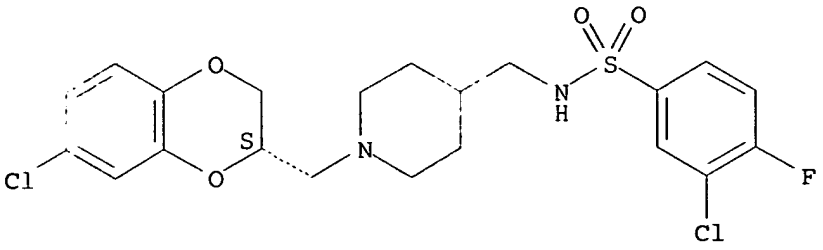
RN 210039-49-9 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



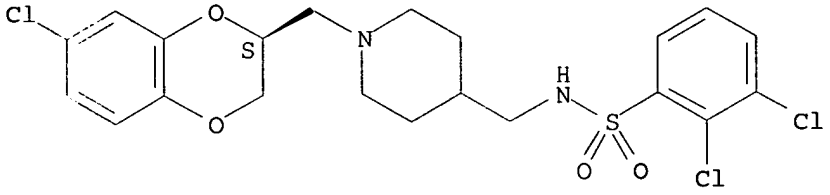
RN 210039-50-2 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



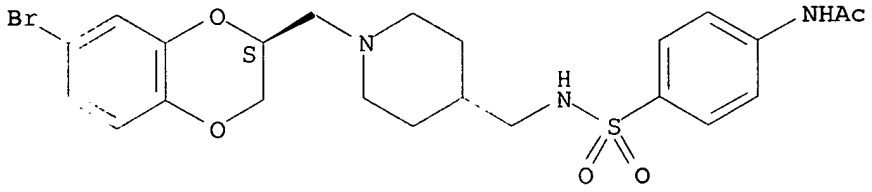
RN 210039-51-3 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



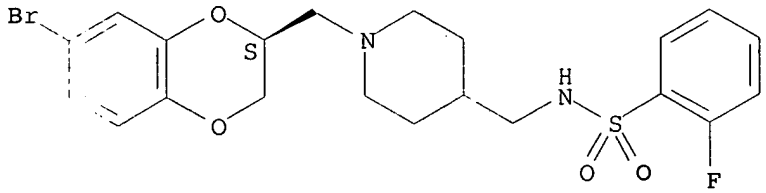
RN 210039-52-4 CAPLUS
CN Acetamide, N-[4-[[[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



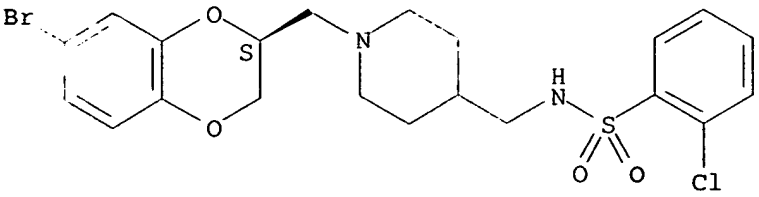
RN 210039-53-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



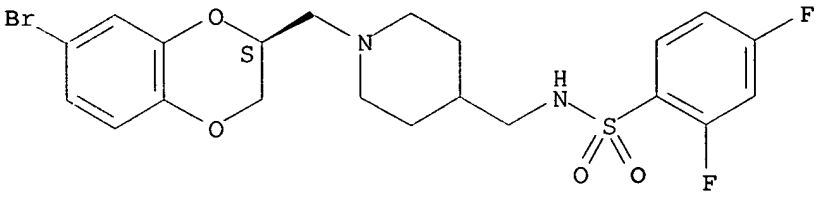
RN 210039-54-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



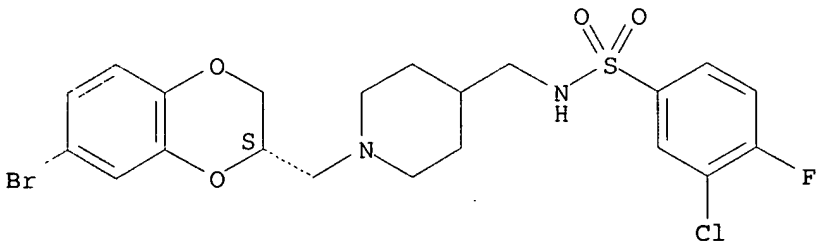
RN 210039-55-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



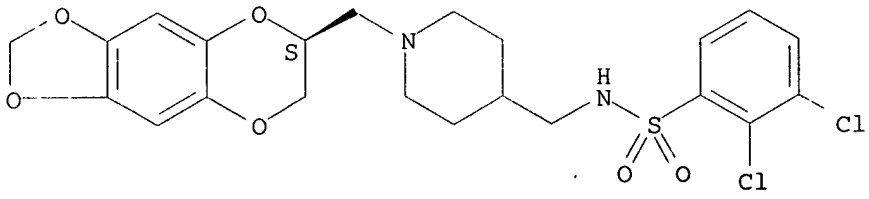
RN 210039-56-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-3-chloro-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 210039-57-9 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[(6S)-6,7-dihydro-1,3-dioxolo[4,5-g][1,4]benzodioxin-6-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

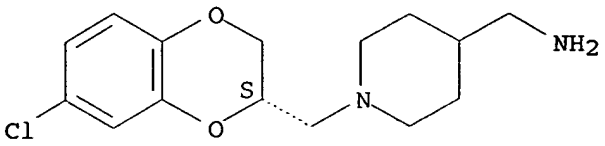
Absolute stereochemistry. Rotation (-).



IT **187543-43-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compds. having 5-HT1A and/or D2-like activity)
RN 187543-43-7 CAPLUS

CN 4-Piperidinemethanamine, 1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

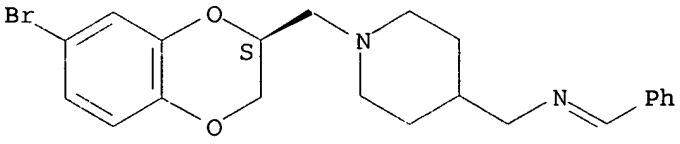
Absolute stereochemistry.



IT **210039-63-7P 210039-64-8P 210039-70-6P 210039-71-7P 210039-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compds. having 5-HT1A and/or D2-like activity)

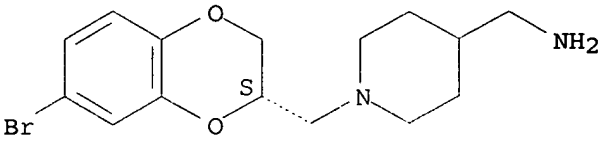
RN 210039-63-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-N-(phenylmethylene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



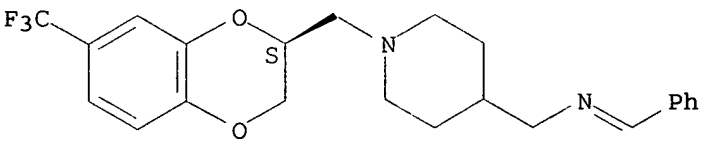
RN 210039-64-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



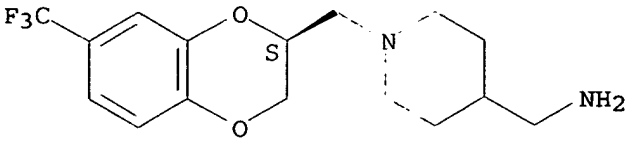
RN 210039-70-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-N-(phenylmethylene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



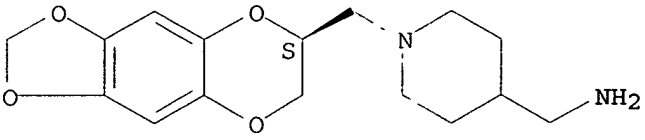
RN 210039-71-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210039-72-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(6S)-6,7-dihydro-1,3-dioxolo[4,5-g][1,4]benzodioxin-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

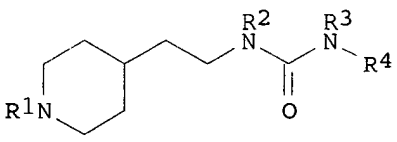


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:71134 CAPLUS
DOCUMENT NUMBER: 128:128024
TITLE: Preparation of benzodioxanes and 1(2H)-benzopyrans as α2 adrenergic antagonists
INVENTOR(S): Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.; Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 9802435 | A1 | 19980122 | WO 1997-FR1217 | | 19970707 |
| W: AU, BR, CA, CN, JP, KR, MX, NZ, US | | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | | |
| FR 2750991 | A1 | 19980116 | FR 1996-8729 | | 19960712 |
| AU 9735480 | A1 | 19980209 | AU 1997-35480 | | 19970707 |
| PRIORITY APPLN. INFO.: | | | FR 1996-8729 | A | 19960712 |
| | | | WO 1997-FR1217 | W | 19970707 |

OTHER SOURCE(S): MARPAT 128:128024
GI



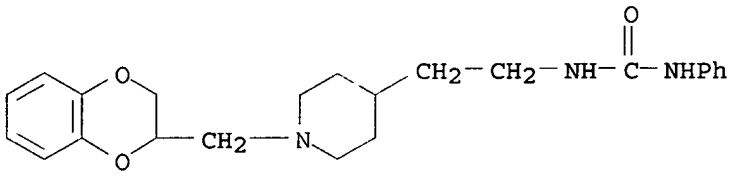
I

AB I [R1 = (1,4-benzodioxan-2-yl)methyl, (2-methyl-1,4-benzodioxan-2-

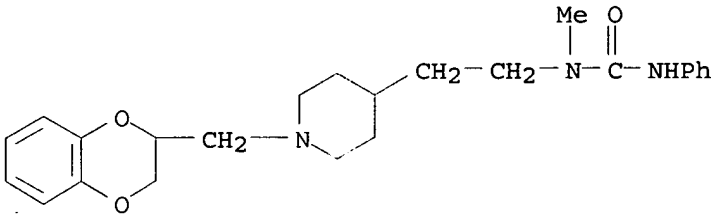
yl)methyl, (2,3-dihydrobenzofuran-2-yl)methyl, (benzofuran-2-yl)methyl, [(2H)-benzopyran-3-yl]methyl, (3,4-dihydro-(2H)-benzopyran-3-yl)methyl, (3,4-dihydro-(2H)-1-benzopyran-3-yl)methyl; R2, R3, R4 = H, C1-4 alkyl, aryl, heteroaryl, aralkyl, naphthyl] were prepared as α2 adrenergic antagonists. E.g., reaction of 4-piperidineacetonitrile and 2-hydroxymethyl-1,4-benzodioxane tosylate, followed by reduction with LiAlH4 and reaction with PhNCO, gave 1-[2-[1-(1,4-benzodioxan-2-yl)methyl-4-piperidinyl]ethyl]-3-phenylurea.

IT **202002-17-3P 202002-19-5P 202002-20-8P**
**202002-21-9P 202002-22-0P 202002-24-2P**
**202002-25-3P 202002-26-4P 202002-27-5P**
**202002-28-6P 202002-29-7P 202002-30-0P**
**202002-31-1P 202002-32-2P 202002-33-3P**
**202002-34-4P 202002-35-5P 202002-36-6P**
**202002-37-7P 202002-38-8P 202002-39-9P**
**202002-40-2P 202002-41-3P 202002-42-4P**
**202002-43-5P 202002-44-6P 202002-45-7P**
**202002-46-8P 202002-47-9P 202002-48-0P**
**202002-49-1P 202002-50-4P 202002-51-5P**
**202002-52-6P 202002-53-7P 202002-54-8P**
**202002-55-9P 202002-56-0P 202002-57-1P**
**202002-65-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanes and benzopyrans as α2 adrenergic antagonists)

RN 202002-17-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 202002-19-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl- (9CI) (CA INDEX NAME)

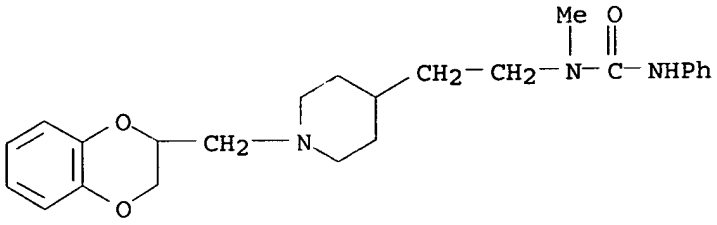


RN 202002-20-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 202002-19-5

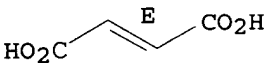
CMF C24 H31 N3 O3



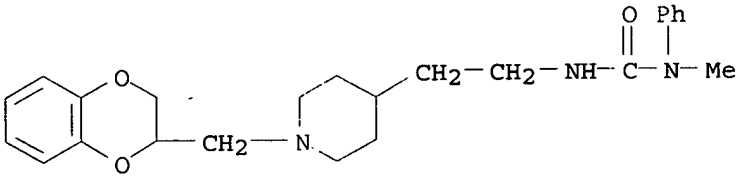
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



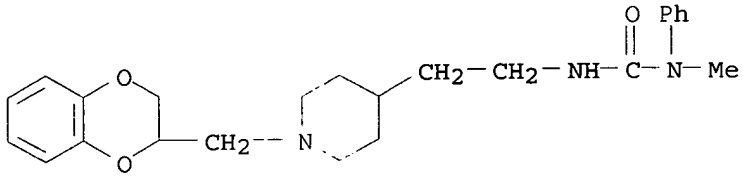
RN 202002-21-9 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 202002-22-0 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

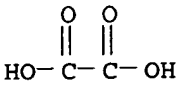
CM 1

CRN 202002-21-9
CMF C24 H31 N3 O3



CM 2

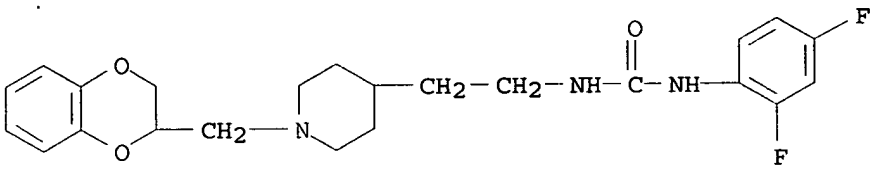
CRN 144-62-7
CMF C2 H2 O4



RN 202002-24-2 CAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

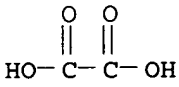
CM 1

CRN 202002-23-1
CMF C23 H27 F2 N3 O3

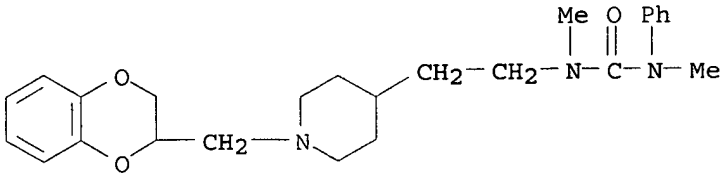


CM 2

CRN 144-62-7
CMF C2 H2 O4



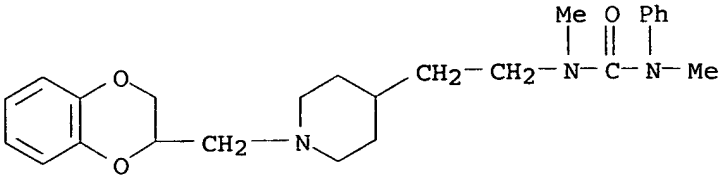
RN 202002-25-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl- (9CI) (CA INDEX NAME)



RN 202002-26-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

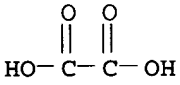
CM 1

CRN 202002-25-3
CMF C25 H33 N3 O3

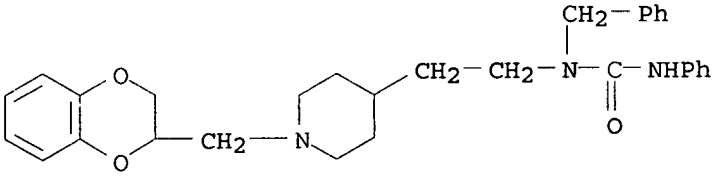


CM 2

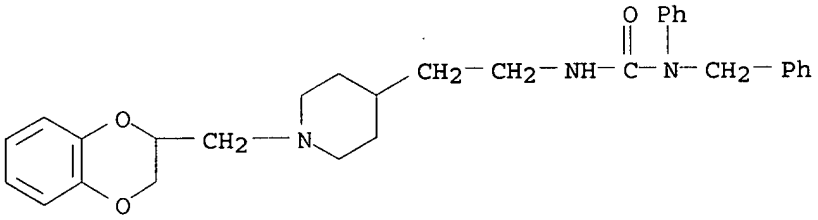
CRN 144-62-7
CMF C2 H2 O4



RN 202002-27-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



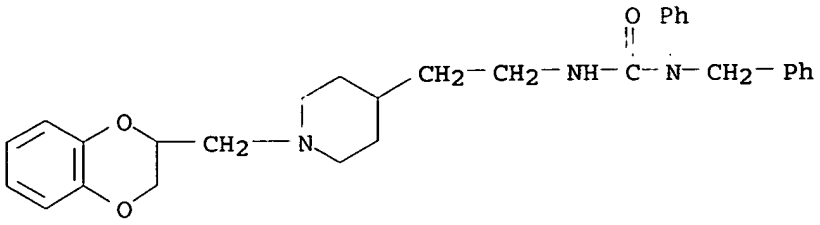
RN 202002-28-6 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 202002-29-7 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-phenyl-N-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

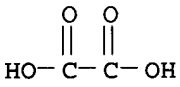
CM 1

CRN 202002-28-6
CMF C30 H35 N3 O3

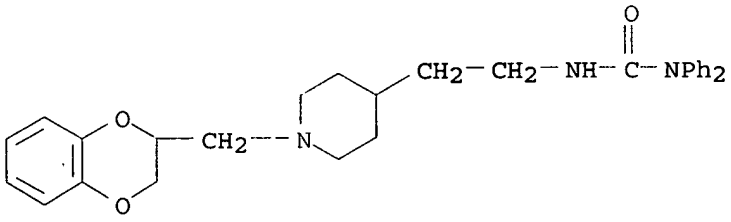


CM 2

CRN 144-62-7
CMF C2 H2 O4



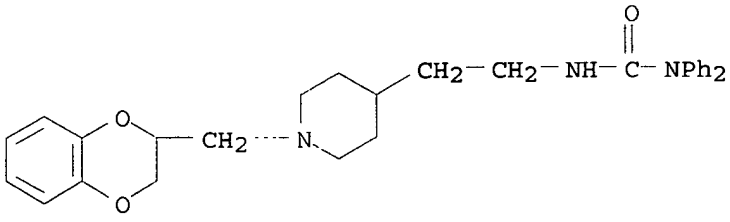
RN 202002-30-0 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



RN 202002-31-1 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N-diphenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

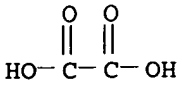
CRN 202002-30-0
CMF C29 H33 N3 O3



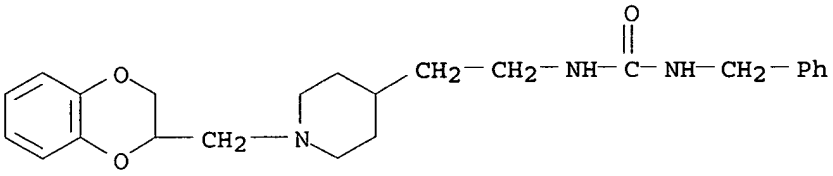
CM 2

CRN 144-62-7

CMF C2 H2 O4



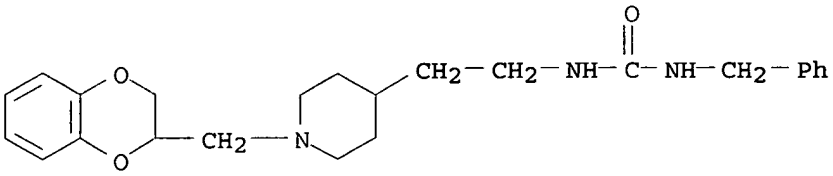
RN 202002-32-2 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 202002-33-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

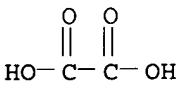
CM 1

CRN 202002-32-2
CMF C24 H31 N3 O3

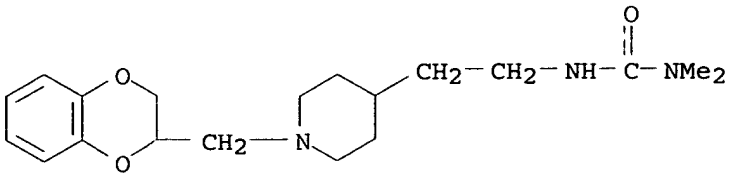


CM 2

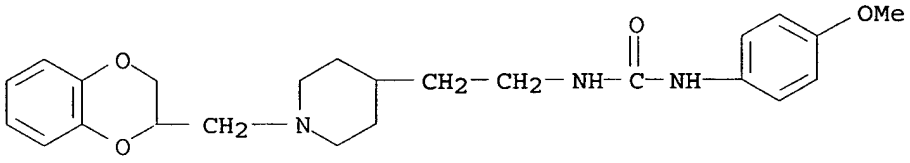
CRN 144-62-7
CMF C2 H2 O4



RN 202002-34-4 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



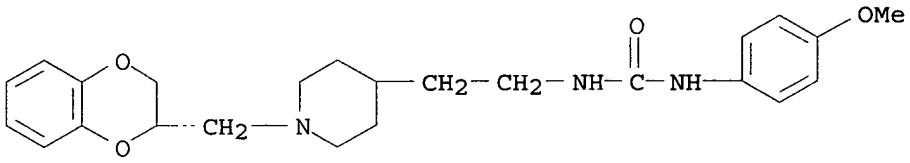
RN 202002-35-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 202002-36-6 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

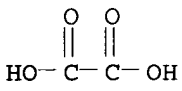
CM 1

CRN 202002-35-5
CMF C24 H31 N3 O4

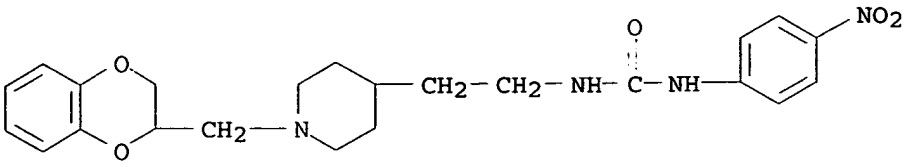


CM 2

CRN 144-62-7
CMF C2 H2 O4



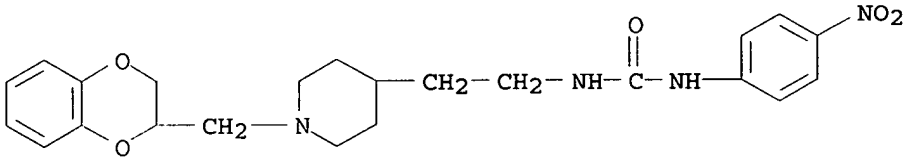
RN 202002-37-7 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 202002-38-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-nitrophenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

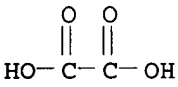
CM 1

CRN 202002-37-7
CMF C23 H28 N4 O5

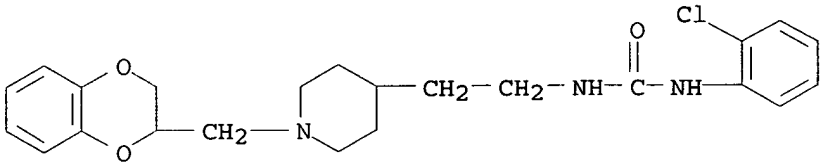


CM 2

CRN 144-62-7
CMF C2 H2 O4



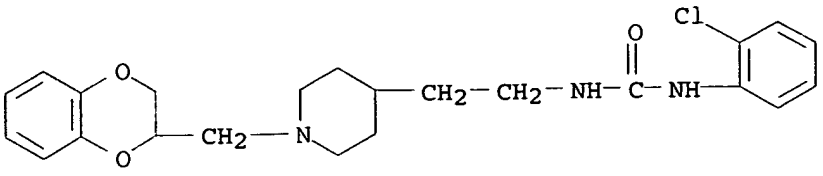
RN 202002-39-9 CAPLUS
CN Urea, N-(2-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 202002-40-2 CAPLUS
CN Urea, N-(2-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

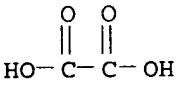
CM 1

CRN 202002-39-9
CMF C23 H28 Cl N3 O3

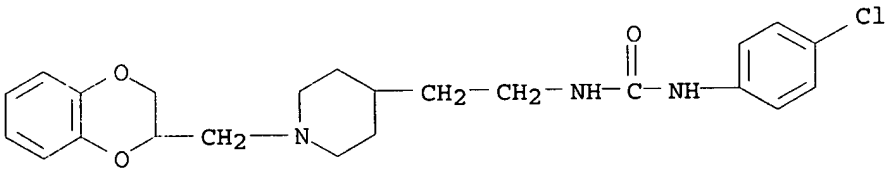


CM 2

CRN 144-62-7
CMF C2 H2 O4



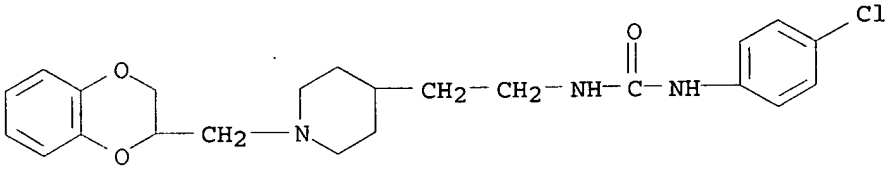
RN 202002-41-3 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 202002-42-4 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

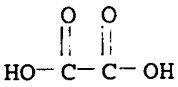
CM 1

CRN 202002-41-3
CMF C23 H28 Cl N3 O3

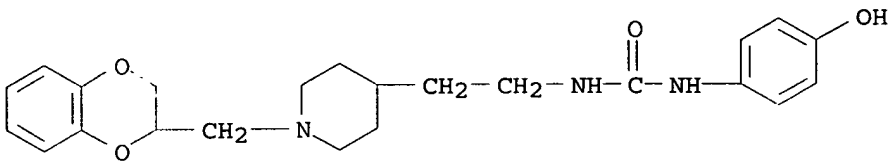


CM 2

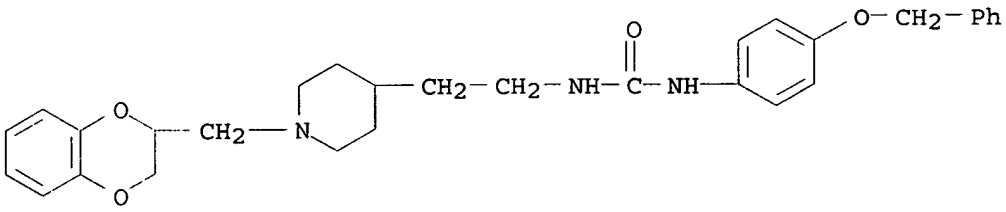
CRN 144-62-7
CMF C2 H2 O4



RN 202002-43-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



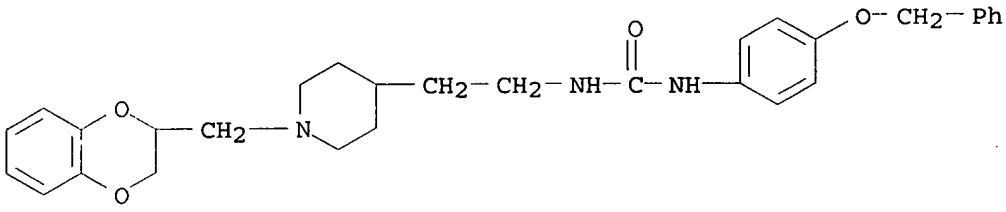
RN 202002-44-6 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 202002-45-7 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-[4-(phenylmethoxy)phenyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

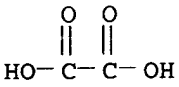
CM 1

CRN 202002-44-6
CMF C30 H35 N3 O4

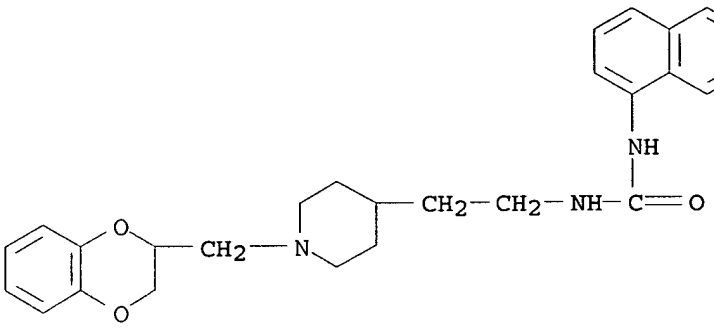


CM 2

CRN 144-62-7
CMF C2 H2 O4



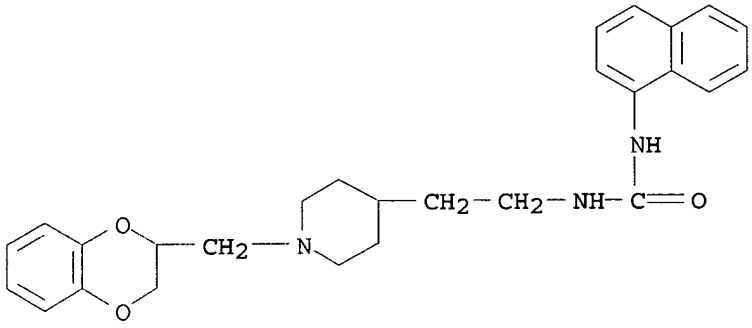
RN 202002-46-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)



RN 202002-47-9 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-1-naphthalenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

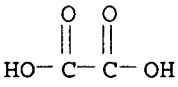
CM 1

CRN 202002-46-8
CMF C27 H31 N3 O3



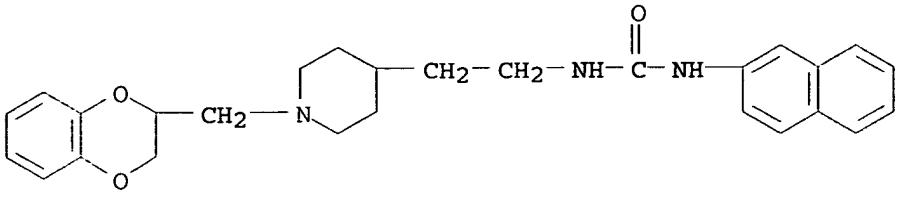
CM 2

CRN 144-62-7
CMF C2 H2 O4



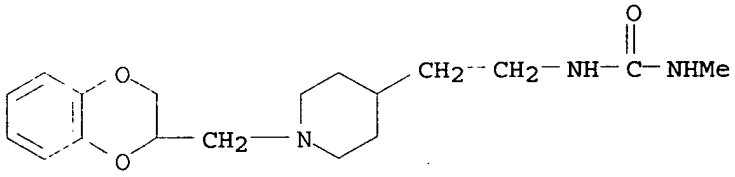
RN 202002-48-0 CAPLUS

CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-2-naphthalenyl-, monohydrochloride (9CI) (CA INDEX NAME)

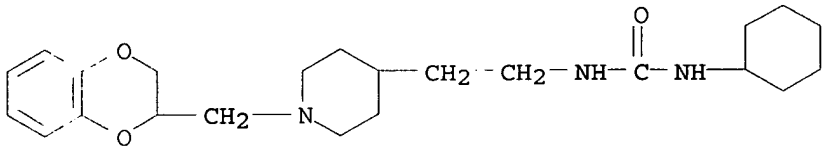


● HCl

RN 202002-49-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-methyl- (9CI) (CA INDEX NAME)



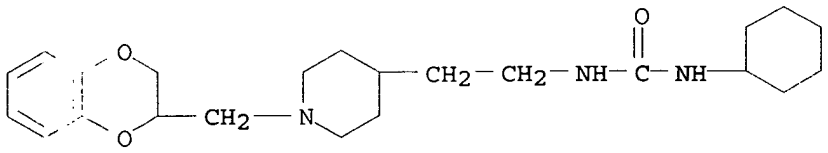
RN 202002-50-4 CAPLUS
CN Urea, N-cyclohexyl-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 202002-51-5 CAPLUS
CN Urea, N-cyclohexyl-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

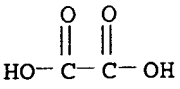
CM 1

CRN 202002-50-4
CMF C23 H35 N3 O3

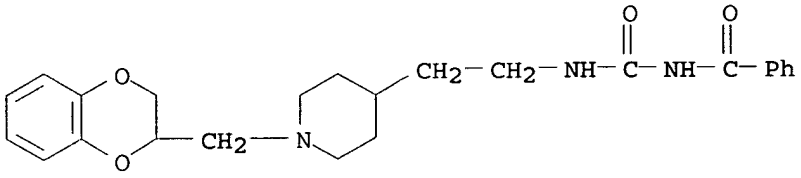


CM 2

CRN 144-62-7
CMF C2 H2 O4



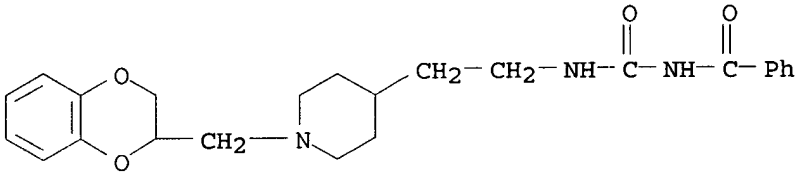
RN 202002-52-6 CAPLUS
CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 202002-53-7 CAPLUS
CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]carbonyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

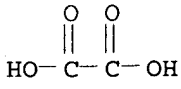
CM 1

CRN 202002-52-6
CMF C24 H29 N3 O4

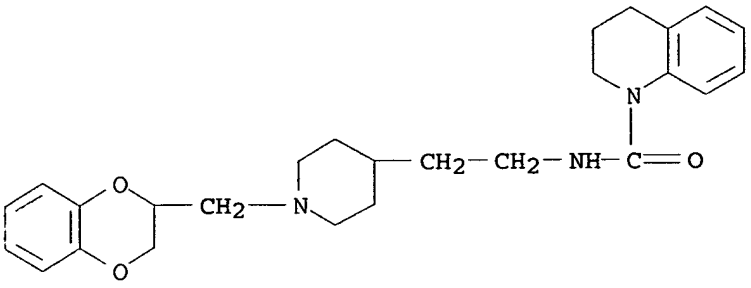


CM 2

CRN 144-62-7
CMF C2 H2 O4



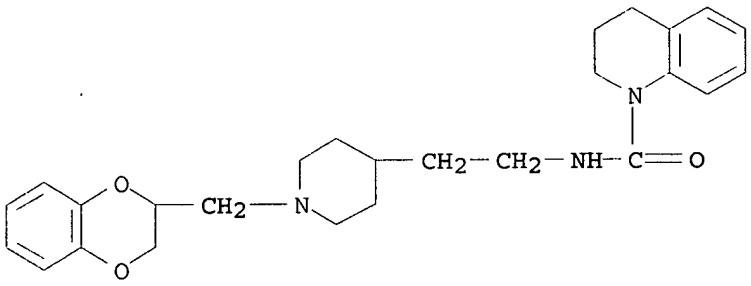
RN 202002-54-8 CAPLUS
CN 1(2H)-Quinolinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 202002-55-9 CAPLUS
CN 1(2H)-Quinolinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

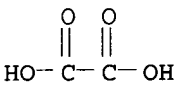
CM 1

CRN 202002-54-8
CMF C26 H33 N3 O3

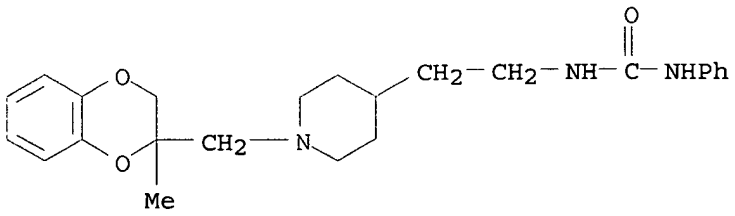


CM 2

CRN 144-62-7
CMF C2 H2 O4



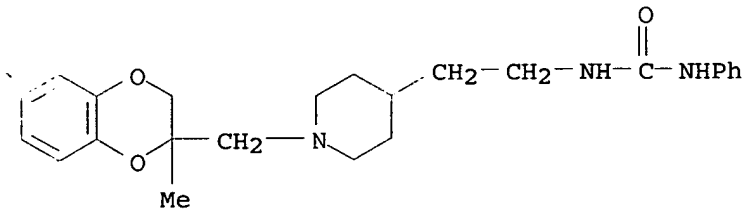
RN 202002-56-0 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-2-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 202002-57-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-2-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

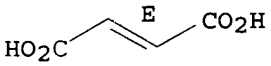
CRN 202002-56-0
CMF C24 H31 N3 O3



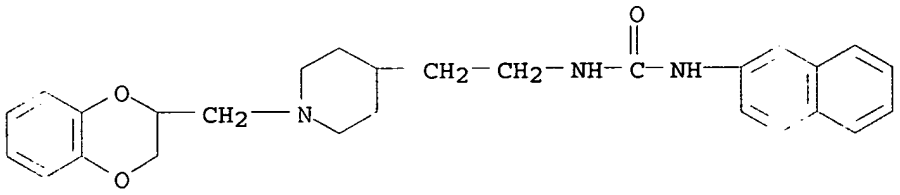
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

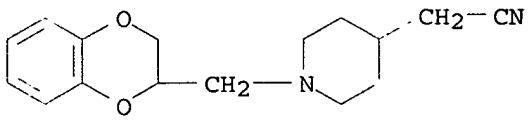


RN 202002-65-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-2-naphthalenyl- (9CI) (CA INDEX NAME)

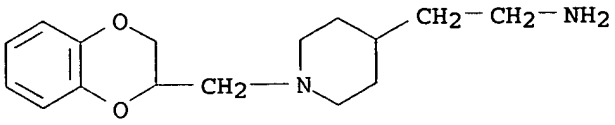


IT **194612-30-1P 194612-31-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxanes and benzopyrans as α2 adrenergic antagonists)

RN 194612-30-1 CAPLUS
CN 4-Piperidineacetonitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



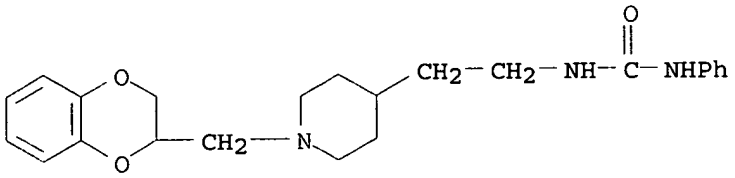
RN 194612-31-2 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



IT **202002-18-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanes and27 benzopyrans as α2 adrenergic antagonists)
RN 202002-18-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

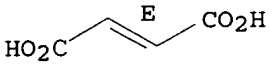
CRN 202002-17-3
CMF C23 H29 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



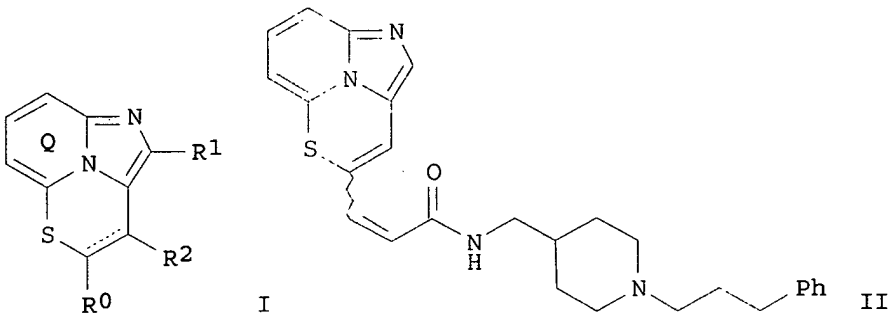
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:717923 CAPLUS
DOCUMENT NUMBER: 128:3692
TITLE: Fused imidazopyridine derivatives as antihyperlipidemic agents
INVENTOR(S): Takatani, Muneo; Shibouta, Yumiko; Sugiyama, Yasuo; Kawamoto, Tetsuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 457 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9740051 | A1 | 19971030 | WO 1997-JP1395 | 19970423 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2251625 | AA | 19971030 | CA 1997-2251625 | 19970423 |
| AU 9724048 | A1 | 19971112 | AU 1997-24048 | 19970423 |
| JP 10226689 | A2 | 19980825 | JP 1997-105625 | 19970423 |
| ZA 9703493 | A | 19981023 | ZA 1997-3493 | 19970423 |
| EP 915888 | A1 | 19990519 | EP 1997-919649 | 19970423 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| CN 1223659 | A | 19990721 | CN 1997-193938 | 19970423 |
| US 6235731 | B1 | 20010522 | US 1998-155889 | 19981008 |
| PRIORITY APPLN. INFO.: | | | JP 1996-102303 A | 19960424 |
| | | | JP 1996-330801 A | 19961211 |
| | | | WO 1997-JP1395 W | 19970423 |

OTHER SOURCE(S): MARPAT 128:3692
GI




I II

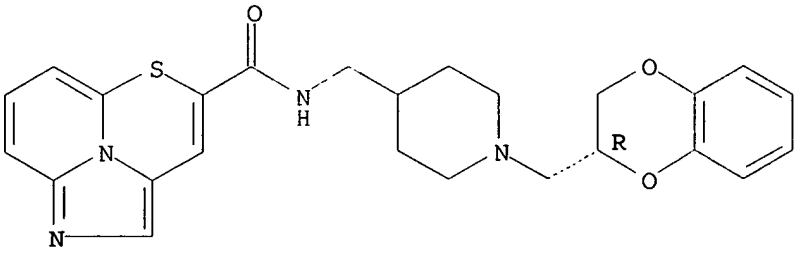
AB Novel compds. I [wherein ring Q is optionally substituted; one of R0, R1, and R2 = -Y0-Z0, and the others = H, halo, (un)substituted OH, (un)substituted hydrocarbyl, or acyl; Y0 = bond, (un)substituted bivalent hydrocarbon group; Z0 = basic group which may be bonded via O, N, CO, CS, SO2N(R3) (where R3 = H or (un)substituted hydrocarbyl), or S(O)n (where n = 0, 1, or 2); dotted line = optional pi bond] and salts thereof are disclosed. The compds. have excellent LDL receptor up-regulating, blood lipid-lowering, blood sugar-lowering, and diabetic complication-ameliorating activities. Examples include 178 synthetic examples, 79 reference examples, and biol. data for approx. 20 selected compds. For instance, Et 5-thia-1,8b-diazaacenaphthylene-4-carboxylate underwent a sequence of DIBAL reduction to an alc. (87%), oxidation to an aldehyde and Wittig-based homologation to an acrylic acid derivative (84%), amidation with 1-Boc-piperidin-4-ylmethylamine and deprotection (92%), N-alkylation with Ph(CH2)3Br (55%), and salification with methanolic HCl, to give the title compound II.2HCl. In hamsters, II.2HCl reduced non-HDL cholesterol to 62.3% of control, and triglycerides to 67.0% of control.

IT **198890-90-3P 198890-91-4P 198890-92-5P**

**198891-44-0P 198892-98-7P 198892-99-8P**
**198893-00-4P 198893-48-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused imidazopyridine derivs. as antihyperlipidemic agents)

RN 198890-90-3 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

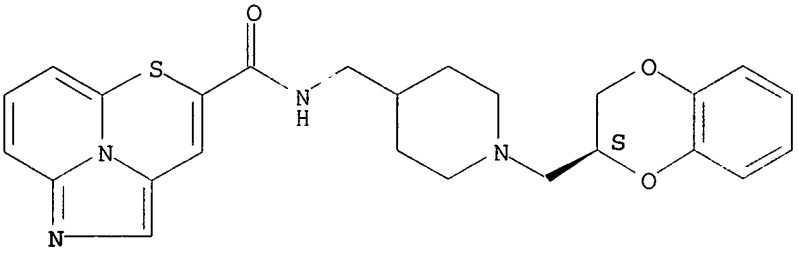
Absolute stereochemistry.



●2 HCl

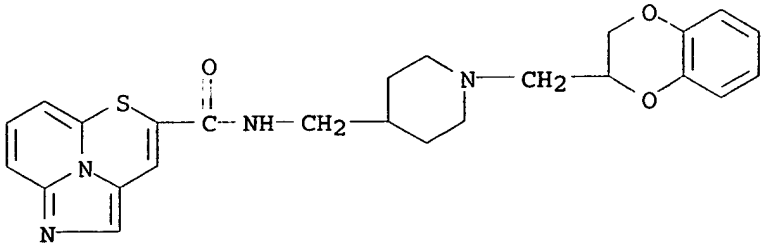
RN 198890-91-4 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



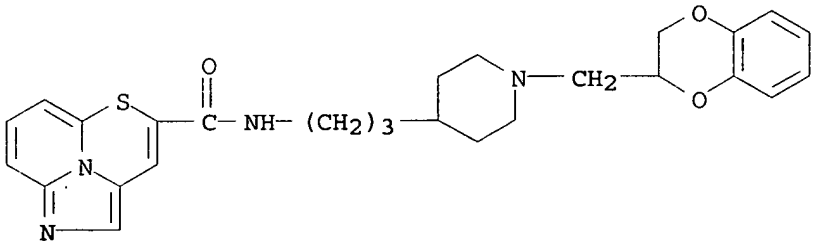
●2 HCl

RN 198890-92-5 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

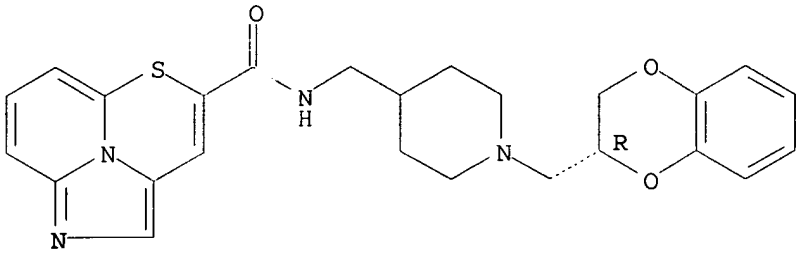
RN 198891-44-0 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

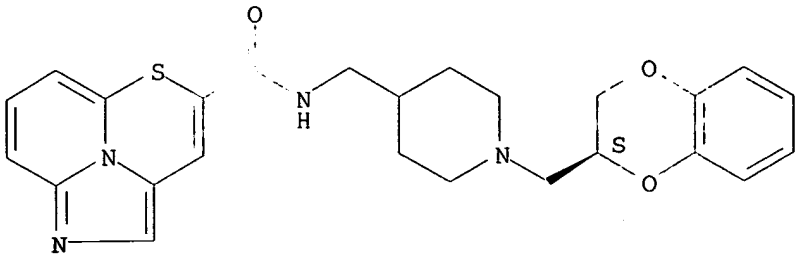
RN 198892-98-7 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

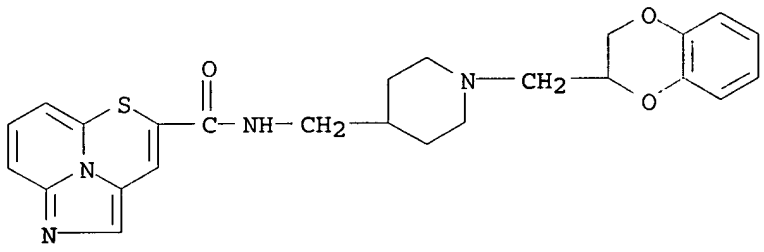


RN 198892-99-8 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

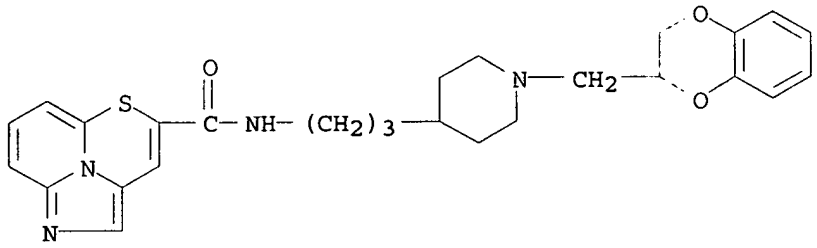
Absolute stereochemistry.



RN 198893-00-4 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



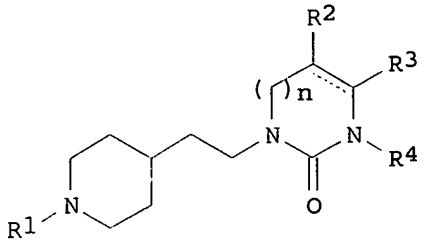
RN 198893-48-0 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



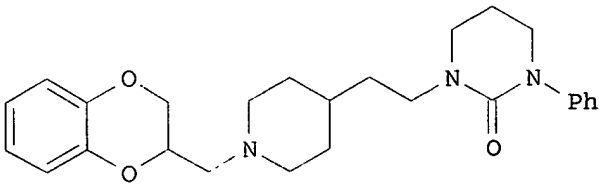
L6 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:533644 CAPLUS
DOCUMENT NUMBER: 127:205479
TITLE: Novel piperidine derivatives 4-substituted by an imidazolidin-2-on-1-ylethyl, tetrahydropyrimidin-2-on-1-ylethyl, or 1,3-diazepin-2-on-1-ylethyl group, and their use as α2 adrenergic receptor antagonists
INVENTOR(S): Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.; Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 9728157 | A1 | 19970807 | WO 1997-FR179 | | 19970130 |
| W: AU, BR, CA, CN, JP, KR, MX, NZ, US | | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | | |
| FR 2744451 | A1 | 19970808 | FR 1996-1220 | | 19960201 |
| FR 2744451 | B1 | 19980424 | | | |
| AU 9716061 | A1 | 19970822 | AU 1997-16061 | | 19970130 |
| PRIORITY APPLN. INFO.: | | | FR 1996-1220 | A | 19960201 |
| | | | WO 1997-FR179 | W | 19970130 |

OTHER SOURCE(S): MARPAT 127:205479
GI




I

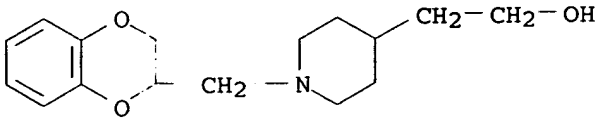



II

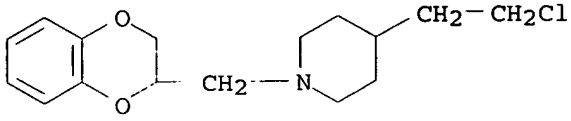
AB Novel cyclic urea derivs. of 4-ethylpiperidine, having general formula I [R1 = (1,4-benzodioxan-2-yl)methyl, (2H-benzopyran-3-yl)methyl, or 4-(chromanone-2-yl)methyl; R2, R3 = H, or R2R3 = benzo fusion; R4 = H, C1-4 alkyl, (un)substituted aryl, heteroaryl, aralkyl, or naphthyl; n = 0-2], and their salts and preparation methods, are disclosed. The use of the compds. as drugs, pharmaceutical compns. containing them, and preparation methods for the compns. are also disclosed. The compds. are useful for treatment of a wide variety of medical conditions. For instance, N-alkylation of 4-(2-hydroxyethyl)piperidine by 2-(bromomethyl)-1,4-benzodioxane (69%), conversion of the product alc. to a chloride (94%) by SOCl2, and coupling of the latter with 1-phenyltetrahydro-2(1H)-pyrimidinone (69%) using NaH in AcNMe2, gave title compound II. In a test for inhibition of guanabenz-induced hypothermia in mice, II had an oral ED50 of 0.28 mg/kg, vs. 0.69 for idazoxan and 1.23 for yohimbine.

IT **194612-27-6P 194612-28-7P 194612-29-8P**
**194612-30-1P 194612-31-2P 194612-32-3P**
**194612-33-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidine derivs. as α2 adrenergic antagonists)

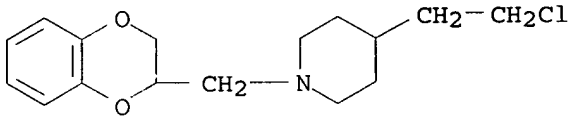
RN 194612-27-6 CAPLUS
CN 4-Piperidineethanol, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 194612-28-7 CAPLUS
CN Piperidine, 4-(2-chloroethyl)-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

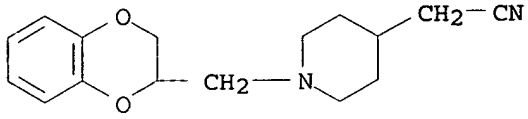


RN 194612-29-8 CAPLUS
CN Piperidine, 4-(2-chloroethyl)-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

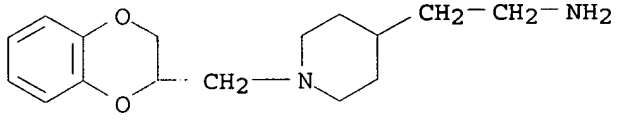


● HCl

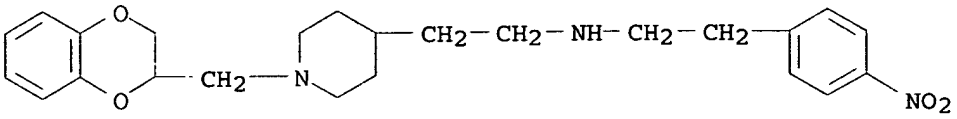
RN 194612-30-1 CAPLUS
CN 4-Piperidineacetonitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



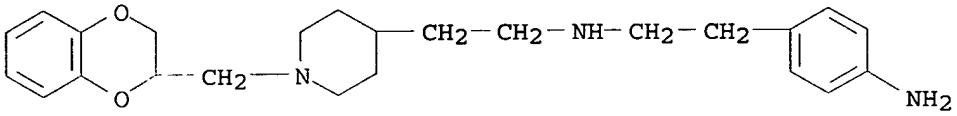
RN 194612-31-2 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 194612-32-3 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

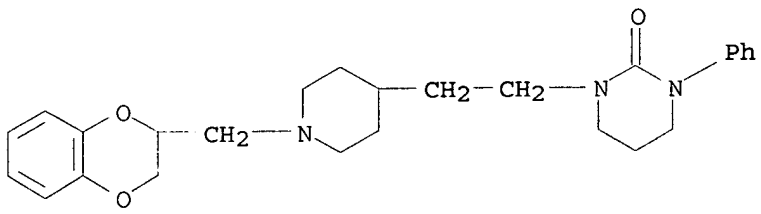


RN 194612-33-4 CAPLUS
CN 4-Piperidineethanamine, N-[2-(4-aminophenyl)ethyl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

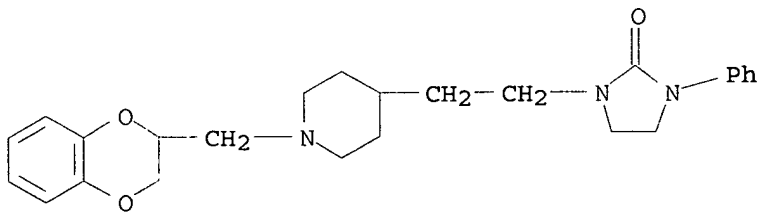


IT **194611-90-0P 194611-91-1P 194611-92-2P**
**194611-93-3P 194611-94-4P 194611-95-5P**
**194611-96-6P 194611-97-7P 194611-98-8P**
**194611-99-9P 194612-00-5P 194612-01-6P**
**194612-02-7P 194612-03-8P 194612-04-9P**
**194612-05-0P 194612-06-1P 194612-07-2P**
**194612-08-3P 194612-09-4P 194612-10-7P**
**194612-11-8P 194612-12-9P 194612-13-0P**
**194612-14-1P 194612-15-2P 194612-16-3P**
**194612-24-3P 194612-26-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as α2 adrenergic antagonists)

RN 194611-90-0 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)



RN 194611-91-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

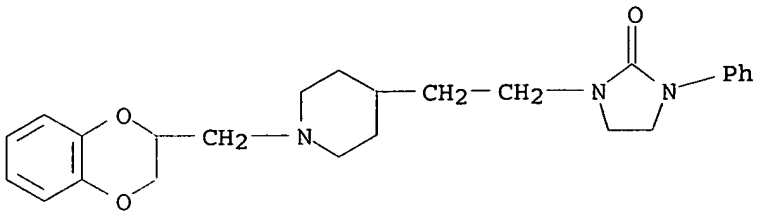


RN 194611-92-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

piperidinyl]ethyl]-3-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

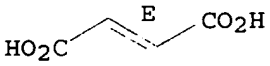
CRN 194611-91-1
CMF C25 H31 N3 O3



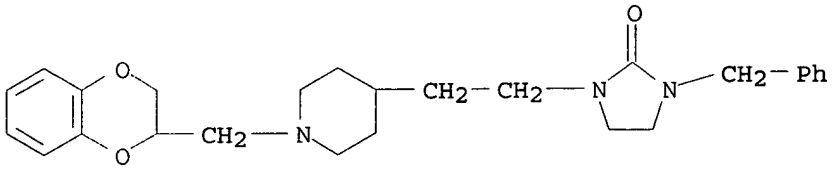
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



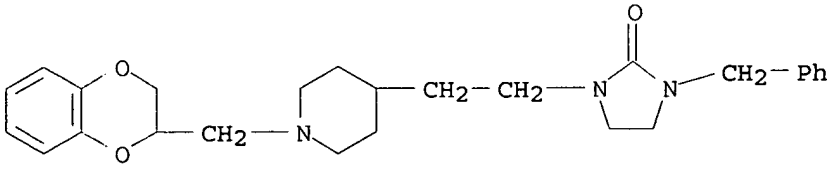
RN 194611-93-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 194611-94-4 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

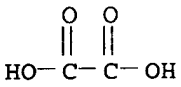
CM 1

CRN 194611-93-3
CMF C26 H33 N3 O3

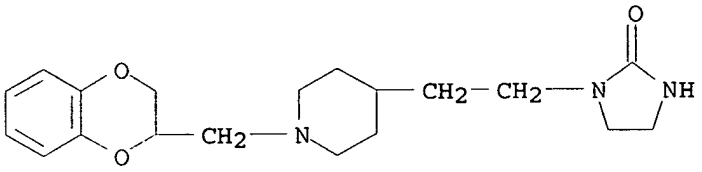


CM 2

CRN 144-62-7
CMF C2 H2 O4



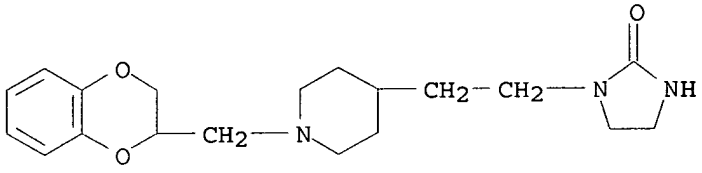
RN 194611-95-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 194611-96-6 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

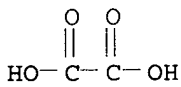
CM 1

CRN 194611-95-5
CMF C19 H27 N3 O3

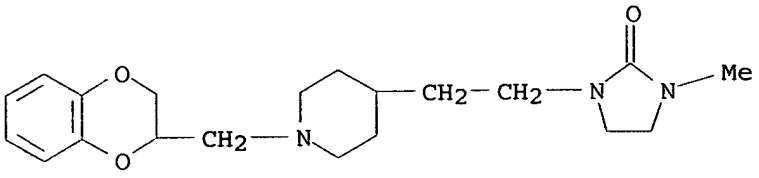


CM 2

CRN 144-62-7
CMF C2 H2 O4



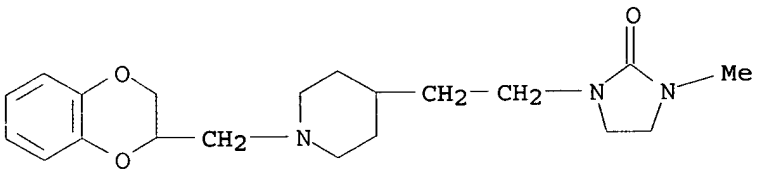
RN 194611-97-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 194611-98-8 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

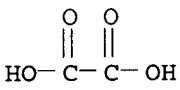
CM 1

CRN 194611-97-7
CMF C20 H29 N3 O3

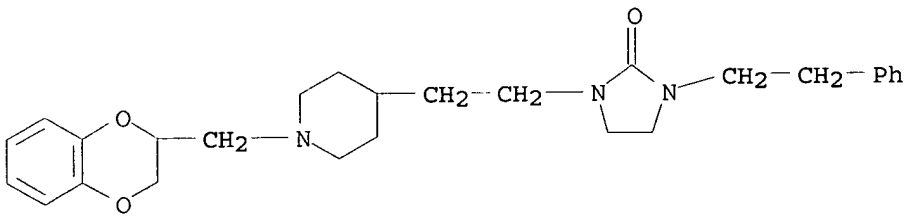


CM 2

CRN 144-62-7
CMF C2 H2 O4

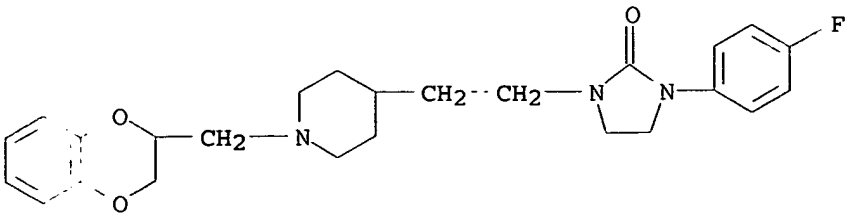


RN 194611-99-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

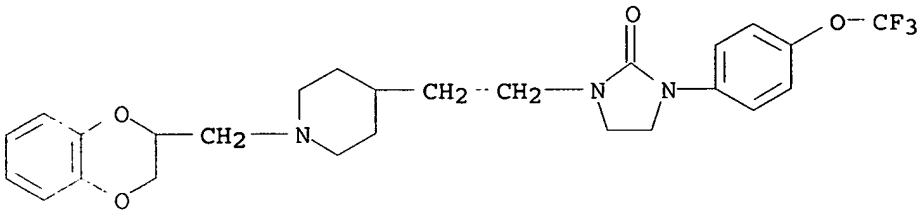


● HCl

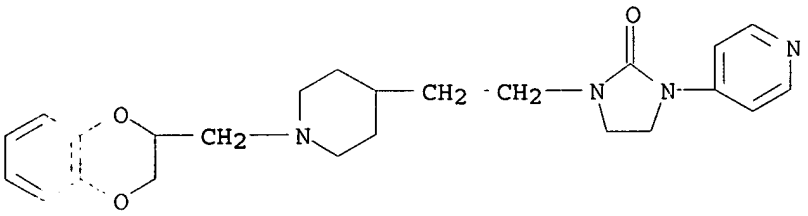
RN 194612-00-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 194612-01-6 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



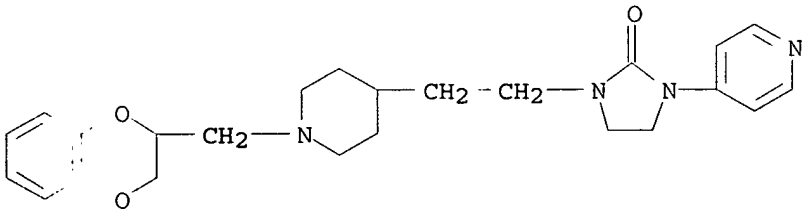
RN 194612-02-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 194612-03-8 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

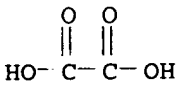
CM 1

CRN 194612-02-7
CMF C24 H30 N4 O3

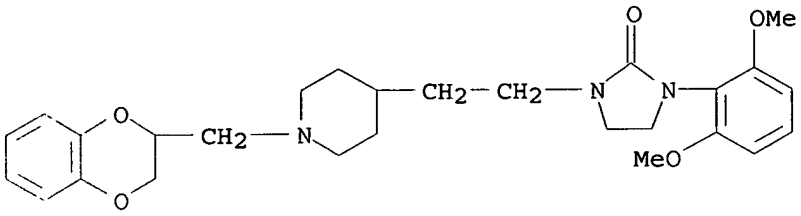


CM 2

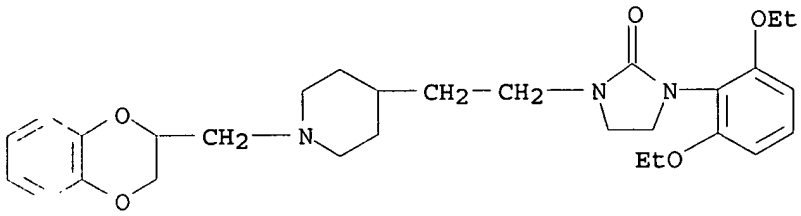
CRN 144-62-7
CMF C2 H2 O4



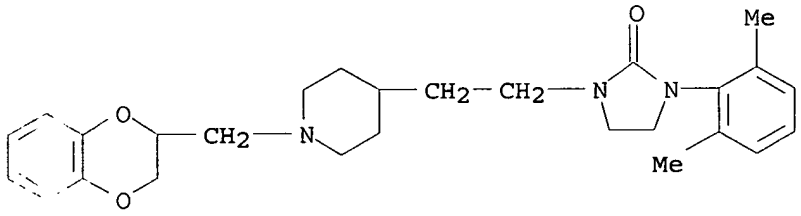
RN 194612-04-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 194612-05-0 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-diethoxyphenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



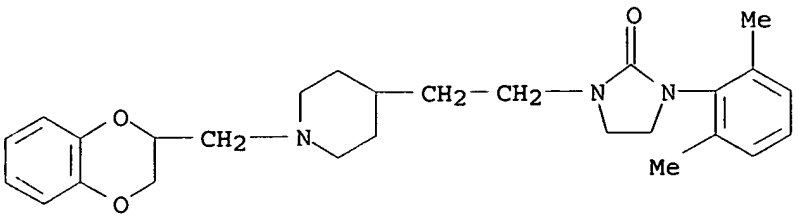
RN 194612-06-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



RN 194612-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

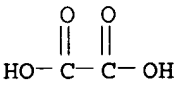
CM 1

CRN 194612-06-1
CMF C27 H35 N3 O3

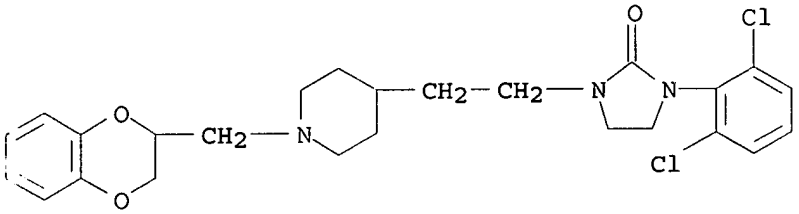


CM 2

CRN 144-62-7
CMF C2 H2 O4

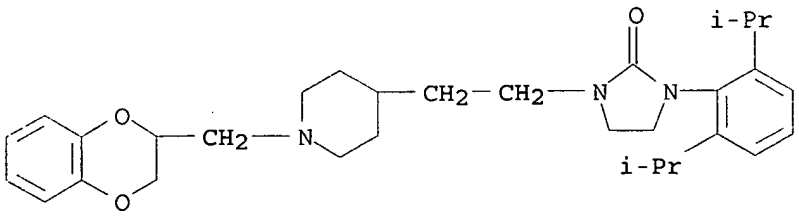


RN 194612-08-3 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



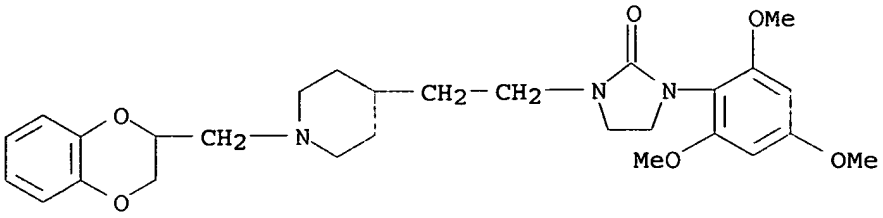
● HCl

RN 194612-09-4 CAPLUS
CN 2-Imidazolidinone, 1-[2,6-bis(1-methylethyl)phenyl]-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

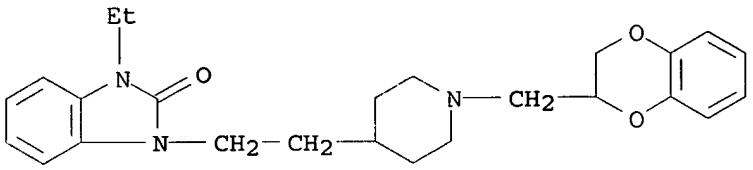


RN 194612-10-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

piperidinyl]ethyl]-3-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



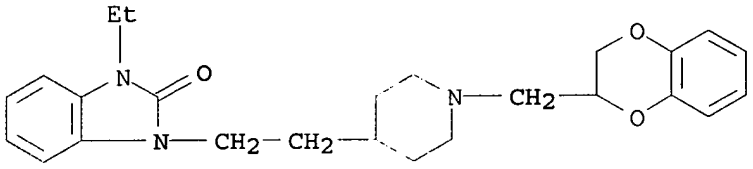
RN 194612-11-8 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-ethyl-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 194612-12-9 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-ethyl-1,3-dihydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

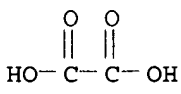
CM 1

CRN 194612-11-8
CMF C25 H31 N3 O3

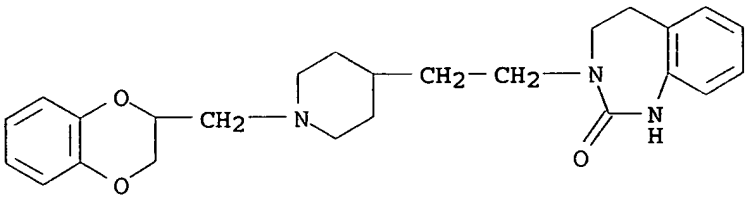


CM 2

CRN 144-62-7
CMF C2 H2 O4



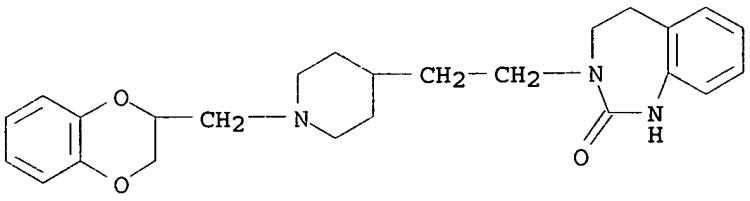
RN 194612-13-0 CAPLUS
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 194612-14-1 CAPLUS
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

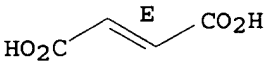
CRN 194612-13-0
CMF C25 H31 N3 O3



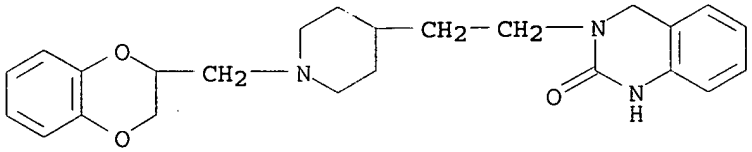
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



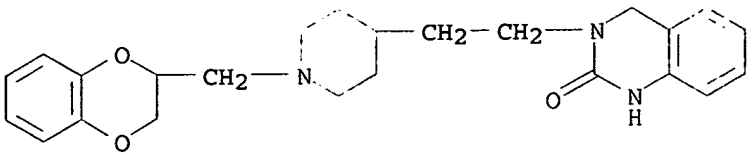
RN 194612-15-2 CAPLUS
CN 2(1H)-Quinazolinone, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 194612-16-3 CAPLUS
CN 2(1H)-Quinazolinone, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

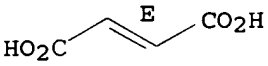
CRN 194612-15-2
CMF C24 H29 N3 O3



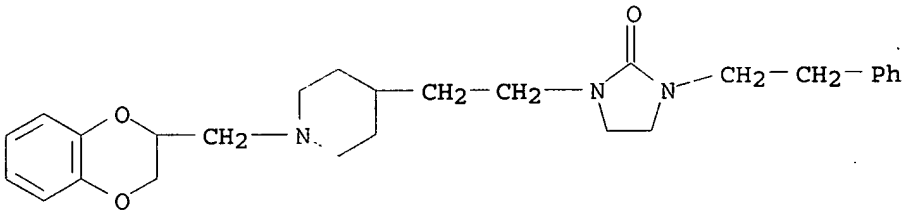
CM 2

CRN 110-17-8
CMF C4 H4 O4

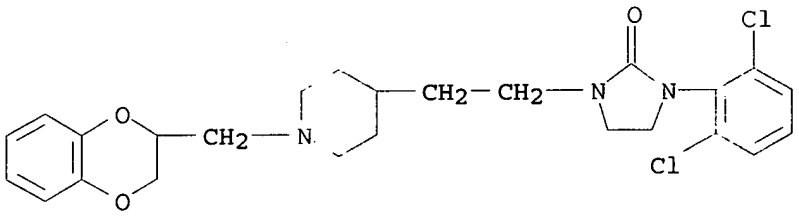
Double bond geometry as shown.



RN 194612-24-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 194612-26-5 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:506290 CAPLUS
DOCUMENT NUMBER: 127:135806
TITLE: Preparation of heteroarylcarboxamides as nervous system agents
INVENTOR(S): Birch, Alan Martin; Bradley, Paul Anthony; Gill, Julie Carolyn
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany; Birch, Alan Martin; Bradley, Paul Anthony; Gill, Julie Carolyn
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 9723485 | A1 | 19970703 | WO 1996-EP5637 | | 19961216 |
| W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | | |
| AU 9711958 | A1 | 19970717 | AU 1997-11958 | | 19961216 |
| EP 876372 | A1 | 19981111 | EP 1996-943129 | | 19961216 |
| EP 876372 | B1 | 20020306 | | | |
| R: DE, FR, GB, IT | | | | | |
| JP 2000502662 | T2 | 20000307 | JP 1997-523278 | | 19961216 |
| US 6107310 | A | 20000822 | US 1998-91129 | | 19980616 |
| PRIORITY APPLN. INFO.: | | | GB 1995-26495 | A | 19951223 |
| | | | WO 1996-EP5637 | W | 19961216 |

OTHER SOURCE(S): MARPAT 127:135806
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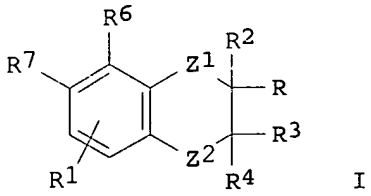


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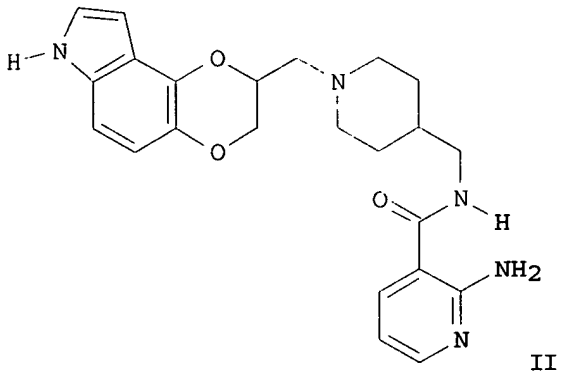



II

AB Title compds. [I; R = Z3Z4R8; R1 = 1 or 2 of H, halo, alkyl, alkoxy, etc.; R2 = H, alkyl, alkoxy; R3,R4 = H or alkyl; R6R7 = (un)substituted NHCH:CH, -N:CHNH, -NHCH:N, etc.; R8 = (un)substituted heteroarylcarbonyl; Z1,Z2 = O or CH2; Z3 = alkylene; Z4 = NR5Z5Z6, Z6Z5NR5, etc.; R5 = H or alkyl; Z5 = alkylene; Z6 = N-attached heterocyclylene] were prepared as 5-HT1A and/or α1 and/or D2-like receptor ligands. Thus, Et 4-formyl-5-hydroxyindole-2-carboxylate was etherified by (R)-glycidyl tosylate and the product converted in 6 steps to title compound II. Data for biol. activity of I were given.

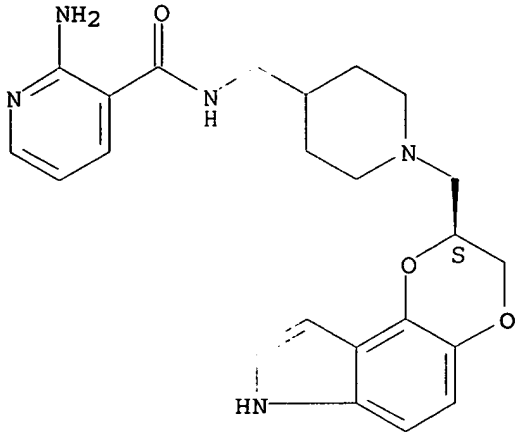
IT **193197-22-7P 193197-23-8P 193197-24-9P**
**193197-25-0P 193197-26-1P 193197-27-2P**
**193197-28-3P 193197-29-4P 193197-30-7P**
**193197-31-8P 193197-32-9P 193197-33-0P**
**193197-34-1P 193197-35-2P 193197-36-3P**
**193197-37-4P 193197-38-5P 193197-39-6P**
**193197-40-9P 193197-41-0P 193197-42-1P**
**193197-43-2P 193197-44-3P 193197-45-4P**
**193197-46-5P 193197-47-6P 193197-48-7P**
**193197-49-8P 193197-50-1P 193197-51-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroarylcarboxamides as nervous system agents)

RN 193197-22-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

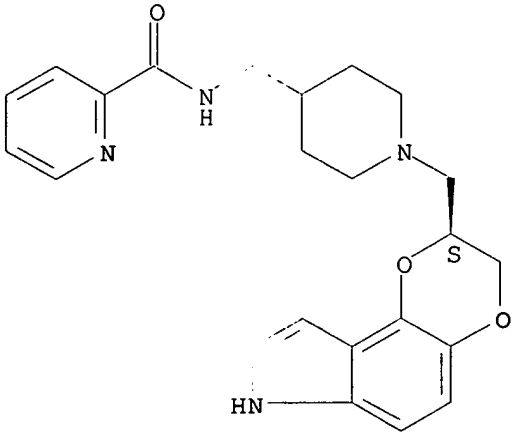
Absolute stereochemistry. Rotation (-).



RN 193197-23-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193197-24-9 CAPLUS

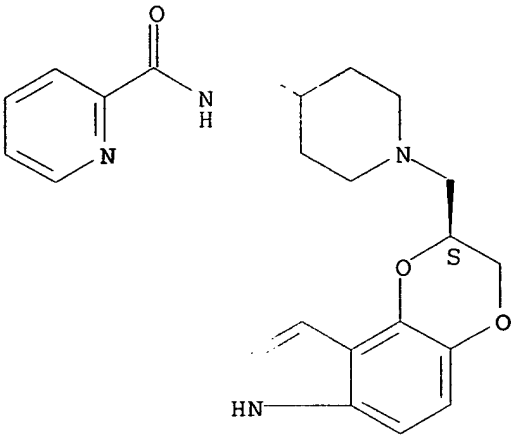
CN 2-Pyridinecarboxamide, N-[[1-[[(2S)-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl]methyl]-4-piperidinyl]methyl]-, (2E)-2-butenedioate (10:13) (9CI) (CA INDEX NAME)

CM 1

CRN 193197-23-8

CMF C23 H26 N4 O3

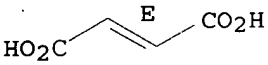
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 193197-25-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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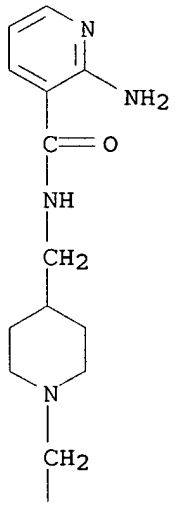

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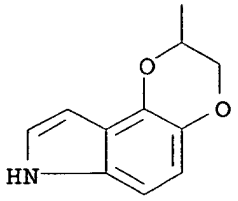

RN 193197-26-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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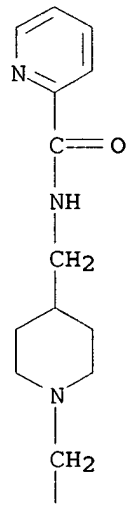

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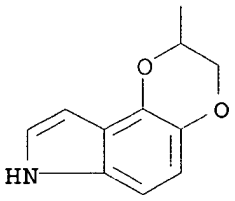

RN 193197-27-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxylic acid, 2,3-dihydro-2-[[4-[[(2-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

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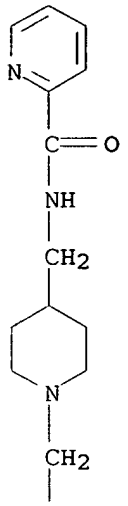

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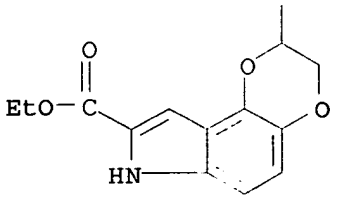

RN 193197-28-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxylic acid, 2,3-dihydro-2-[[4-[[(3-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

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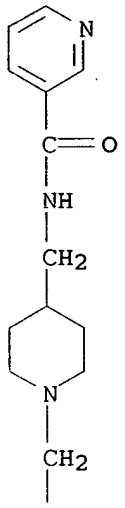

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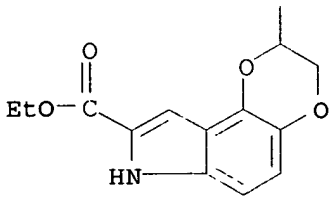
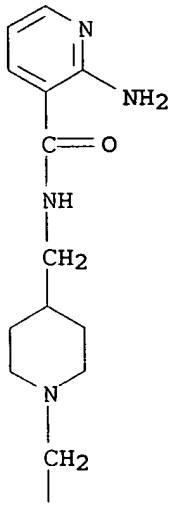


RN 193197-29-4 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxylic acid, 2-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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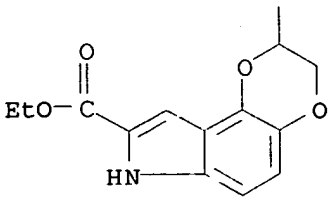

RN 193197-30-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-2-[[4-[[(2-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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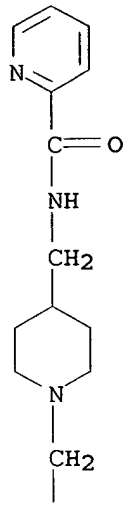

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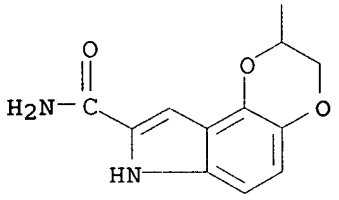

RN 193197-31-8 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-2-[[4-[[(3-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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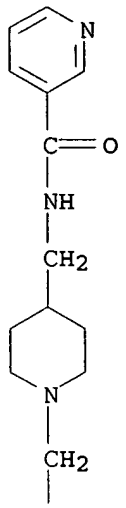

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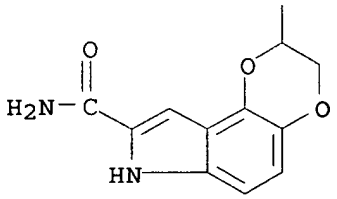

RN 193197-32-9 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

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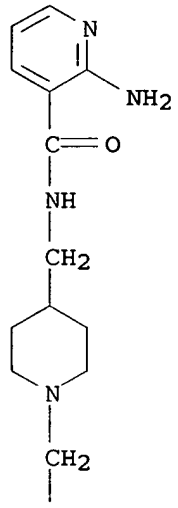

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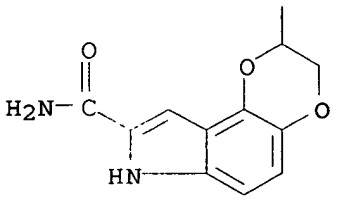

RN 193197-33-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-N,N-dimethyl-2-[[4-[[(2-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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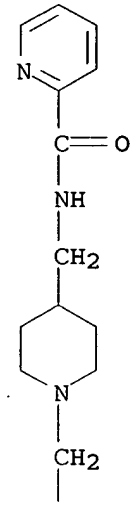

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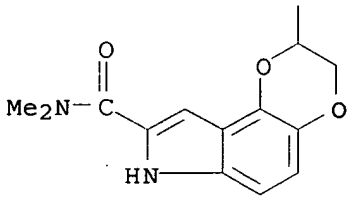

RN 193197-34-1 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-N,N-dimethyl-2-[[4-[[(3-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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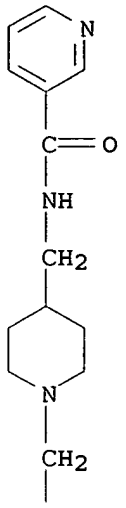

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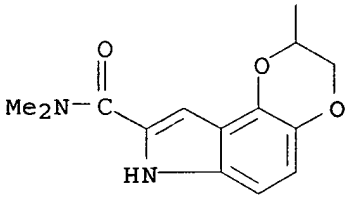

RN 193197-35-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

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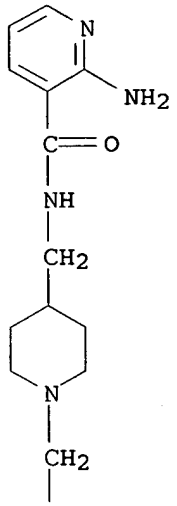

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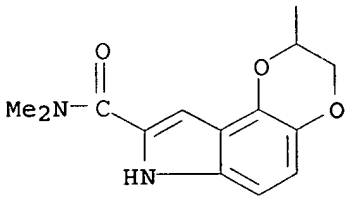

RN 193197-36-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(8-acetyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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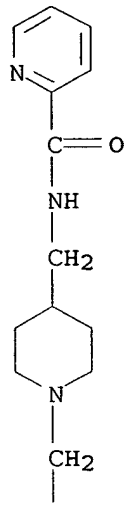

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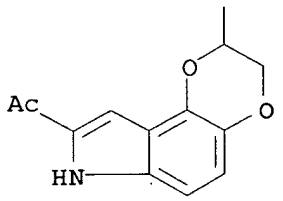

RN 193197-37-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-acetyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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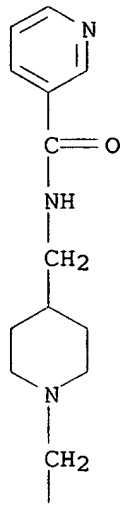

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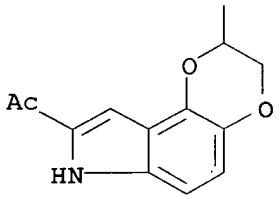

RN 193197-38-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-acetyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-2-amino- (9CI) (CA INDEX NAME)

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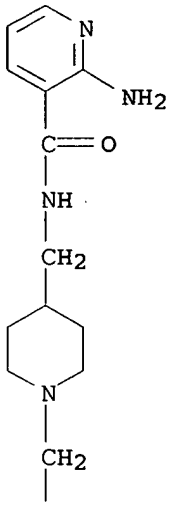

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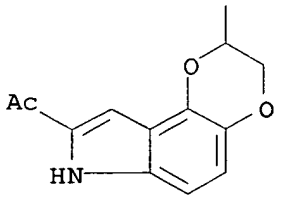

RN 193197-39-6 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(8-cyano-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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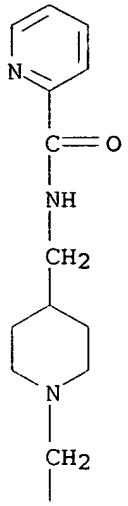

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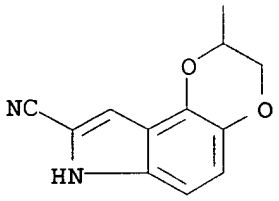

RN 193197-40-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-cyano-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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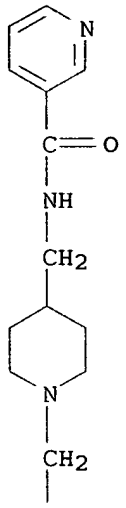

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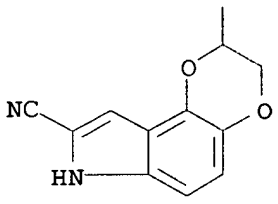

RN 193197-41-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-cyano-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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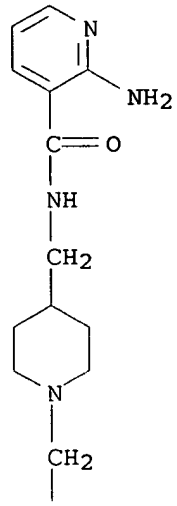

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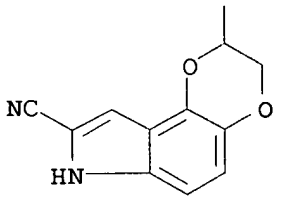

RN 193197-42-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(8-formyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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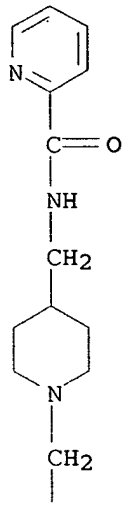

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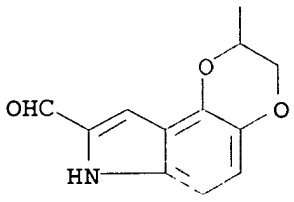

RN 193197-43-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-formyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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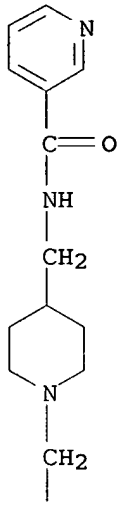

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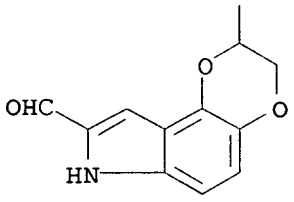

RN 193197-44-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-formyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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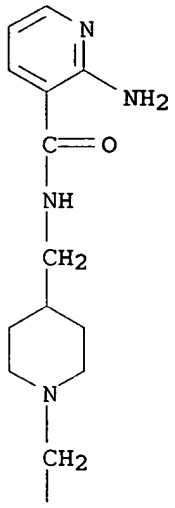

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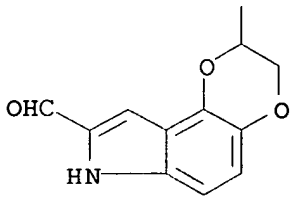

RN 193197-45-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)

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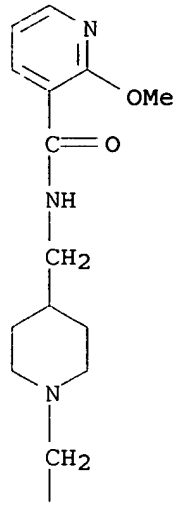

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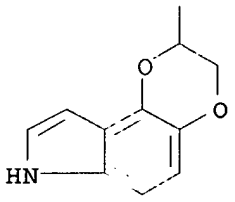

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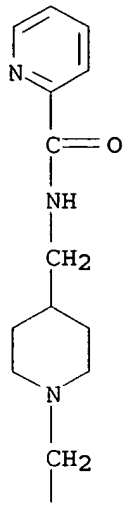

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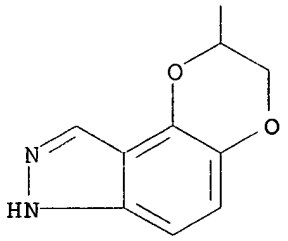

RN 193197-47-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indazol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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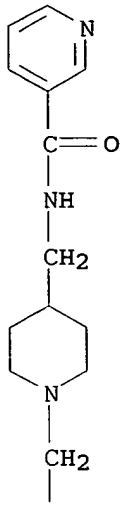

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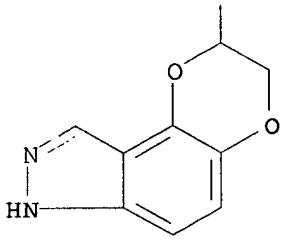

RN 193197-48-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indazol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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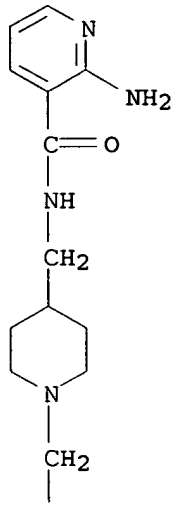

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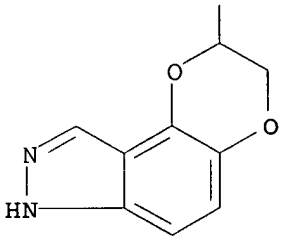

RN 193197-49-8 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(7,8-dihydro-1H-[1,4]dioxino[2,3-e]benzimidazol-8-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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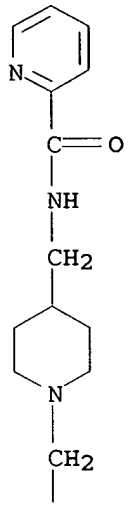

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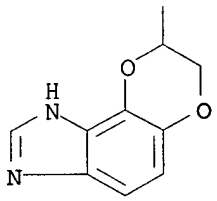

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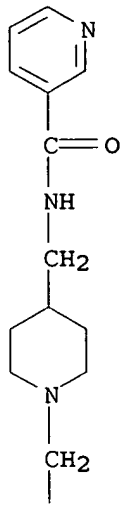

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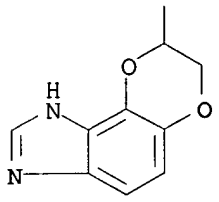

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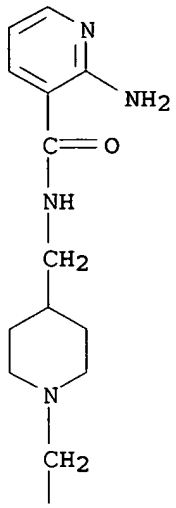

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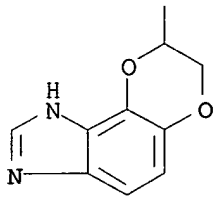

L6 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:204149 CAPLUS
DOCUMENT NUMBER: 126:199573
TITLE: Heterocyclylcarboxamide derivatives for use as neurotransmitter agonists
INVENTOR(S): Birch, Alan Martin; Heal, David John; Kerrigan, Frank; Martin, Keith Frank; Needham, Patricia Lesley; Sargent, Bruce Jeremy
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 9703071 | A1 | 19970130 | WO 1996-EP2890 | 19960702 |
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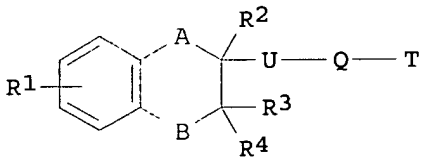


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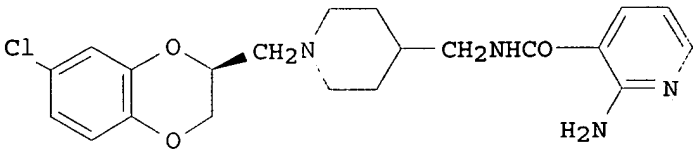


II

AB Title compds. I [A, B = CH2, O; R1 = optional substituent(s); R2-R4 = H, (un)substituted alkyl; U = (un)branched alkylene; Q = N-containing divalent group; T = heterocyclylcarbonyl attached to N in Q] were prepared for use in treating central nervous system disorders. Thus, the benzodioxane II was prepared from 5-chloro-2-hydroxybenzaldehyde, (R)-glycidyl tosylate, and 4-aminomethylpiperidine in 8 steps. II had a Ki for 5 HT1α receptor binding of 41.5 nM and also bound to the α2D, D2, and α1 receptors.

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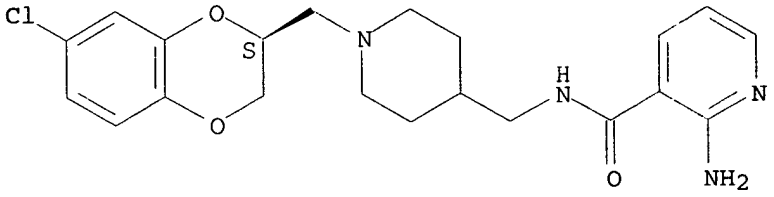
**187543-07-3P 187543-08-4P 187543-09-5P**
**187543-10-8P 187543-11-9P 187543-12-0P**
**187543-13-1P 187543-14-2P 187543-15-3P**
**187543-16-4P 187543-17-5P 187543-18-6P**
**187543-19-7P 187543-20-0P 187543-21-1P**
**187543-22-2P 187543-23-3P 187543-24-4P**
**187543-25-5P 187543-26-6P 187543-27-7P**
**187543-28-8P 187543-30-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanylmethylpiperidinylmethylcarbamoylpyridines as neurotransmitter agonists)

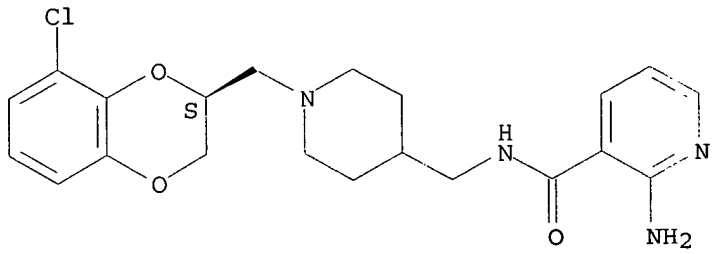
RN 187542-74-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



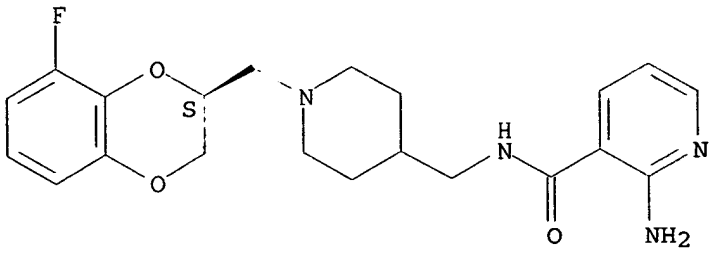

RN 187542-75-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RN 187542-76-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

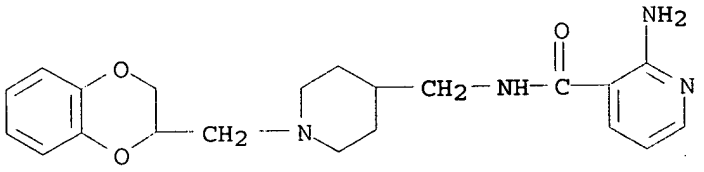
Absolute stereochemistry. Rotation (-).



RN 187542-78-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (2Z)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

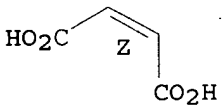
CRN 187542-77-4
CMF C21 H26 N4 O3



CM 2

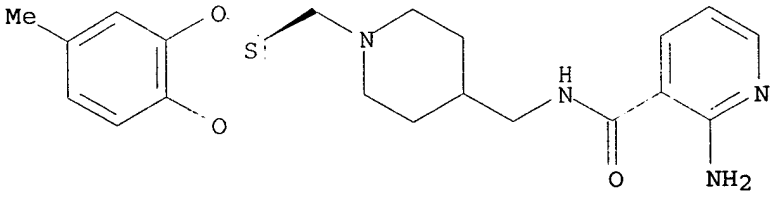
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



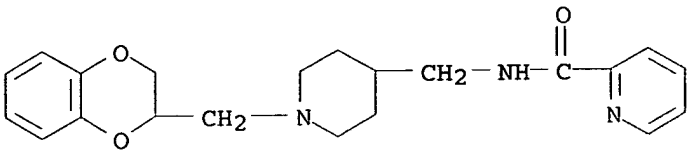
RN 187542-79-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



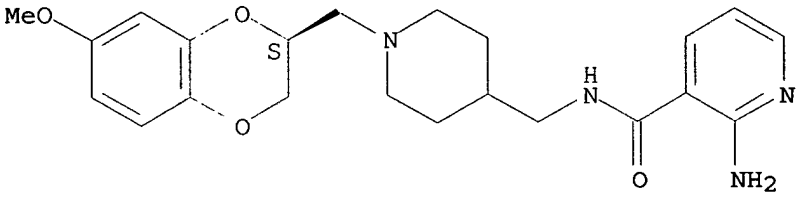
RN 187542-80-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

piperidinyl]methyl]- (9CI) (CA INDEX NAME)

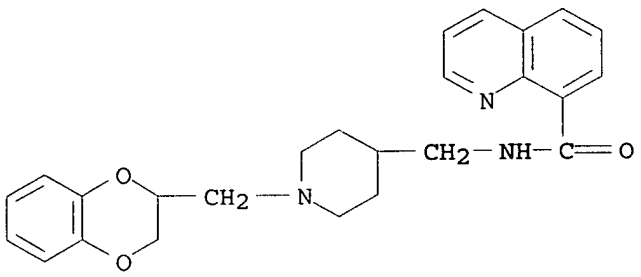


RN 187542-81-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

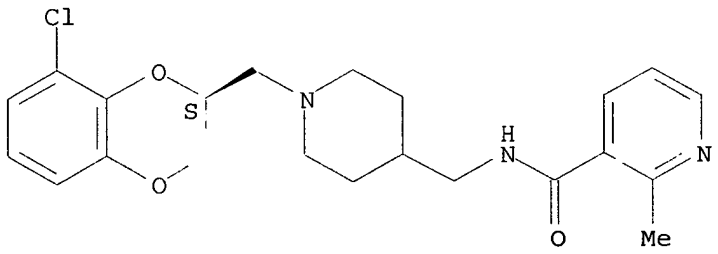


RN 187542-82-1 CAPLUS
CN 8-Quinolinecarboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

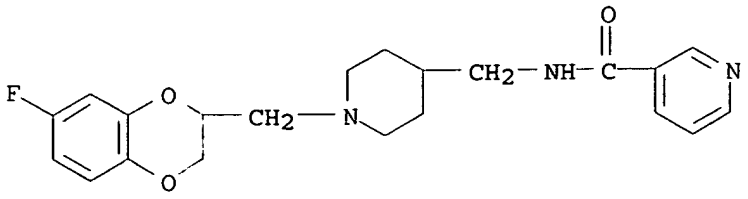


RN 187542-83-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

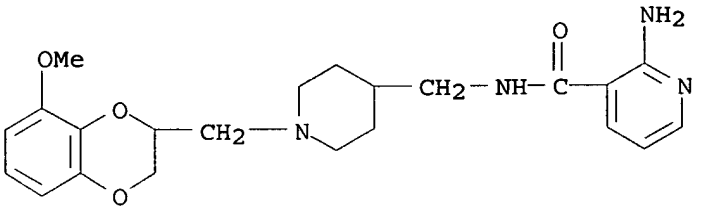
Absolute stereochemistry. Rotation (-).



RN 187542-84-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

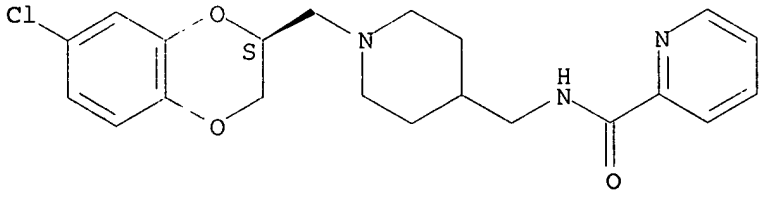


RN 187542-85-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



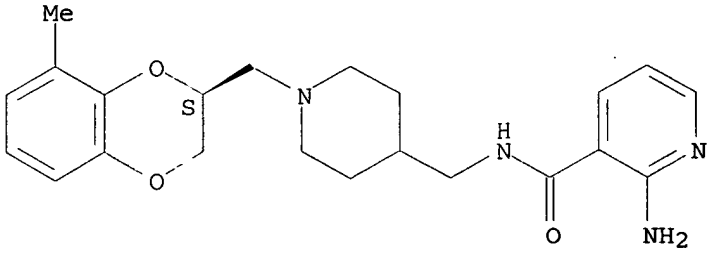
RN 187542-86-5 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



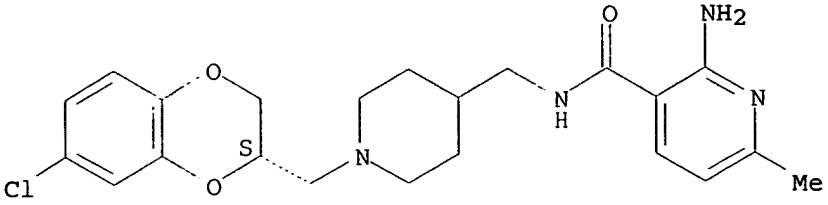
RN 187542-87-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



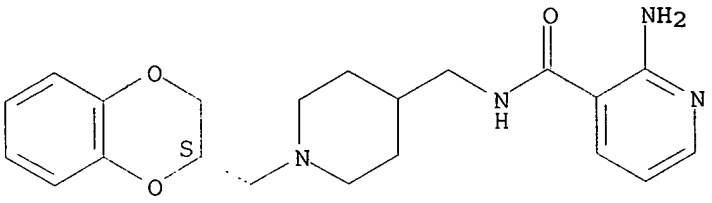
RN 187542-88-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

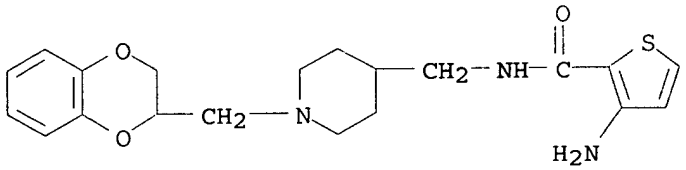


RN 187542-89-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

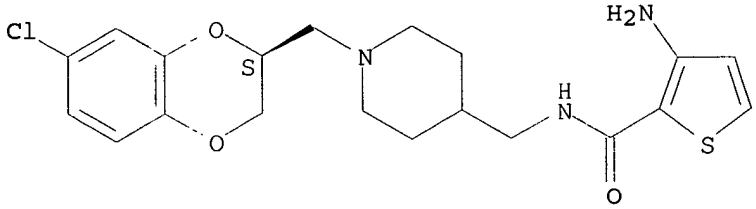


RN 187542-90-1 CAPLUS
CN 2-Thiophenecarboxamide, 3-amino-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



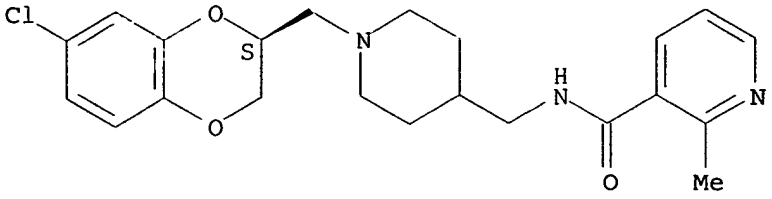
RN 187542-91-2 CAPLUS
CN 2-Thiophenecarboxamide, 3-amino-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



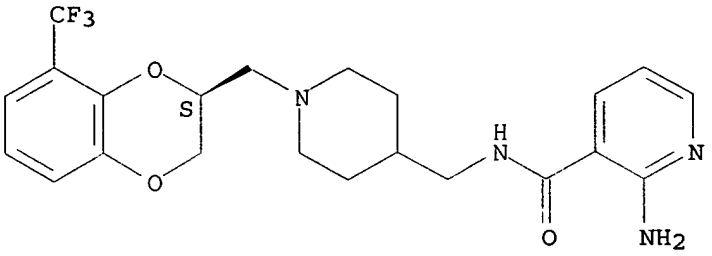
RN 187542-92-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



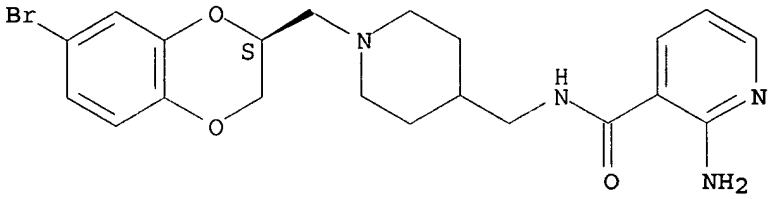
RN 187542-95-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



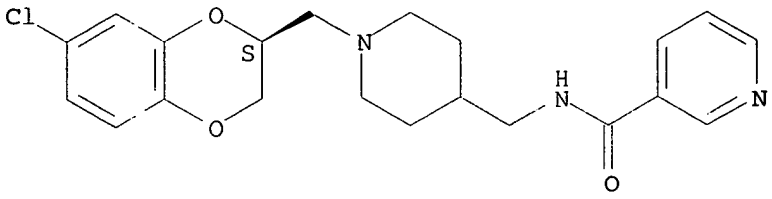
RN 187542-96-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



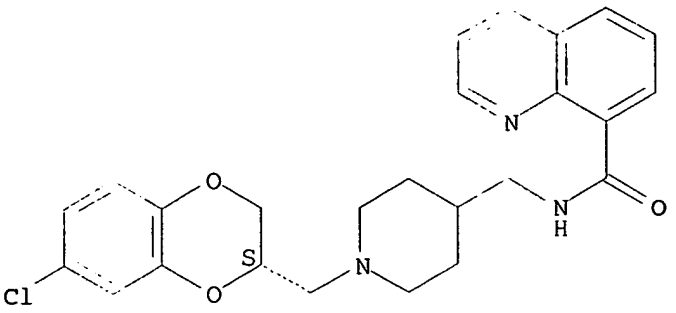
RN 187542-97-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



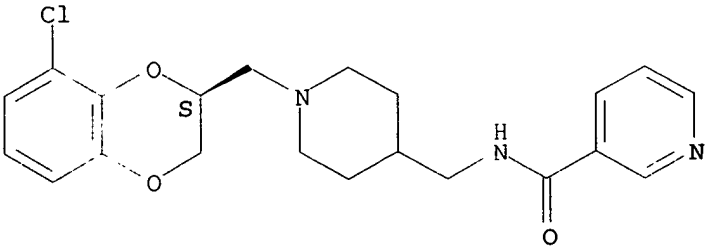
RN 187542-98-9 CAPLUS
CN 8-Quinolinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 187542-99-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

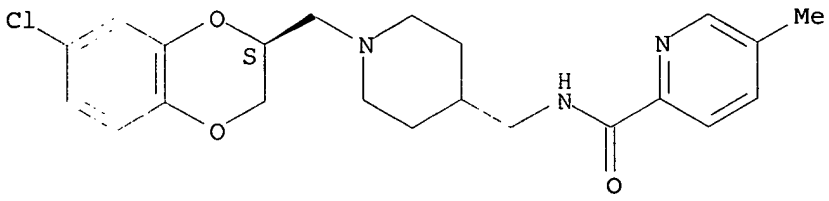


RN 187543-01-7 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-5-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 187543-00-6
CMF C22 H26 Cl N3 O3

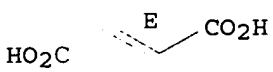
Absolute stereochemistry. Rotation (-).



CM 2

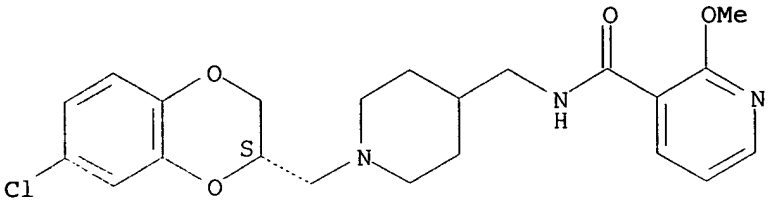
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 187543-02-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methoxy-, hydrochloride (5:3), (S)- (9CI) (CA INDEX NAME)

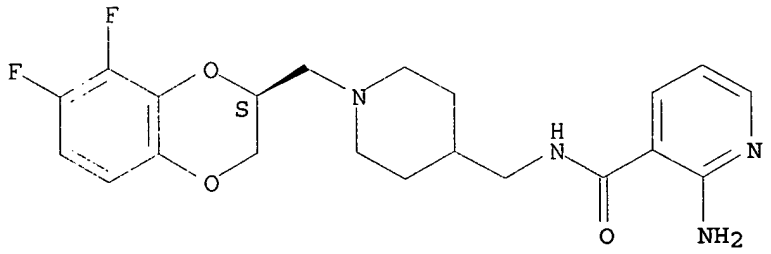
Absolute stereochemistry. Rotation (-).



●3/5 HCl

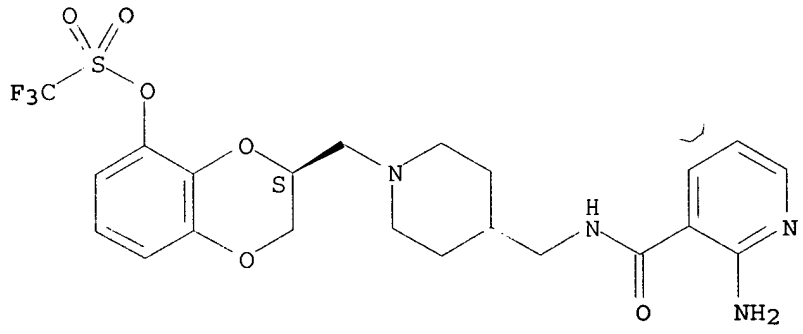
RN 187543-03-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7,8-difluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



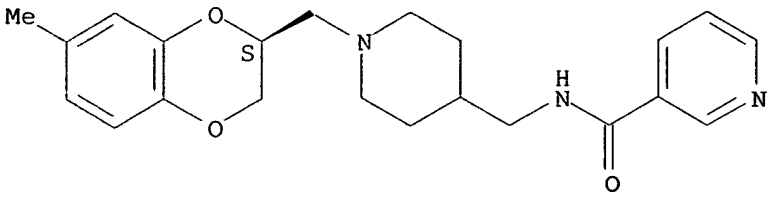
RN 187543-04-0 CAPLUS
CN Methanesulfonic acid, trifluoro-, 3-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro-1,4-benzodioxin-5-yl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



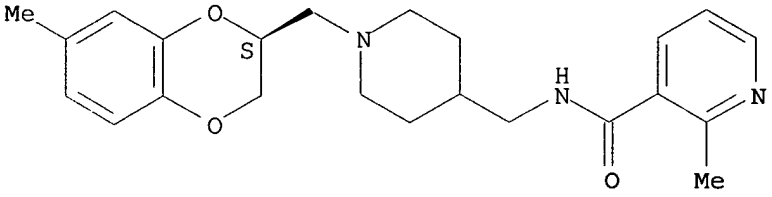
RN 187543-07-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



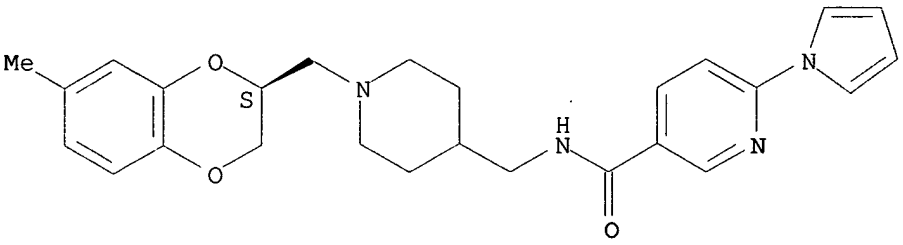
RN 187543-08-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



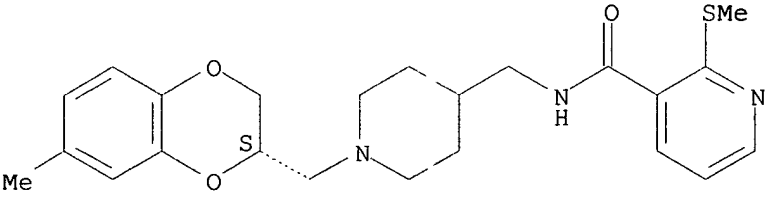
RN 187543-09-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187543-10-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

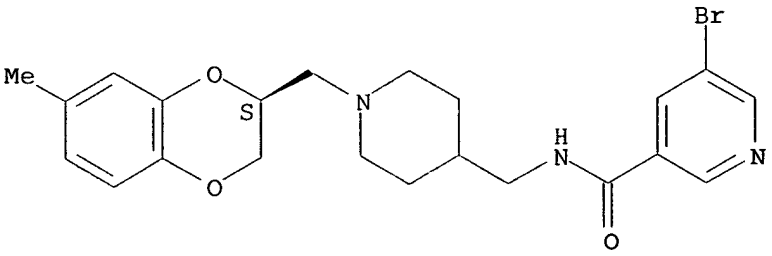
Absolute stereochemistry.



RN 187543-11-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(2,3-dihydro-7-methyl-1,4-

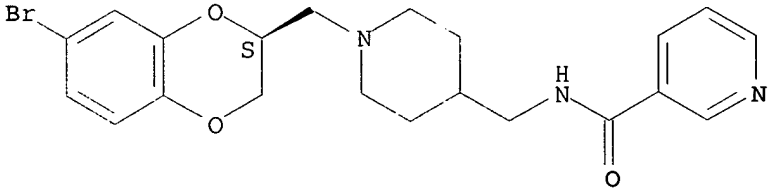
benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



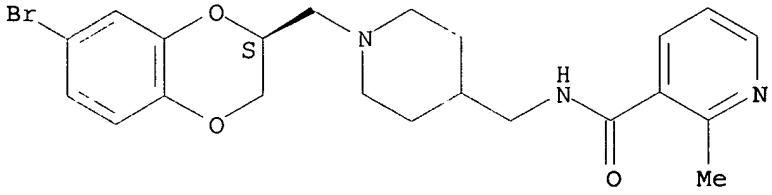
RN 187543-12-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



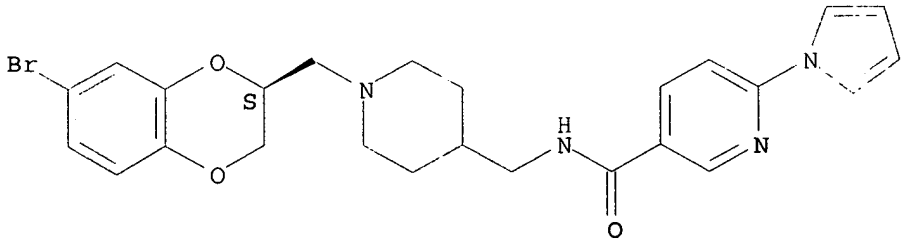
RN 187543-13-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



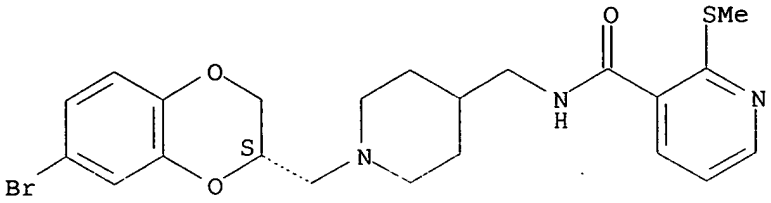
RN 187543-14-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



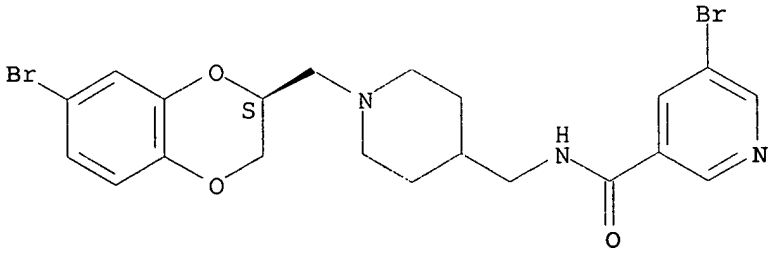
RN 187543-15-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



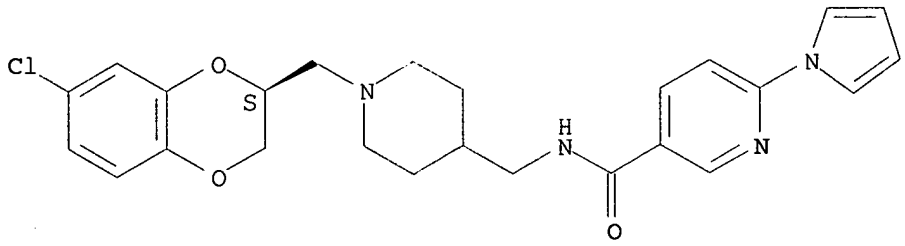
RN 187543-16-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



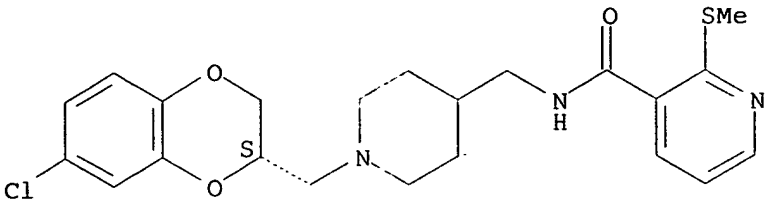
RN 187543-17-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



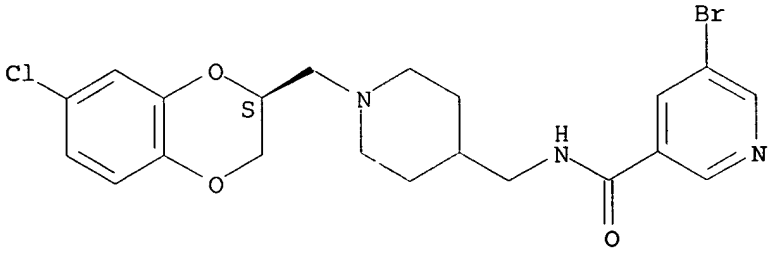
RN 187543-18-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



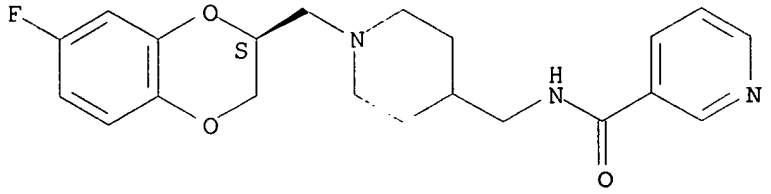
RN 187543-19-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



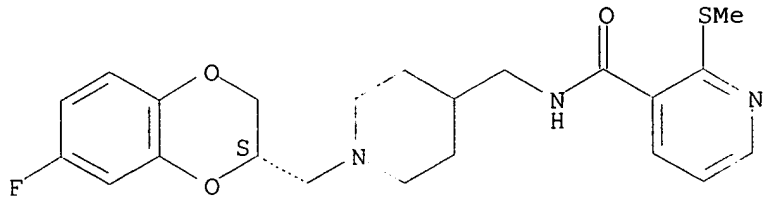
RN 187543-20-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



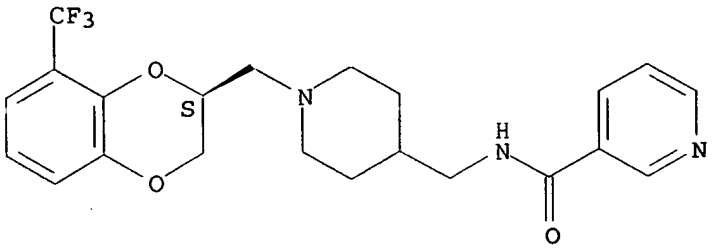
RN 187543-21-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



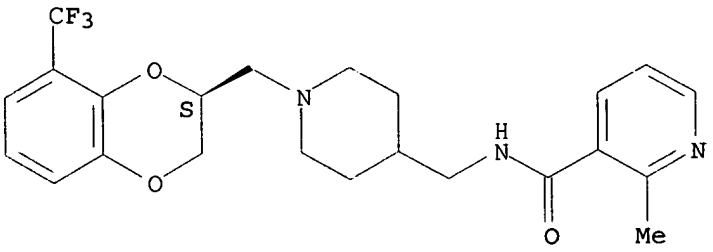
RN 187543-22-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



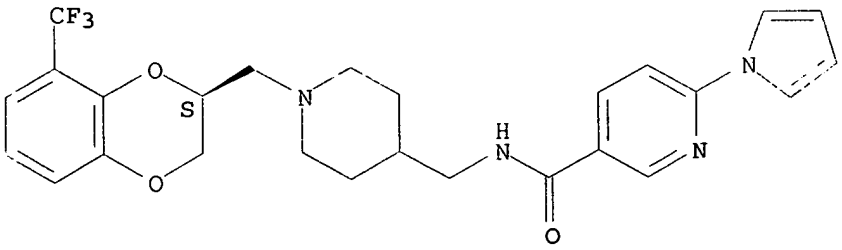
RN 187543-23-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



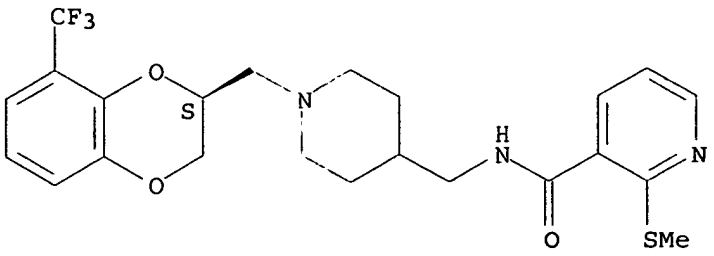
RN 187543-24-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



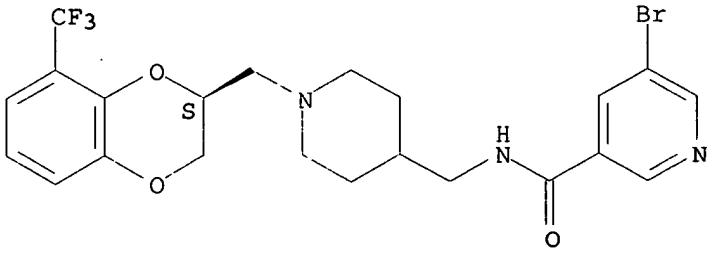
RN 187543-25-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



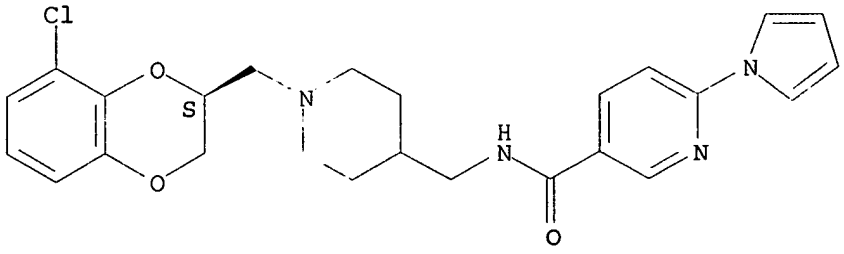
RN 187543-26-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



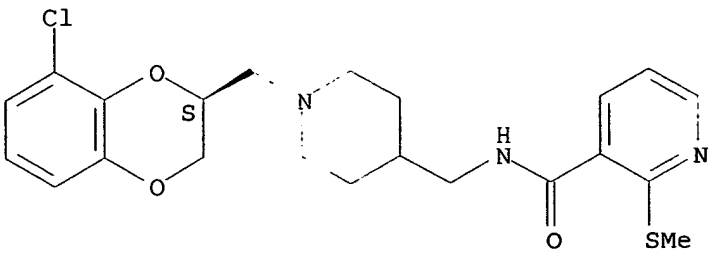
RN 187543-27-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



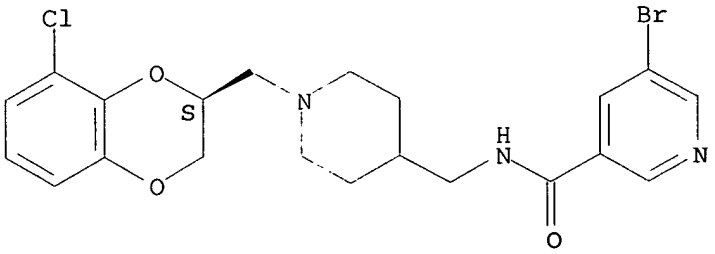
RN 187543-28-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187543-30-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

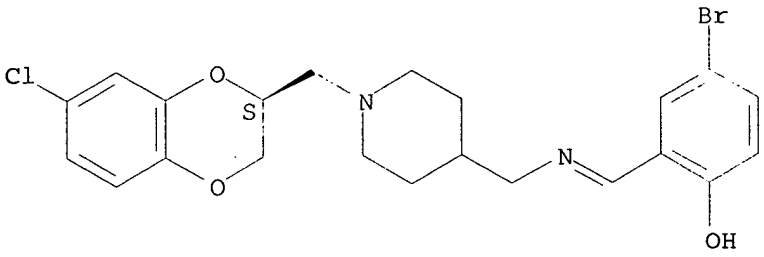
Absolute stereochemistry.



IT **187543-41-5P 187543-43-7P 187543-66-4P 187543-67-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxanylmethylpiperidinylmethylcarbamoylpyridines as neurotransmitter agonists)

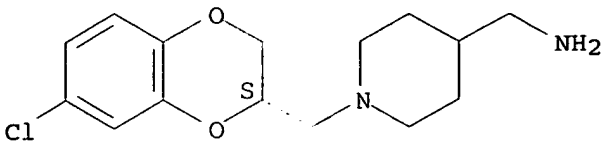
RN 187543-41-5 CAPLUS
CN Phenol, 4-bromo-2-[[[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]imino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



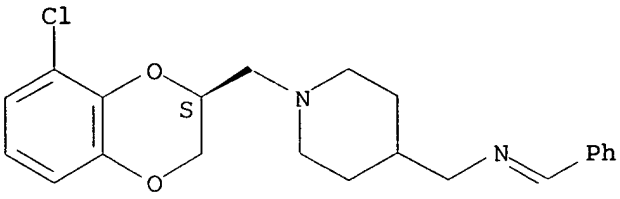
RN 187543-43-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



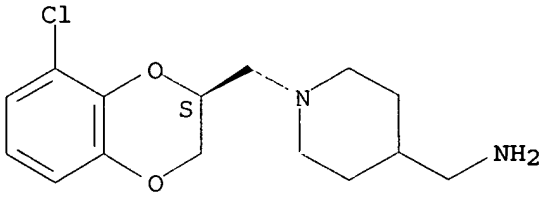
RN 187543-66-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(phenylmethylene)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 187543-67-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:921838 CAPLUS
DOCUMENT NUMBER: 123:340154
TITLE: Preparation of aromatic bicyclic heterocyclic compounds as serotoninergic and dopaminergic receptor antagonists
INVENTOR(S): Kerrigan, Frank; Heal, David John; Martin, Keith Frank
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9507274 | A1 | 19950316 | WO 1994-EP2904 | 19940901 |

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,

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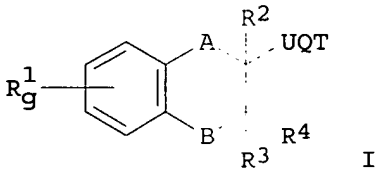
|---|---|---|---|---|---|---|
| NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | | | |
| IN 179168 | A | 19970906 | IN 1994-MA843 | | | 19940831 |
| CA 2170056 | AA | 19950316 | CA 1994-2170056 | | | 19940901 |
| AU 9476928 | A1 | 19950327 | AU 1994-76928 | | | 19940901 |
| AU 689802 | B2 | 19980409 | | | | |
| EP 717739 | A1 | 19960626 | EP 1994-927531 | | | 19940901 |
| EP 717739 | B1 | 20000329 | | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | | | |
| CN 1133043 | A | 19961009 | CN 1994-193808 | | | 19940901 |
| CN 1052723 | B | 20000524 | | | | |
| BR 9407413 | A | 19961112 | BR 1994-7413 | | | 19940901 |
| JP 09502431 | T2 | 19970311 | JP 1994-508440 | | | 19940901 |
| HU 75875 | A2 | 19970528 | HU 1996-552 | | | 19940901 |
| RU 2136680 | C1 | 19990910 | RU 1996-113203 | | | 19940901 |
| PL 178270 | B1 | 20000331 | PL 1994-313347 | | | 19940901 |
| AT 191214 | E | 20000415 | AT 1994-927531 | | | 19940901 |
| ES 2144528 | T3 | 20000616 | ES 1994-927531 | | | 19940901 |
| PT 717739 | T | 20000731 | PT 1994-927531 | | | 19940901 |
| RO 116811 | B1 | 20010629 | RO 1996-406 | | | 19940901 |
| IL 110844 | A1 | 19991028 | IL 1994-110844 | | | 19940902 |
| ZA 9406798 | A | 19950406 | ZA 1994-6798 | | | 19940905 |
| BG 63272 | B1 | 20010831 | BG 1996-100388 | | | 19960229 |
| FI 9601016 | A | 19960305 | FI 1996-1016 | | | 19960305 |
| NO 9600888 | A | 19960305 | NO 1996-888 | | | 19960305 |
| NO 308536 | B1 | 20000925 | | | | |
| US 5767116 | A | 19980616 | US 1996-605130 | | | 19960605 |
| GR 3033575 | T3 | 20000929 | GR 2000-401270 | | | 20000531 |
| PRIORITY APPLN. INFO.: | | | GB 1993-18431 | | A | 19930906 |
| | | | WO 1994-EP2904 | | W | 19940901 |

OTHER SOURCE(S): MARPAT 123:340154

GI



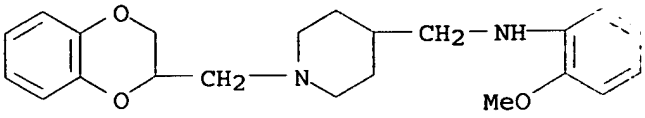

I

AB The title compds. [I; A, B = CH2, O; Q = N-containing (un)substituted bridging group; R1 = halogen, (un)substituted alkyl, alkoxy, alkylthio, OH, acyloxy, CN, alkoxycarbonyl, (un)substituted carbamoyl, etc.; R2 = alkyl, alkoxy; R3, R4 = H, alkyl; T = (un)substituted N-containing heteroaryl, benzofuranyl, benzodioxanyl; U = (un)substituted alkylene; g = 0-4], useful as serotoninergic, adrenergic, and dopaminergic receptor antagonists, are prepared and I-containing formulations presented. Thus, N-(1,4-benzodioxan-2-ylmethyl)-1-[1-(3-chloropyrid-2-yl)piperid-4-yl]methylamine 1.4 hydrochloride , m.p. 251-253°, was prepared from 2,3-dichloropyridine and demonstrated a Ki of 1.9 nM against rat brain-derived 5-HT1A receptors.

IT **170352-81-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed compound; preparation of aromatic bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)

RN 170352-81-5 CAPLUS

CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



IT **170352-82-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)
RN 170352-82-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 170352-81-5
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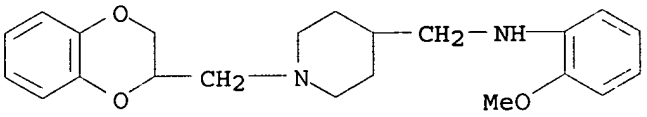
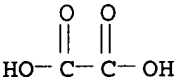


CM 2

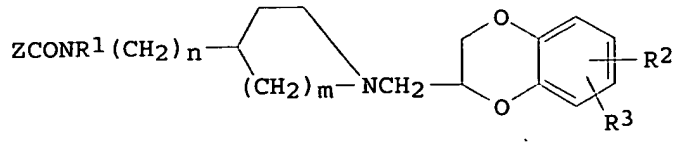
CRN 144-62-7
CMF C2 H2 O4



L6 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:213091 CAPLUS
DOCUMENT NUMBER: 118:213091
TITLE: Preparation of piperidinylmethylbenzodioxanes as central nervous system agents
INVENTOR(S): Stack, Gary P.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5182292 | A | 19930126 | US 1991-719886 | 19910621 |
| US 5212170 | A | 19930518 | US 1992-882405 | 19920513 |
| US 5221745 | A | 19930622 | US 1992-882200 | 19920513 |
| PRIORITY APPLN. INFO.: | | | US 1991-719886 A3 | 19910621 |
| OTHER SOURCE(S): | MARPAT 118:213091 | | | |

GI



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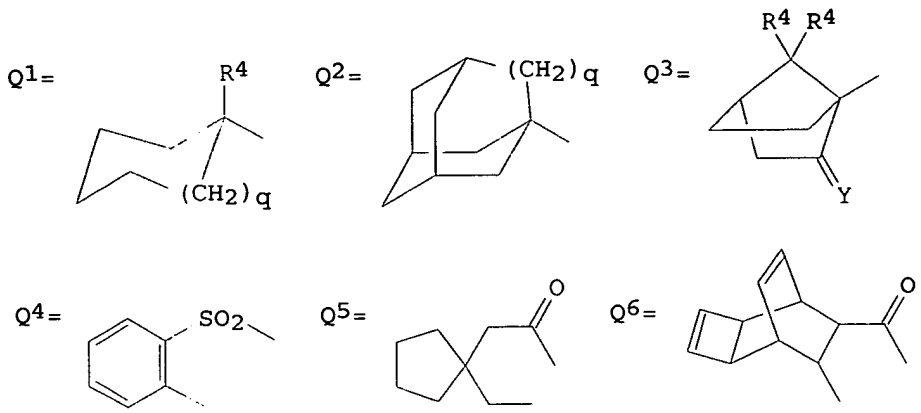
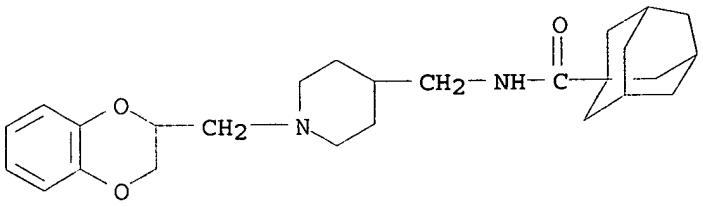


AB Title compds. [I; Z = Me2R4C, Q1, Q2, Q3; ZR1 = Q4-Q6, etc.; R4 = H, alkyl; q = 0-2; Y = H2, O; R1 = H, alkyl; R2, R3 = H, alkyl, alkoxy, alkoxy, alkanoyloxy, OH, halo, (di)(alkyl)amino, alkanamido, sulfonamido; R2R3 = OCH2O; OCH2CH2O, OCH2CH2CH2O; m = 1-3; n = 0, 1], were prepared Thus, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-aminomethylpiperidine and decahydro-1,5-methano-6,7,9-methanopentaleno[1,2-d]oxopine-2,4(1H,5H)-dione were refluxed in xylene with azeotropic removal of H2O to give 3-[[1-[2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]decahydro-2H-1,5-methano-6,7,9-methanopentaleno[1,2-d]azepine-2,4-(3H)-dione. This at 1 μM gave 97% inhibition of 3H-spiroperidol binding to D2 receptors in limbic brain tissue prepns., and at 0.1 μM gave 96% inhibition of 3H-dipropylaminotetralin binding to 5HT1A receptors.

IT **147181-38-2P 147181-39-3P 147196-89-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as dopamine and serotonin receptor ligand)

RN 147181-38-2 CAPLUS

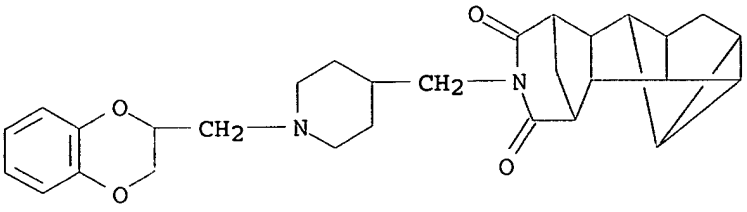
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

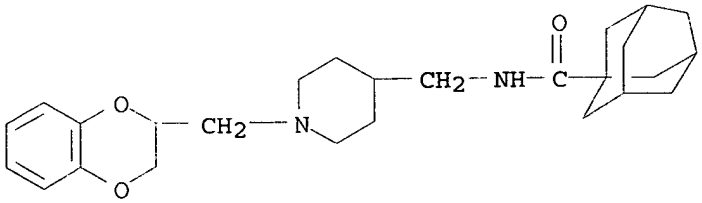
RN 147181-39-3 CAPLUS

CN 1,5-Methano-6,7,9-metheno-1H-pentaleno[1,2-d]azepine-2,4(3H,5H)-dione, 3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]octahydro-, monohydrochloride (9CI) (CA INDEX NAME)

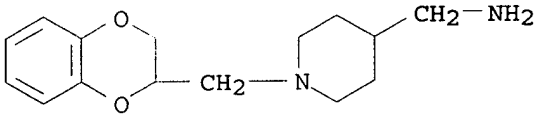


● HCl

RN 147196-89-2 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **89483-75-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of dopamine and serotonin receptor ligand)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

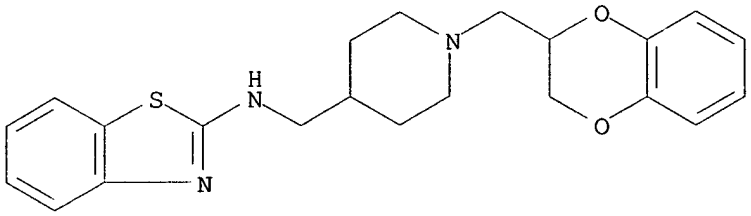


L6 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 1991:464574 CAPLUS
DOCUMENT NUMBER: 115:64574
TITLE: Effects of an alpha2 antagonist in a 20-year-old Java monkey with MPTP-induced Parkinsonian signs
AUTHOR(S): Colpaert, F. C.; Degryse, A. D.; Van Craenendonck, H.
CORPORATE SOURCE: Dep. Psychopharmacol., Janssen Pharm., Beerse, B-2340, Belg.
SOURCE: Brain Research Bulletin (1991), 26(4), 627-31
CODEN: BRBUDU; ISSN: 0361-9230
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The study attempted to verify whether activation of locus coeruleus neurons by alpha2 antagonists might improve parkinsonian signs. Treatment with the racemic alpha2 antagonist R 47 243 of a monkey with MPTP-induced parkinsonian signs normalized blink rate, reduced resting tremor, and

improved several other parkinsonian signs. In a second experiment, the (-)-isomer R 62 651 produced a gradual change in tremor which was the inverse of the manner in which tremor had become installed as the result of progression earlier upon the MPTP challenge. It is proposed that further research be conducted to determine whether alpha2 antagonists may beneficially influence the progression of Parkinson's disease.

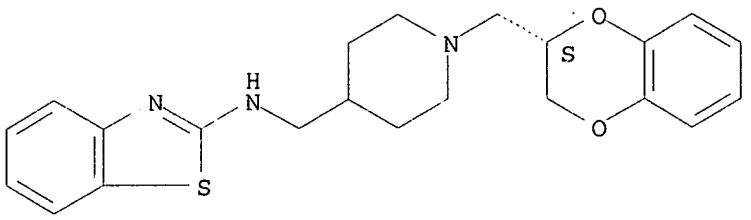
IT **104383-18-8**, R 47243 **104383-19-9**, R 62651
RL: BIOL (Biological study)
(Parkinsonism from MPTP response to, α2-adrenergic receptors in)

RN 104383-18-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 104383-19-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:515318 CAPLUS
DOCUMENT NUMBER: 113:115318
TITLE: Preparation of benzodioxan-2-ylalkylamines and analogs as agrochemical fungicides
INVENTOR(S): Selby, Thomas Paul
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

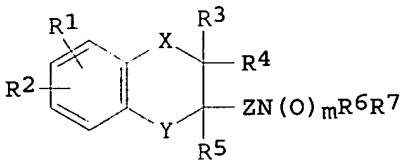
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9002122 | A1 | 19900308 | WO 1989-US3436 | 19890815 |
| W: AU, BB, BG, BR, DK, FI, HU, JP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US | | | | |
| RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG | | | | |
| EP 359400 | A1 | 19900321 | EP 1989-308246 | 19890815 |

R: ES, GR

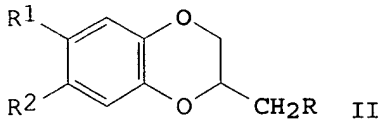
| | | | | | |
|---|---|---|---|---|---|
| AU 8942025 | A1 | 19900323 | AU 1989-42025 | | 19890815 |
| EP 429535 | A1 | 19910605 | EP 1989-910036 | | 19890815 |
| R: DE, FR, GB, IT | | | | | |
| JP 04500075 | T2 | 19920109 | JP 1989-509540 | | 19890815 |
| CN 1040371 | A | 19900314 | CN 1989-106494 | | 19890816 |
| ZA 8906254 | A | 19910424 | ZA 1989-6254 | | 19890816 |
| PRIORITY APPLN. INFO.: | | | US 1988-232682 | A2 | 19880816 |
| | | | WO 1989-US3436 | A | 19890815 |

OTHER SOURCE(S): MARPAT 113:115318

GI



I



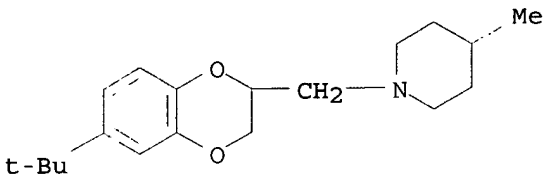
II

AB The title compds. [I; R1, R2 = H, halo, alkoxy, substituted Ph, (un)substituted alkyl, etc.; R3-R5 = H, Me, Et, CF3; R6, R7 = alkyl; NR6R7 = (un)substituted heterocyclyl; X, Y = O, S; Z = alkylene; m = 0, 1] were prepared Thus, 4-tert-butylcatechol was refluxed 2 h with BrCH2CH:CHCO2Et in MeCN containing K2CO3 to give benzodioxanylacetates II (R1 = Me3C and R2 = H, R1 = H and R2 = Me3C) (III; R = CO2Et) which was converted in 2 steps to III (R = COCl). The latter was stirred 1 h with piperidine in THF and the product reduced with LiAlH4 to give III (R = piperidinomethyl). III.HCl (R = cis-3,5-dimethylpiperidinomethyl) gave 47-100% control of 6 fungi, e.g., 77% control of Phytophthora infestans on tomato seedlings, when sprayed at 200 ppm.

IT **129018-87-7P 129019-07-4P 129019-21-2P 129019-42-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as agrochem. fungicide)

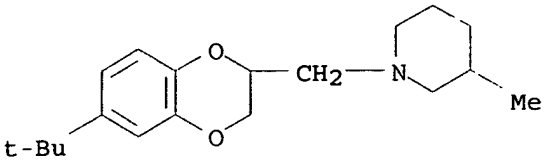
RN 129018-87-7 CAPLUS

CN Piperidine, 1-[[6-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

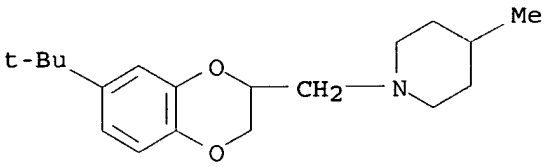


RN 129019-07-4 CAPLUS

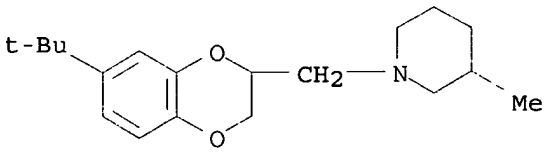
CN Piperidine, 1-[[6-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 129019-21-2 CAPLUS
CN Piperidine, 1-[[7-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



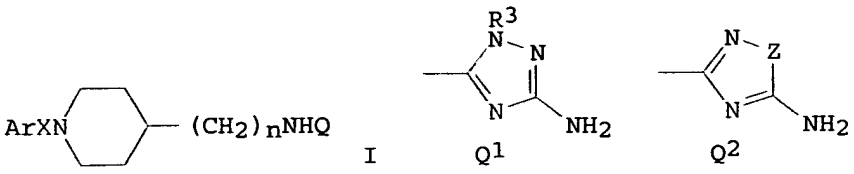
RN 129019-42-7 CAPLUS
CN Piperidine, 1-[[7-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:549544 CAPLUS
DOCUMENT NUMBER: 109:149544
TITLE: Preparation of N-substituted [(4-piperidylalkyl)amino]triazoles and -oxadiazoles as antihypertensives
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles
PATENT ASSIGNEE(S): Bouchara S. A., Fr.
SOURCE: Can., 42 pp.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| CA 1231950 | A1 | 19880126 | CA 1983-433207 | | 19830726 |
| PRIORITY APPLN. INFO.: | | | FR 1983-13010 | A | 19820726 |

OTHER SOURCE(S): MARPAT 109:149544
GI

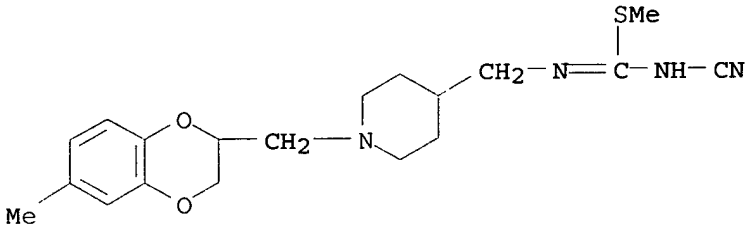


AB The title compds. [I; Ar = pyridyl, oxazolyl, pyrazolyl, (un)substituted Ph, benzodioxanyl, indolyl; Q = Q1, Q2; R3 = H, alkyl, aryl, aralkyl; X = (CH2)m, CO(CH2)q, CH(OH)(CH2)q, C(OR1)(OR2)(CH2)q; R1, R2 = alkyl; R1R2 = alkylene; Z = NH, NR3, O; m = 1-4; n = 0-2; q = 1-3] were prepared 1-(1,4-Benzodioxan-2-ylethyl)-4-(aminomethyl)piperidine and (MeS)2C:NCN were refluxed 4 h in EtOH to give I [Ar = 1,4-benzodioxan-4-yl, Q = C(:NCN)SMe, X = CH2CH2, n = 1]. Similarly prepared I (Ar, Q, n as above, X = CH2) was refluxed 4 h in EtOH with hydrazine hydrate to give I (Ar = 1,4-benzodioxan-4-yl, Q = Q1, R3 = H, n = 1) (II). Tablets were prepared containing II 50, starch 620, cellulose 375, CaSO4 510, CM-cellulose 20, and ethylcellulose 15 g per 104. A marked hypotensive effect was produced in anesthetized rats and dogs at 2-10 and 20-50 μg/kg i.v. by most active I and other I, resp.

IT **89483-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antihypertensives)

RN 89483-82-9 CAPLUS

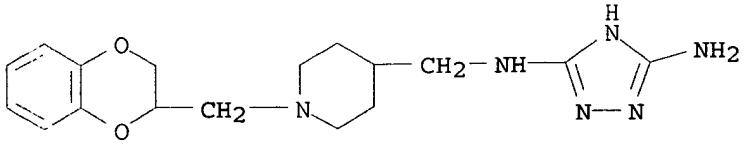
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **90618-24-9P 90618-29-4P 90618-30-7P**
**90618-31-8P 90618-34-1P 116732-11-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 90618-24-9 CAPLUS

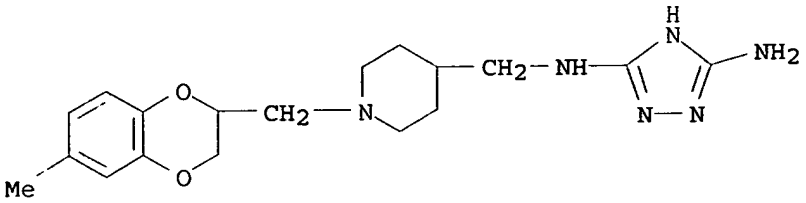
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



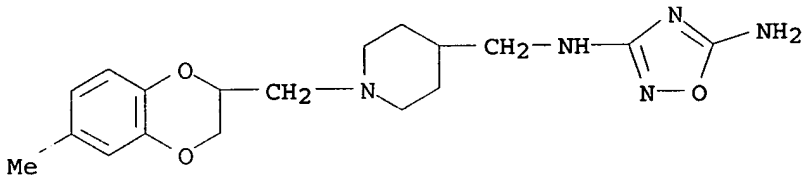
RN 90618-29-4 CAPLUS

CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-6-methyl-1,4-

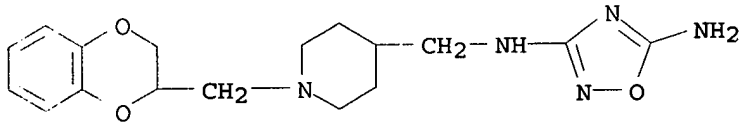
benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



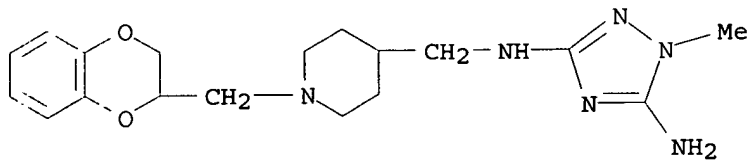
RN 90618-30-7 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



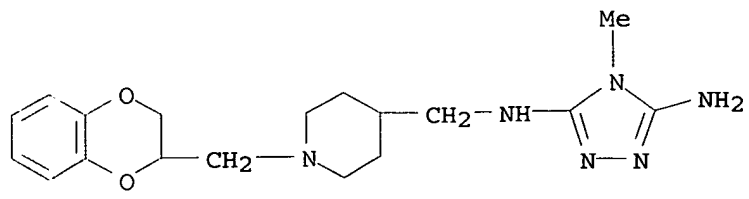
RN 90618-31-8 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



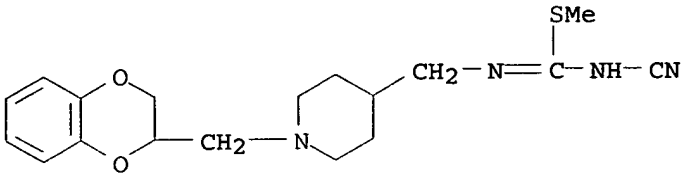
RN 90618-34-1 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



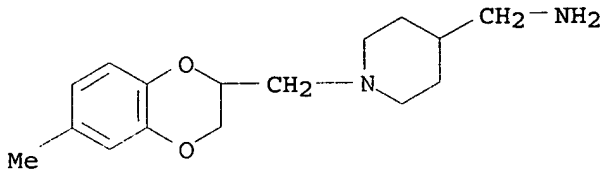
RN 116732-11-7 CAPLUS
CN 4H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



IT **89483-76-1 89483-81-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antihypertensives)
RN 89483-76-1 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 89483-81-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



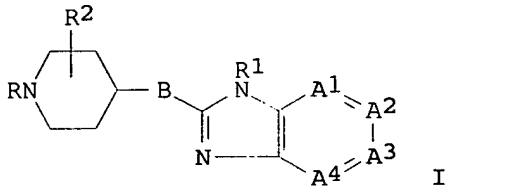
L6 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:437821 CAPLUS
DOCUMENT NUMBER: 109:37821
TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics
INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4695575 | A | 19870922 | US 1985-747754 | 19850624 |
| ES 539281 | A1 | 19870616 | ES 1984-539281 | 19841231 |
| AU 8537364 | A1 | 19850912 | AU 1985-37364 | 19850107 |
| AU 573673 | B2 | 19880616 | | |
| CA 1259609 | A1 | 19890919 | CA 1985-471589 | 19850107 |
| DK 8500089 | A | 19850710 | DK 1985-89 | 19850108 |
| FI 8500079 | A | 19850710 | FI 1985-79 | 19850108 |
| FI 83867 | B | 19910531 | | |
| FI 83867 | C | 19910910 | | |
| NO 8500085 | A | 19850710 | NO 1985-85 | 19850108 |
| NO 160849 | B | 19890227 | | |
| NO 160849 | C | 19890607 | | |
| JP 60185777 | A2 | 19850921 | JP 1985-479 | 19850108 |
| JP 07068240 | B4 | 19950726 | | |

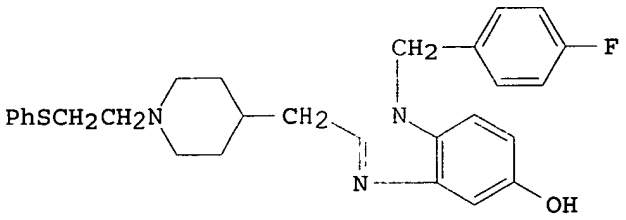
| | | | | | |
|---|---|---|---|---|---|
| HU 36471 | A2 | 19850930 | HU 1985-61 | | 19850108 |
| HU 200338 | B | 19900528 | | | |
| ZA 8500187 | A | 19860827 | ZA 1985-187 | | 19850108 |
| RO 90622 | B3 | 19861210 | RO 1985-117252 | | 19850108 |
| SU 1396964 | A3 | 19880515 | SU 1985-3836858 | | 19850108 |
| IL 74018 | A1 | 19880831 | IL 1985-74018 | | 19850108 |
| PL 145710 | B1 | 19881031 | PL 1985-251488 | | 19850109 |
| US 4839374 | A | 19890613 | US 1987-94987 | | 19870910 |
| PRIORITY APPLN. INFO.: | | | US 1984-569369 | A2 | 19840109 |
| | | | US 1984-671135 | A2 | 19841113 |
| | | | US 1985-747754 | A3 | 19850624 |

OTHER SOURCE(S): CASREACT 109:37821

GI



I



II

AB The title compds. [I; 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH; B = CH2, O, SO, SO2; R = substituted C1-6 alkyl, alkoxy, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)aralkyl; R2 = H, alkyl] and their stereoisomers and acid salts were prepared as antihistaminics and serotonin antagonists. 1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH2CH2Br were refluxed 2 h in Me2CHCH2COMe containing Na2CO3 to give 27.8% benzimidazole derivative (II). I inhibited compound 48/80-induced lethality in rats, caused by histamine release, with ED50 of 0.005-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

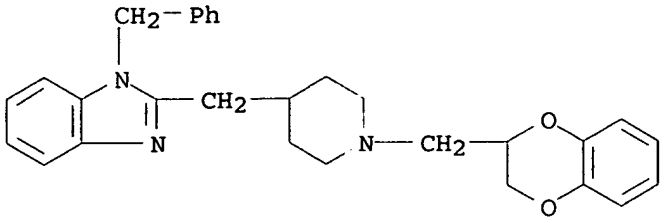
IT **99953-86-3P 99953-90-9P 99953-94-3P**
**99953-96-5P 99953-98-7P 99963-45-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihistaminic)

RN 99953-86-3 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-(phenylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

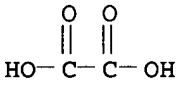
CM 1

CRN 99953-85-2
CMF C29 H31 N3 O2



CM 2

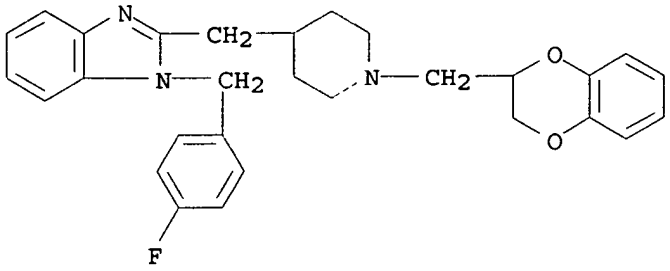
CRN 144-62-7
CMF C2 H2 O4



RN 99953-90-9 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

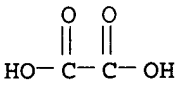
CM 1

CRN 99953-89-6
CMF C29 H30 F N3 O2



CM 2

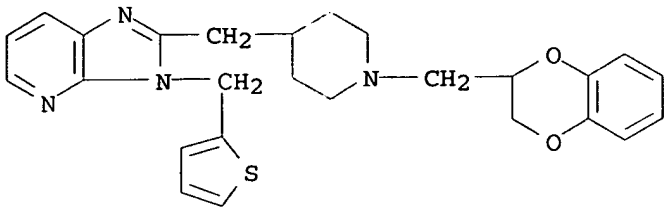
CRN 144-62-7
CMF C2 H2 O4



RN 99953-94-3 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-thienylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

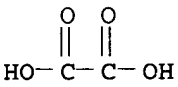
CM 1

CRN 99953-93-2
CMF C26 H28 N4 O2 S



CM 2

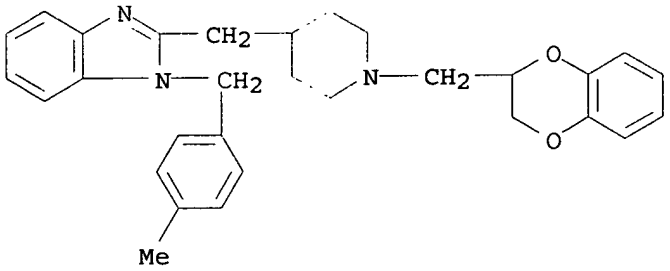
CRN 144-62-7
CMF C2 H2 O4



RN 99953-96-5 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-methylphenyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

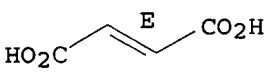
CRN 99953-95-4
CMF C30 H33 N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

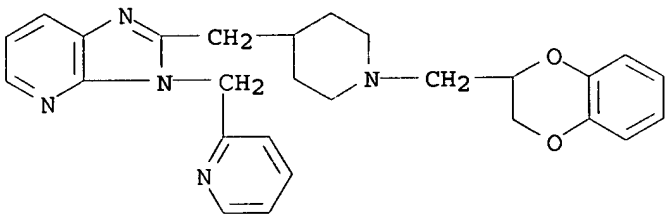
Double bond geometry as shown.



RN 99953-98-7 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-pyridinylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

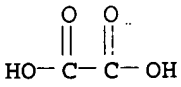
CM 1

CRN 99953-97-6
CMF C27 H29 N5 O2



CM 2

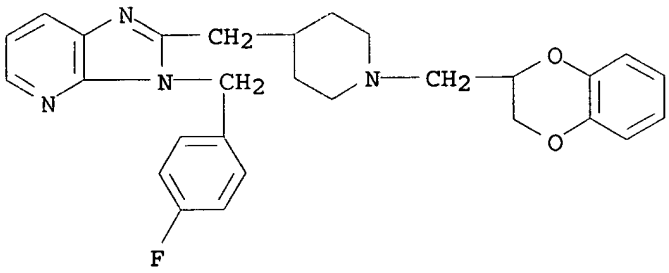
CRN 144-62-7
CMF C2 H2 O4



RN 99963-45-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

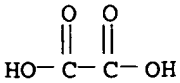
CM 1

CRN 99963-44-7
CMF C28 H29 F N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 192.87 | 371.33 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -24.75 | -24.75 |

STN INTERNATIONAL LOGOFF AT 11:15:56 ON 03 JAN 2006